Connecting via Winsock to STN

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LOGINID:ssptajem1625

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 3	OCT 19	BEILSTEIN updated with new compounds
NEWS 4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 5	NOV 19	WPIX enhanced with XML display format
NEWS 6	NOV 30	ICSD reloaded with enhancements
NEWS 7	DEC 04	LINPADOCDB now available on STN
NEWS 8	DEC 14	BEILSTEIN pricing structure to change
NEWS 9	DEC 17	USPATOLD added to additional database clusters
NEWS 10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 11	DEC 17	DGENE now includes more than 10 million sequences
NEWS 12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16	JAN 02	STN pricing information for 2008 now available
NEWS 17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19	JAN 28	MARPAT searching enhanced
NEWS 20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23	FEB 08	STN Express, Version 8.3, now available
NEWS 24	FEB 20	PCI now available as a replacement to DPCI
NEWS 25	FEB 25	IFIREF reloaded with enhancements
NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:42:05 ON 25 FEB 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 18:42:22 ON 25 FEB 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0
DICTIONARY FILE UPDATES: 24 FEB 2008 HIGHEST RN 1005323-41-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

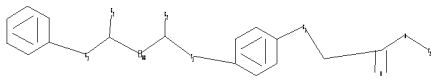
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

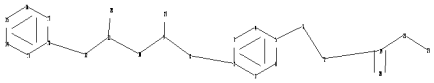
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1.str





chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32
21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

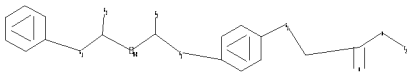

=> s l1 sss sam
SAMPLE SEARCH INITIATED 18:43:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    56620 TO ITERATE

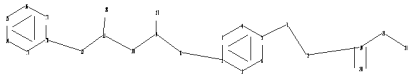
  3.5% PROCESSED     2000 ITERATIONS                                3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1118209 TO  1146591
PROJECTED ANSWERS:            1146 TO     2250

L2            3 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1a.str
```





chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 33 34 35 36 37 38
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32
21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS 33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss sam
SAMPLE SEARCH INITIATED 18:46:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5500 TO ITERATE

36.4% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

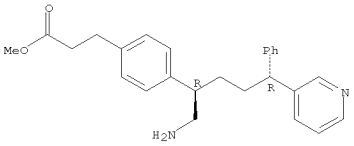
```
PROJECTED ITERATIONS:       105553 TO   114447
PROJECTED ANSWERS:               1 TO      154

L4            1 SEA SSS SAM L3

=> d scan
```

L4 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Benzenepropanoic acid,
4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,
methyl ester, (R*,R*)- (9CI)
MF C26 H30 N2 O2

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

```
=> s l3 sss full
FULL SEARCH INITIATED 18:47:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   110244 TO ITERATE

100.0% PROCESSED   110244 ITERATIONS                                85 ANSWERS
SEARCH TIME: 00.00.02

L5           85 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     182.04     182.25

FILE 'CAPLUS' ENTERED AT 18:47:51 ON 25 FEB 2008
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FILE COVERS 1907 - 25 Feb 2008  VOL 148 ISS 9
FILE LAST UPDATED: 24 Feb 2008  (20080224/ED)

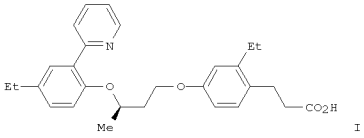
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
L6           14 L5

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y
```

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:129792 CAPLUS
DOCUMENT NUMBER: 146:379636
TITLE: Design and synthesis of a novel class of dual PPARγ/δ agonists
AUTHOR(S): Gonzalez, Isabel C.; Lamar, Jason; Iradier, Fatima; Xu, Yanping; Winneroski, Leonard L.; York, Jeremy; Yumibe, Nathan; Zink, Richard; Montrose-Rafizadeh, Chahrzad; Etgen, Gary J.; Broderick, Carol L.; Oldham, Brian A.; Mantlo, Nathan
CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate center, Eli Lilly & Company, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(4), 1052-1055
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:379636
GI



I

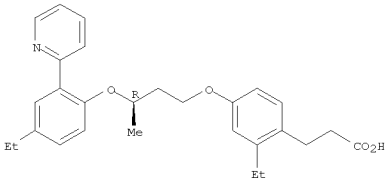
AB The design and synthesis of dual PPAR γ/δ agonist (R)-3-{2-ethyl-4-[3-(4-ethyl-2-pyridin-2-yl-phenoxy)-butoxy]-phenyl}propionic acid (I) is described. I dose-dependently lowered plasma glucose in hyperglycemic male Zucker diabetic fatty (ZDF) rats and produced less weight gain relative to rosiglitazone at an equivalent level of glucose control.

IT 847349-20-6P 847349-23-9P 847349-30-8P
847352-14-1P 847352-16-3P 847352-17-4P
847352-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, dual PPARγ/δ agonistic activity, and SAR of [(phenoxybutoxy)phenyl]propanoic acid derivs.)

RN 847349-20-6 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

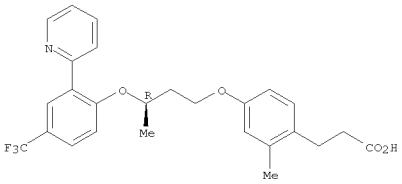
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847349-23-9 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

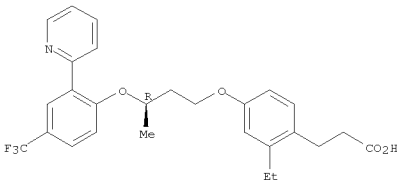
Absolute stereochemistry.



RN 847349-30-8 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

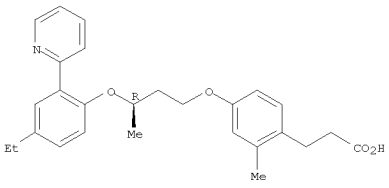
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-14-1 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

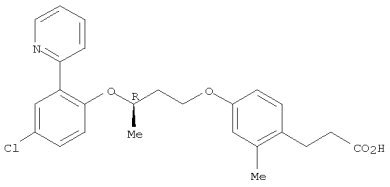
Absolute stereochemistry.



RN 847352-16-3 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

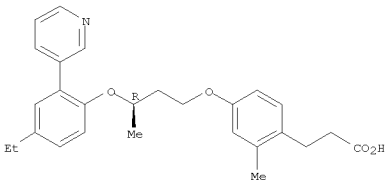
Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-17-4 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

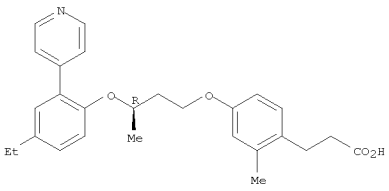
Absolute stereochemistry.



RN 847352-18-5 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



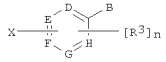
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:182607 CAPLUS
DOCUMENT NUMBER: 142:279949
TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists
INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

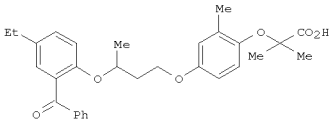
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): MARPAT 142:279949
GI

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II

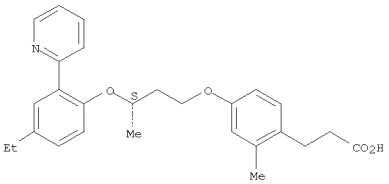
AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1
= a bond, CH2, O, S, and wherein A1and R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.; Y
= a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3
= H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid
II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.
IT 847345-57-7P, 3-[4-[[(S)-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-60-2P, 3-[4-[[(S)-3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-63-5P, 3-[4-[[(S)-3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847345-65-7P, 3-[4-[[(S)-3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid 847347-31-3P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid 847348-30-5P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
847349-20-6P, (R)-3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butoxy]phenyl]propionic acid 847349-23-9P,

(R)-3-[2-Methyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-26-2P, (R)-3-[2-Methyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-30-8P, (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-32-0P, (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847349-37-5P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847349-43-3P,

(R)-3-[2-Ethyl-4-[3-[[2-(pyridin-3-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847351-60-4P, (S)-3-[2-Ethyl-4-[[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butyl]sulfanyl]phenyl]propionic acid 847352-14-1P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-15-2P, (R)-[[4-[3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-16-3P, (R)-3-[4-[3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-17-4P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-18-5P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR
agonists)
RN 847345-57-7 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

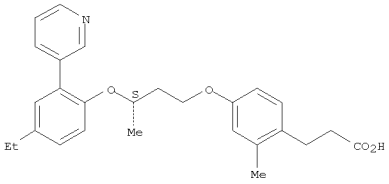
Absolute stereochemistry.



RN 847345-60-2 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

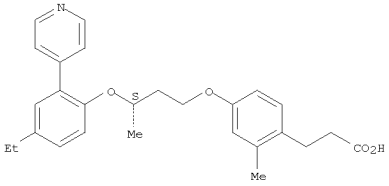
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847345-63-5 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

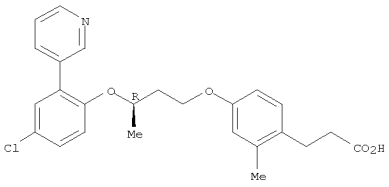
Absolute stereochemistry.



RN 847345-65-7 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

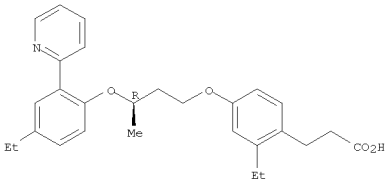
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



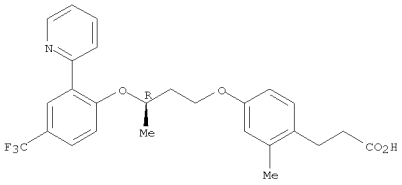
RN 847349-20-6 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

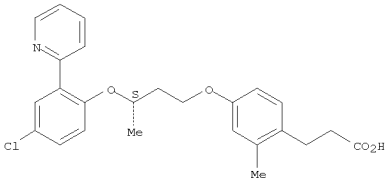


RN 847349-23-9 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

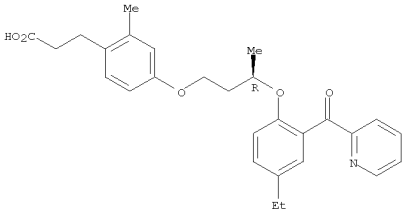


L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847347-31-3 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



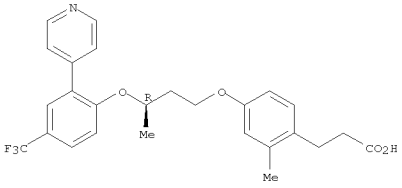
RN 847348-30-5 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

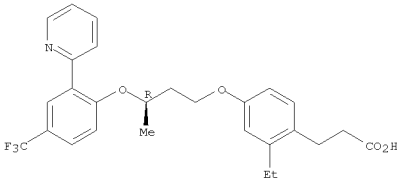
RN 847349-26-2 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847349-30-8 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

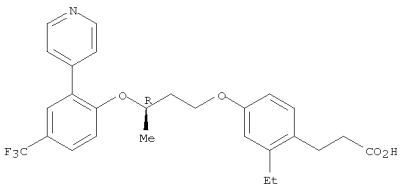
Absolute stereochemistry.



RN 847349-32-0 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

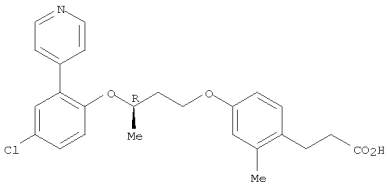
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847349-37-5 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

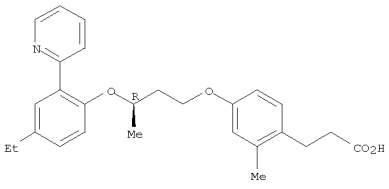
Absolute stereochemistry.



RN 847349-43-3 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

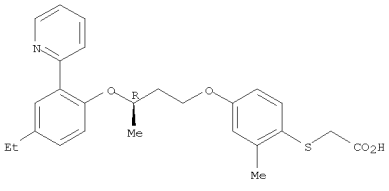
Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



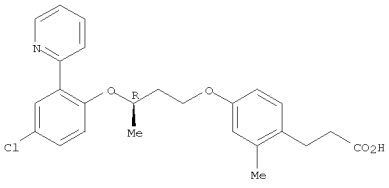
RN 847352-15-2 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

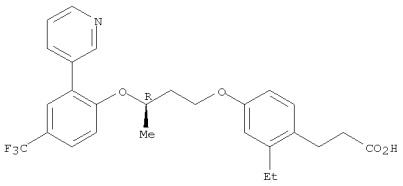


RN 847352-16-3 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

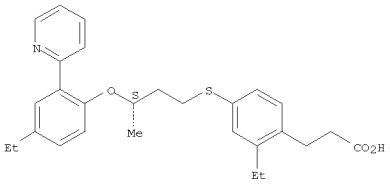


L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847351-60-4 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



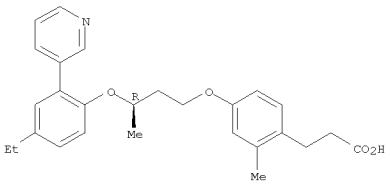
RN 847352-14-1 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

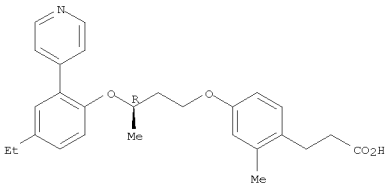
RN 847352-17-4 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-18-5 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

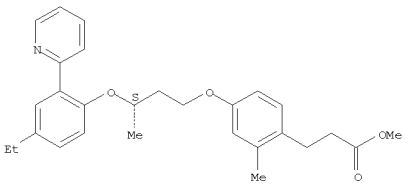
Absolute stereochemistry.



IT 847345-59-9P, 3-[4-[[(S)-3-[4-Ethyl-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester
847345-62-4P, 3-[4-[[(S)-3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester
847345-67-9P, 3-[4-[[(S)-3-[4-Chloro-2-(pyridin-2-yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester
847347-32-4P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester
847349-22-8P, 3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2-yl)phenoxy]butoxy]phenyl]propionic acid ethyl ester 847349-25-1P,
3-[2-Methyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid methyl ester

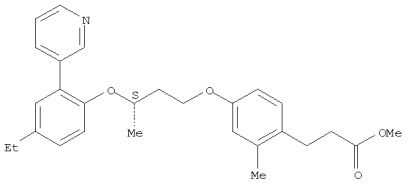
L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR
agonists)
RN 847345-59-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



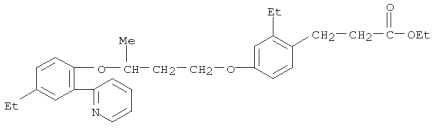
RN 847345-62-4 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

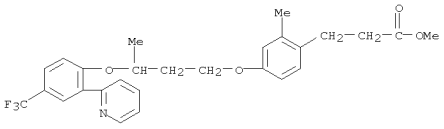


RN 847345-67-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

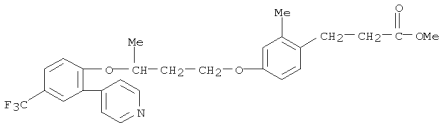


RN 847349-25-1 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)

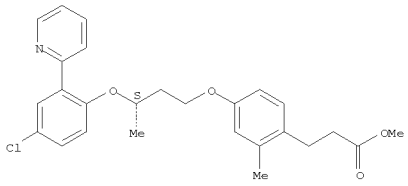


IT 847349-29-5, 3-[2-Methyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid methyl ester
847349-31-9, 3-[2-Ethyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester
847349-33-1, (R)-3-[2-Ethyl-4-[3-[[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester
847349-40-0, 3-[4-[3-[4-Chloro-2-(pyridin-4-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester 847349-45-5,

3-[2-Ethyl-4-[3-[[2-(pyridin-3-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)
RN 847349-29-5 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)

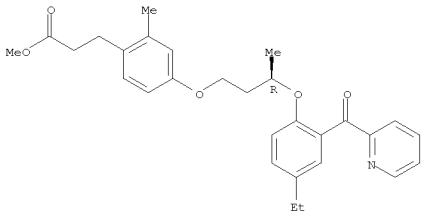


L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



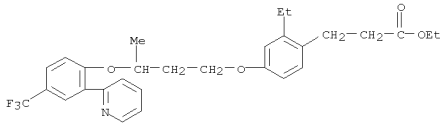
RN 847347-32-4 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



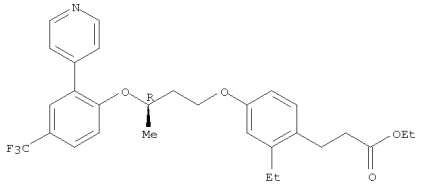
RN 847349-22-8 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 847349-31-9 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

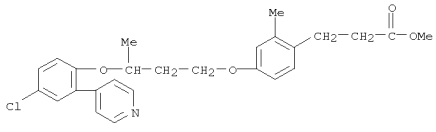


RN 847349-33-1 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

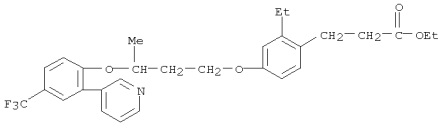


RN 847349-40-0 CAPLUS
CN Benzenepropanoic acid, 4-[3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)



RN 847349-45-5 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964313 CAPLUS
DOCUMENT NUMBER: 138:55745
TITLE: Preparation of substituted 3-phenyl-2-alkoxypropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions
INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.; Montrose-Rafezadeh, Chahrzad; Reifel-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferritto Crespo, Rafael; Lamas-Peteira, Carlos; Martin-Ortega Finger, Maria; Ardecky, Robert J.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated
SOURCE: PCT Int. Appl., 458 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100813	A2	20021219	WO 2002-US16950	20020530
WO 2002100813	A3	20031127		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449256	A1	20021219	CA 2002-2449256	20020530
AU 2002312147	A1	20021223	AU 2002-312147	20020530
EE 200400001	A	20040216	EE 2004-1	20020530
EP 1392637	A2	20040303	EP 2002-739503	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010190	A	20040406	BR 2002-10190	20020530
CN 1543451	A	20041103	CN 2002-811530	20020530
HU 2004000280	A2	20050128	HU 2004-280	20020530
JP 2005509590	T	20050414	JP 2003-503584	20020530
NZ 529351	A	20060127	NZ 2002-529351	20020530
IN 2003KN01456	A	20060414	IN 2003-KN1456	20031110
ZA 2003008863	A	20050214	ZA 2003-8863	20031113
US 2005020684	A1	20050127	US 2003-479262	20031201
US 7192982	B2	20070320		
MX 2003PA11201	A	20040226	MX 2003-PA11201	20031204
US 2007276138	A1	20071129	US 2006-637223	20061211

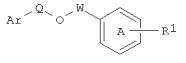
PRIORITY APPLN. INFO.: US 2001-297144P P 20010607

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

WO 2002-US16950 W 20020530

US 2003-479262 A1 20031201

OTHER SOURCE(S): MARPAT 138:55745
GI



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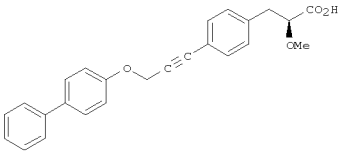


II

AB Title compds. I [wherein Ar = (un)substituted aryl; Q = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond.C, O, CO, NR7, NR7CO, C(=NOH), S, SO, SO2, or CHNR7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)nCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or CH=CY(CH2)mE; E = CO2R3, alkylnitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSOR4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%). Substitution with propargyl alc. in the presence of PdCl2(PPh3)2 and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPARγ agonists or PPARα/PPARγ co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesteremia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

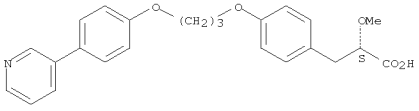
L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 477982-80-2P, (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-3-yl)phenoxy]propoxy]phenyl]propionic acid 477982-81-3P, (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-4-yl)phenoxy]propoxy]phenyl]propionic acid 477982-82-4P, (2S)-2-Methoxy-3-[4-[3-[4-(quinolin-8-yl)phenoxy]propoxy]phenyl]propionic acid 477984-02-4P,

(2S)-2-Methoxy-3-[4-[2-[4-[(pyridine-3-carbonyl)amino]phenoxy]ethoxy]phenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR modulator; preparation of substituted (phenyl)(alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

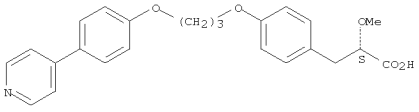
RN 477982-80-2 CAPLUS
CN Benzenepropanoic acid, α-methoxy-4-[3-[4-(3-pyridinyl)phenoxy]propoxy]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 477982-81-3 CAPLUS
CN Benzenepropanoic acid, α-methoxy-4-[3-[4-(4-pyridinyl)phenoxy]propoxy]-, (αS)- (CA INDEX NAME)

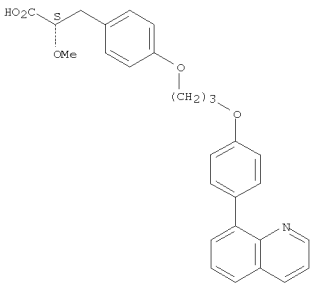
Absolute stereochemistry.



RN 477982-82-4 CAPLUS
CN Benzenepropanoic acid, α-methoxy-4-[3-[4-(8-quinolinyl)phenoxy]propoxy]-, (αS)- (CA INDEX NAME)

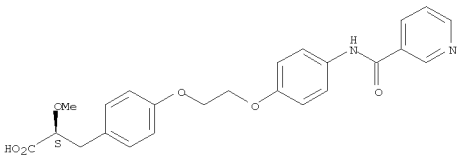
Absolute stereochemistry.

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 477984-02-4 CAPLUS
CN Benzenepropanoic acid, α-methoxy-4-[2-[4-[(3-pyridinylcarbonyl)amino]phenoxy]ethoxy]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

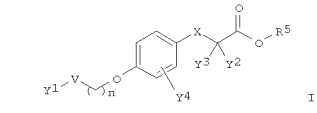
ACCESSION NUMBER: 2002:964190 CAPLUS
DOCUMENT NUMBER: 138:39272
TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions
INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448552	A1	20021219	CA 2002-2448552	20020524
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 2004000268	A2	20040728	HU 2004-268	20020524
JP 2005502600	T	20050127	JP 2003-503224	20020524
CN 1578659	A	20050209	CN 2002-815453	20020524
AT 345128	T	20061215	AT 2002-746380	20020524
ES 2275887	T3	20070616	ES 2002-746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
US 7282501	B2	20071016		
ZA 2003009059	A	20050810	ZA 2003-9059	20031120
MX 2003PA10903	A	20040217	MX 2003-PA10903	20031127
IN 2003KN01573	A	20060317	IN 2003-KN1573	20031203

PRIORITY APPLN. INFO.: US 2001-296701P P 20010607
WO 2002-US15143 W 20020524

OTHER SOURCE(S): MARPAT 138:39272

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
GI



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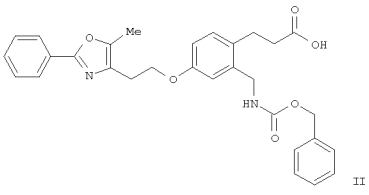


II

AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH2 or O; p = 0 or 1; m = 1-4; Y1 = (un)substituted (hetero)aryl; Y2 and Y3 = independently H, alkyl, or alkoxy; Y4 = (un)substituted alk(en/yn)ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R5 = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs2CO3 in DMF. Deprotection of the amine using NaBH4 in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

IT 478546-21-3P, 3-[4-[2-(Biphenyl-4-yloxy)ethoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-22-4P,

3-[4-[2-(Biphenyl-3-yloxy)ethoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-23-5P, 3-[4-[2-(4-

Phenoxyphenoxy)ethoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-24-6P, 3-[4-[2-(3-Phenylbenzofuran-6-yloxy)ethoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-25-7P, 3-[4-[2-(6-Methoxynaphthalen-2-yloxy)ethoxy]-2-[[(2-

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-32-6P,

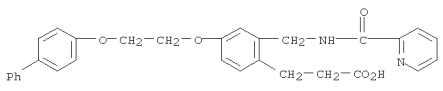
3-[4-[4-(Biphenyl-3-yloxy)butoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-33-7P, 3-[4-[4-(4-

Phenoxyphenoxy)butoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-34-8P, 3-[4-[4-(3-Phenylbenzofuran-6-yloxy)butoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl] propionic acid 478546-35-9P, 3-[4-[4-(6-Methoxynaphthalen-2-yloxy)butoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-39-3P,

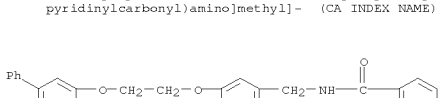
3-[4-[3-(Biphenyl-4-yloxy)propoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-40-6P, 3-[4-[3-(Biphenyl-3-yloxy)propoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-41-7P, 3-[4-[3-(6-Methoxynaphthalen-2-yloxy)propoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-48-4P,

3-[4-[3-(4-Phenoxyphenoxy)propoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR modulator; prepn. of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

RN 478546-21-3 CAPLUS
CN Benzenepropanoic acid, 4-[2-([1,1'-biphenyl]-4-yloxy)ethoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

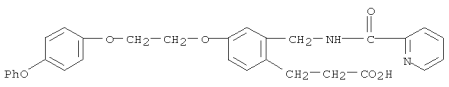


RN 478546-22-4 CAPLUS
CN Benzenepropanoic acid, 4-[2-([1,1'-biphenyl]-3-yloxy)ethoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

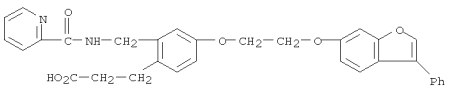


RN 478546-23-5 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4-phenoxyphenoxy)ethoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

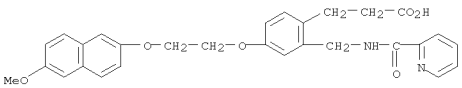
L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



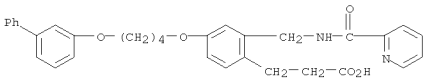
RN 478546-24-6 CAPLUS
CN Benzenepropanoic acid, 4-[2-[(3-phenyl-6-benzofuranyl)oxy]ethoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-25-7 CAPLUS
CN Benzenepropanoic acid, 4-[2-[(6-methoxy-2-naphthalenyl)oxy]ethoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

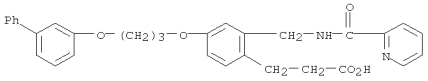


RN 478546-32-6 CAPLUS
CN Benzenepropanoic acid, 4-[4-([1,1'-biphenyl]-3-yloxy)butoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

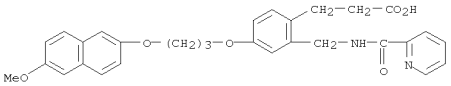


RN 478546-33-7 CAPLUS
CN Benzenepropanoic acid, 4-[4-(4-phenoxyphenoxy)butoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

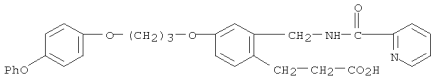
L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 478546-41-7 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(6-methoxy-2-naphthalenyl)oxy]propoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

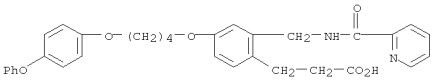


RN 478546-48-4 CAPLUS
CN Benzenepropanoic acid, 4-[3-(4-phenoxyphenoxy)propoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

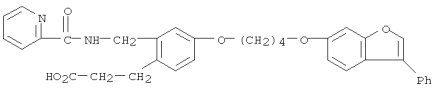


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

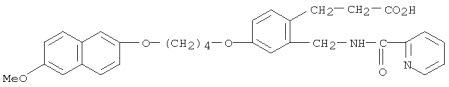
L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



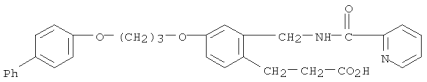
RN 478546-34-8 CAPLUS
CN Benzenepropanoic acid, 4-[4-[(3-phenyl-6-benzofuranyl)oxy]butoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-35-9 CAPLUS
CN Benzenepropanoic acid, 4-[4-[(6-methoxy-2-naphthalenyl)oxy]butoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



RN 478546-39-3 CAPLUS
CN Benzenepropanoic acid, 4-[3-([1,1'-biphenyl]-4-yloxy)propoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)



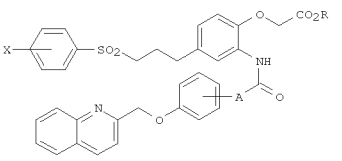
RN 478546-40-6 CAPLUS
CN Benzenepropanoic acid, 4-[3-([1,1'-biphenyl]-3-yloxy)propoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:140201 CAPLUS
DOCUMENT NUMBER: 126:171492
TITLE: Preparation of phenoxyacetic acid derivatives as allergy inhibitors
INVENTOR(S): Tatsugami, Shinichi; Tajima, Atsumi; Koyama, Shingo
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09012554	A	19970114	JP 1995-166121	19950630
PRIORITY APPLN. INFO.:			JP 1995-166121	19950630

OTHER SOURCE(S): MARPAT 126:171492
GI



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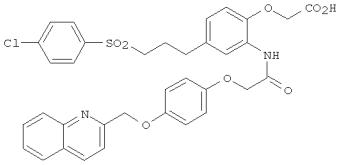
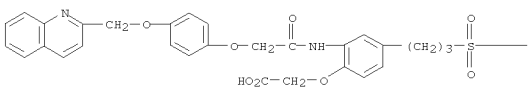


II

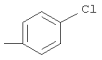
AB The title compds. [I; X = H, OH, halo, NO2, CF3, lower alkyl or alkoxy; R = H, lower alkyl; A = O(CH2)m, (CH2)m, (CH:CH)m, (CH2)mCONH(CH2)n, (CH2)mNHCO(CH2)n; m, n = 0-2] are prepared I, possessing thromboxane A2 (TXA2) and leukotriene D4 (LTD4) antagonism, are useful as allergy inhibitors for prevention and treatment of allergic inflammation diseases such as myocardial infarction, bronchial asthma, and so on. Thus,

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
N-[5-[3-(4-chlorobenzenesulfonyl)propyl]-2-(hydroxy)phenyl]-2-[4-(2-quinolylmethoxy)phenoxy]acetamide was reacted with BrCH2CO2Et in the presence of K2CO3 and then treated with aq. LiOH to give the title compd. (II). II showed IC50 of 1.1 + 10-9 and 3.0 + 10-9 M against TXA2 and LTD4, resp. when tested on mouse in vitro.
IT 186641-30-5P 186641-32-7P 186641-34-9P
186641-36-1P 186641-37-2P 186641-38-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenoxyacetic acid derivs. as allergy inhibitors)
RN 186641-30-5 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[4-(2-quinolinylmethoxy)phenoxy]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

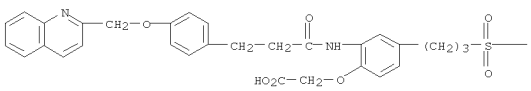


PAGE 1-B



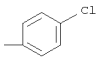
RN 186641-32-7 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



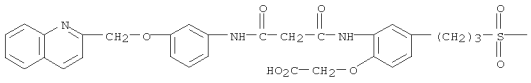
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

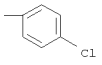


RN 186641-37-2 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1,3-dioxo-3-[[3-(2-quinolinylmethoxy)phenyl]amino]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

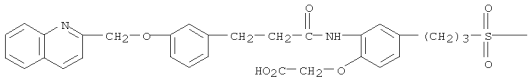


PAGE 1-B

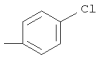


RN 186641-38-3 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[3-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



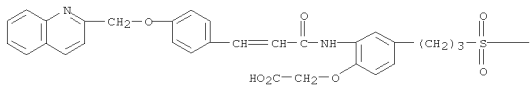
IT 186641-42-9P 186641-45-2P 186641-48-5P
186641-51-0P 186641-54-3P 186641-57-6P

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

RN 186641-34-9 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-2-propenyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

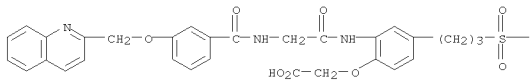
PAGE 1-A



PAGE 1-B

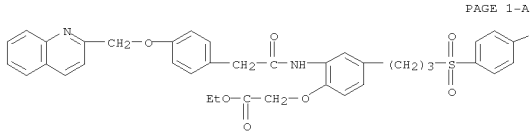
RN 186641-36-1 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[[3-(2-quinolinylmethoxy)benzoyl]amino]acetyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A



L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenoxyacetic acid derivs. as allergy inhibitors)
RN 186641-42-9 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[4-(2-quinolinylmethoxy)phenyl]acetyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

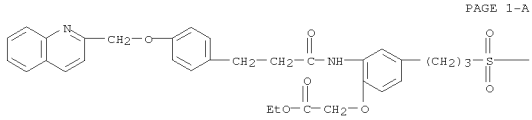
PAGE 1-A



PAGE 1-B

RN 186641-45-2 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

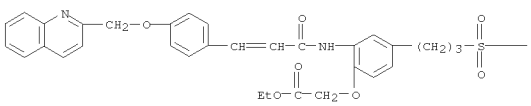


PAGE 1-B

RN 186641-48-5 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[4-(2-quinolinylmethoxy)phenyl]-2-propenyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



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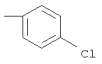
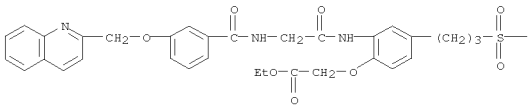
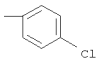


RN 186641-51-0 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[[3-(2-quinolinylmethoxy)benzoyl]amino]acetyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



RN 186641-54-3 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1,3-dioxo-3-[[3-(2-quinolinylmethoxy)phenyl]amino]propyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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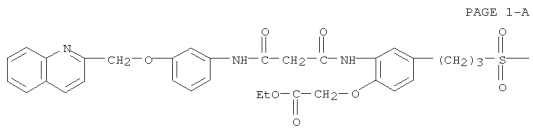
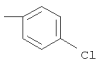
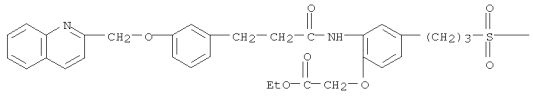


PAGE 1-B

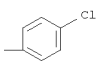


RN 186641-57-6 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[1-oxo-3-[3-(2-quinolinylmethoxy)phenyl]propyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

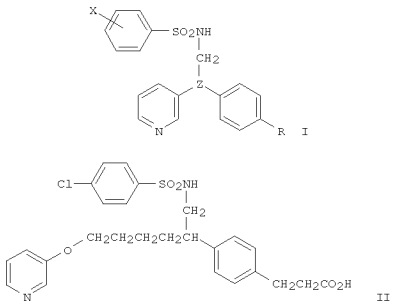


L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:2234 CAPLUS
DOCUMENT NUMBER: 126:31271
TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals
INVENTOR(S): Tatsugami, Shinichi; Oonishi, Hiroyuki; Morimoto, Katsumi
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

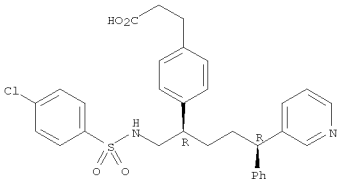
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309
PRIORITY APPLN. INFO.:			JP 1995-49789	19950309

OTHER SOURCE(S): MARPAT 126:31271
GI



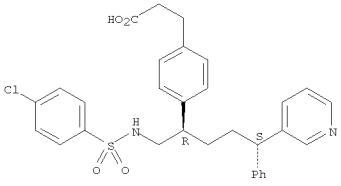
AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH, etc.; R = (CH2)nCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound II in vitro showed IC50 of 0.039 x 10-6 M against U-46619-induced platelet aggregation.
IT 184419-32-7P 184653-31-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine moiety-contg. sulfonamide compds. as pharmaceuticals)
RN 184419-32-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



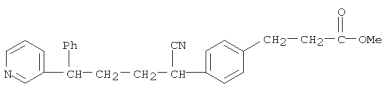
RN 184653-31-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



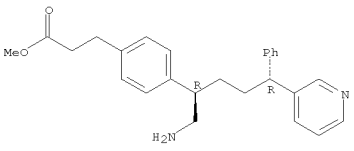
IT 184419-61-2P 184419-62-3P 184419-63-4P
184653-33-6P 184653-34-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)
RN 184419-61-2 CAPLUS
CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



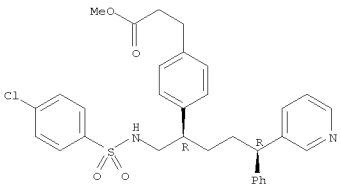
RN 184419-62-3 CAPLUS
CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 184419-63-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

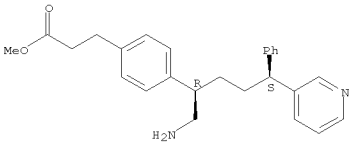
Relative stereochemistry.



RN 184653-33-6 CAPLUS
CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

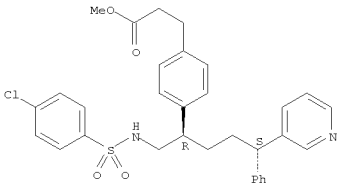
L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Relative stereochemistry.



RN 184653-34-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

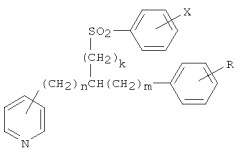


L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:509478 CAPLUS
DOCUMENT NUMBER: 125:167791
TITLE: Preparation of pyridylalkylphenylsulfone derivatives as antithrombotic agents and antiallergic agents
INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura, Harue; Kasukawa, Hiroaki
PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619454	A1	19960627	WO 1995-JP2590	19951218
W: AU, CA, CN, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9641892	A	19960710	AU 1996-41892	19951218
PRIORITY APPLN. INFO.:			JP 1994-316279 A	19941220
			WO 1995-JP2590 W	19951218

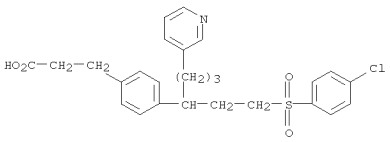
OTHER SOURCE(S): MARPAT 125:167791
GI



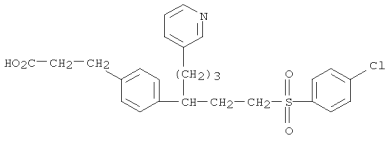
I

AB The title compds. I [X = H, OH, NO2, CN, CF3, halo, lower alkyl, lower alkoxy; R = O(CH2)aCO2R1, (CH2)aCO2R1, CR2:CR3CO2R1 or CR2R3CR4R5CO2R1 (R1, R2, R3, R4, R5 = H, lower alkyl;, a = 0-5); h, m, n = 0-5] are prepared
A medicinal preparation containing I is also claimed. I possessing thromboxane A2
and prostaglandin H2 antagonisms and the effect of inhibiting the synthesis of thromboxane A2, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-Cl; R = (CH2)2CO2H; h = 2; m = 0; n = 3] was prepared from p-HCOC6H4CH(OEt)2 in twelve steps and demonstrated a IC50 against thromboxane A2 of 0.25 μM.
IT 180153-37-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Reactant or reagent); USES (Uses)
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)
RN 180153-37-1 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



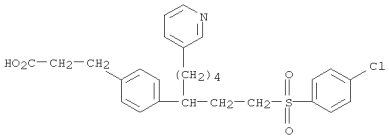
IT 180153-38-2P 180153-39-3P 180153-40-6P
180153-41-7P 180153-42-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)
RN 180153-38-2 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)



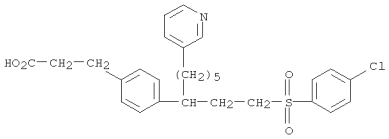
● Na

RN 180153-39-3 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)

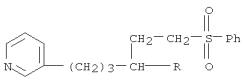
L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

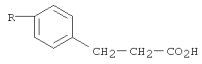


RN 180153-40-6 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-6-(3-pyridinyl)hexyl]- (CA INDEX NAME)



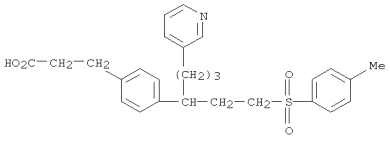
RN 180153-41-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



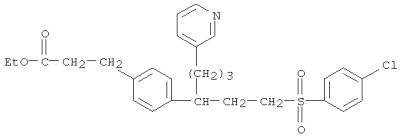


RN 180153-42-8 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-methylphenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 180153-36-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)
RN 180153-36-0 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

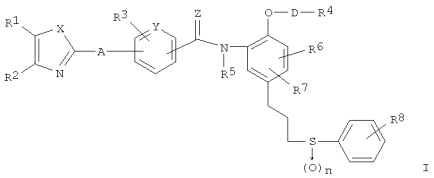


L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:457766 CAPLUS
DOCUMENT NUMBER: 125:114597
TITLE: Preparation of azole derivatives as leukotriene and thromboxane A2 antagonists
INVENTOR(S): Nagaoka, Hitoshi; Yokota, Masaki; Akane, Hiroaki; Arakida, Yasuhito; Isomura, Yasuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611916	A1	19960425	WO 1995-JP2085	19951012
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2202623	A1	19960425	CA 1995-2202623	19951012
AU 9536730	A	19960506	AU 1995-36730	19951012
AU 699476	B2	19981203		
EP 786457	A1	19970730	EP 1995-934280	19951012
EP 786457	B1	20020529		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1160397	A	19970924	CN 1995-195649	19951012
CN 1107059	B	20030430		
HU 77609	A2	19980629	HU 1997-2271	19951012
TW 381088	B	20000201	TW 1995-84110701	19951012
JP 3061862	B2	20000710	JP 1996-513092	19951012
RU 2161612	C2	20010110	RU 1997-107457	19951012
AT 218132	T	20020615	AT 1995-934280	19951012
FI 9701510	A	19970411	FI 1997-1510	19970411
NO 9701685	A	19970613	NO 1997-1685	19970411
NO 309268	B1	20010108		
US 5981559	A	19991109	US 1997-809466	19970815
PRIORITY APPLN. INFO.:			JP 1994-249488 A	19941014
			JP 1994-251121 A	19941018
			WO 1995-JP2085 W	19951012

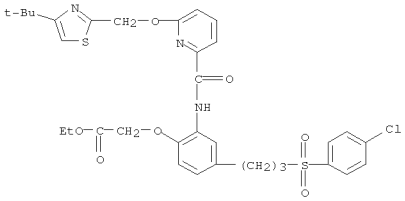
OTHER SOURCE(S): MARPAT 125:114597
GI

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

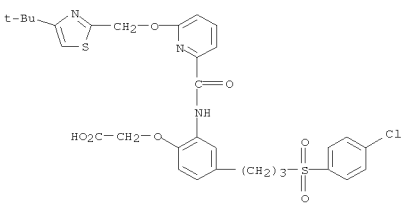


AB Thiazole- or oxazole-containing benzanilide derivs. represented by general formula [I; R1, R2 = H, cycloalkyl, (un)substituted lower alkyl, (un)substituted aryl; or R1R2 = CH:CHCH:CH or (CH2)4 to complete a condensed ring which may be substituted by optionally substituted lower alkyl, amino, etc.; R3, R6, R7, R8 = H, amino, cyano, NO2, OH, halo, lower alkoxy, (un)substituted lower alkyl; R4 = cyano, tetrazolyl, CO2H or its ester, E-NH-F-R10; wherein E = single bond, CO; F = single bond, lower alkylene; R10 = H, CONH2, mono- or dialkylcarbamoyl, CO2H, lower alkoxycarbonyl, optionally alkyl-substituted arylcarbonyl, lower alkanoyl, lower alkylsulfonyl, optionally alkyl-substituted arylsulfonyl; R5 = H or lower alkyl; D = optionally substituted lower alkylene; X, Z = O, S; Y = N, CH; A O-B, B-O, S-B, B-S or B (wherein B = lower alkylene or lower alkenylene); n = 0, 1 or 2] or pharmaceutically acceptable salts thereof, are prepared These compds. I have both of a leukotriene antagonistic effect and a thromboxane A2 antagonistic effect and are useful in preventing or treating allergic diseases (in particular, bronchial asthma, allergic rhinitis, or nettle rash), ischemic heart diseases, or ischemic brain diseases. Thus, a thiazole containing benzanilide derivative (II; R = H, R1 = Ph, A = CH:CH) (preparation given) was dissolved in DMF, treated successively with K2CO3, Bu4NBr, and Et bromoacetate, and stirred at room temperature for 12 h to give the title compound II (R = CH2CO2Et, R1 = Ph, A = CH:CH). II (R = CH2CO2H, R1 = CMe3, A = CH2O) showed IC50 of 0.055 μM for inhibiting the U-46619 (stable analog of thromboxane A2)-induced aggregation of guinea pig's platelet rich plasma. II (R = CH2CO2H, R1 = cyclobutyl, A = CH2O) at 10 mg/kg p.o. in vivo inhibited by 72% the U-46619-induced respiratory tract resistance in guinea pigs.
IT 179103-10-7P 179103-23-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azole derivs. as leukotriene and thromboxane A2 antagonists for disease therapy)
RN 179103-10-7 CAPLUS

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



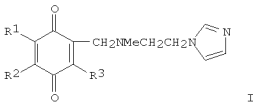
RN 179103-23-2 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:163998 CAPLUS
DOCUMENT NUMBER: 120:163998
TITLE: Preparation of quinolinyl group-containing phenoxyacetic acid derivatives as TXA2 and leukotriene antagonists
INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05279336	A	19931026	JP 1992-64772	19920323
PRIORITY APPLN. INFO.:			JP 1992-64772	19920323

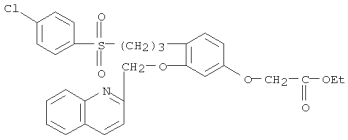
OTHER SOURCE(S): MARPAT 120:163998
GI



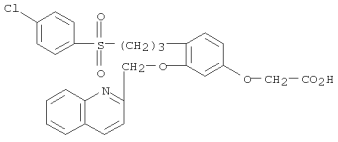
I

AB The title derivs. I [X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; R1 = CO2R2, tetrazolyl; R2 = H, lower alkyl; R3 = quinolin-2-ylmethyl, (2-quinolinylmethyloxy)benzyl; n = 0-2] or their physiol. acceptable salts, also useful as antiallergy agents, are prepared Tosylation of 3-(4-methoxymethoxy-2-benzyloxy)phenyl-1-propanol and subsequent reaction with p-chlorothiophenol gave 74% 4-[3-(4-chlorophenylthio)propyl]-3-benzyloxy-1-methoxymethoxybenzene, which was oxidized by m-chloroperbenzoic acid to give 93% sulfonyl derivative Then, deprotection of the sulfonyl derivative by HCl gave 93% 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-benzyloxyphenol, which was treated with BrCH2CO2Et in Me2CO to give 97% benzyloxyphenoxyacetate derivative, debenzylatoin of which gave 73% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-3-hydroxyphenoxyacetate (II). II was stirred with NaH in DMF and treated with 2-chloromethylquinoline-HCl at room temperature to give 41% I (X = 4-Cl, R1 = CO2Et, R3 = 2-quinolinemethyl, n = 1), hydrolysis of which by aqueous NaOH in THF gave 67% I (R1 = CO2H).
The latter compound inhibited LTD4-induced contraction of guinea pig ileum at IC50 of 8.3 + 10-6 M.

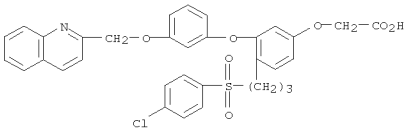
L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 153475-32-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as leukotriene and thromboxane antagonist)
RN 153475-32-2 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



IT 153475-23-1P 153507-39-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as leukotriene and thromboxane antagonists)
RN 153475-23-1 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-(2-quinolinylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)



RN 153507-39-2 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-3-[3-(2-quinolinylmethoxy)phenoxy]phenoxy]- (9CI) (CA INDEX NAME)

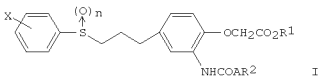


L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:427840 CAPLUS
DOCUMENT NUMBER: 119:27840
TITLE: Preparation of phenoxyacetic acids and TXA2 antagonists containing them
INVENTOR(S): Maeda, Sachiko; Igarashi, Azuma; Sugizaki, Katsuyoshi;
Suzuki, Myoshi; Ozawa, Shinji
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05032613	A	19930209	JP 1991-188730	19910729
PRIORITY APPLN. INFO.:			JP 1991-188730	19910729

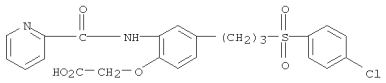
OTHER SOURCE(S): MARPAT 119:27840
GI



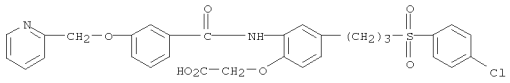
I

AB The title compds. I (A = Me, Ph, 2-pyridyl; R1 = H, Me, Et; R2 = H, phenyl-, pyridyl-, naphthyl-lower-alkoxy; X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; n = 0-2) or their physiol. acceptable salts, useful as therapeutic and prophylactic antiallergy agents and antithrombotics, are prepared Treatment of 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-benzoylaminophenol (preparation given) with Et bromoacetate and K2CO3 in acetone at room temperature for 5 h gave 94% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetate, which was hydrolyzed with 2N NaOH in THF at 0° for 2.5 h to afford 95% 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetic acid. The product inhibited U-46619-induced smooth muscle contraction with IC50 of 5.7 + 10-9 M. LD50 of several phenoxyacetates was >300 mg/kg p.o. in male mice.
IT 148066-76-6P 148066-77-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as TXA2 antagonist)
RN 148066-76-6 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(2-pyridinylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



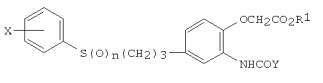
RN 148066-77-7 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[3-(2-pyridinylmethoxy)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:448108 CAPLUS
DOCUMENT NUMBER: 117:48108
TITLE: Preparation of phenoxyacetic acid compounds
INVENTOR(S): Igarashi, Azuma; Maeda, Sachiko; Hirakawa, Yasuhiro; Sugisaki, Katsuyoshi; Ozawa, Shinji
PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 481891	A2	19920422	EP 1991-402768	19911016
EP 481891	A3	19920513		
EP 481891	B1	19950208		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 04154757	A	19920527	JP 1990-278727	19901016
JP 04154766	A	19920527	JP 1990-278728	19901016
JP 08032688	B	19960329		
US 5179105	A	19930112	US 1991-775571	19911015
AU 9185897	A	19920507	AU 1991-85897	19911016
AU 637938	B2	19930610		
PRIORITY APPLN. INFO.:			JP 1990-278727 A	19901016
			JP 1990-278728 A	19901016

OTHER SOURCE(S): MARPAT 117:48108
GI

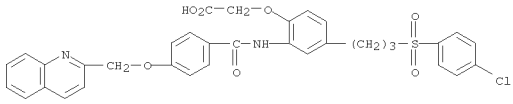


I

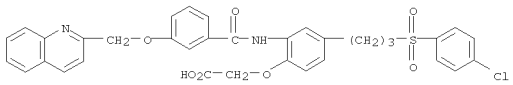
AB Title compds. I (X = H, halo, alkyl, F3C, alkoxy, HO, NC; R1 = H, Me, Et; n = 0-2; Y = quinolylmethoxyphenyl, substituted Ph) or a salt thereof, useful as thromboxane A2 and leukotriene antagonists, are prepared

4-[3-(4-Chlorobenzenesulfonyl)propyl]-2-(4-acetyl-3-hydroxy-2-(4-acetyl-3-hydroxy-2-propylphenoxyacetylamino)phenol (preparation given) in acetone and
K2CO3 were added to BrCH2CO2Et in acetone to give the Et ester which in THF was reacted with 2N NaOH and stirred for 2 h to give after addition of
HCl I [X = 4-Cl, R1 = H, Y = 4,3,2-(MeCO)(HO)PrC6H2OCH2, n = 2] (II). In in vitro test II showed antagonistic action to TxA2 with IC50 = 4.5 + 10-9M.
IT 142266-31-7P 142266-32-8P 142266-34-0P
142347-83-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as leukotriene and thromboxane antagonist)

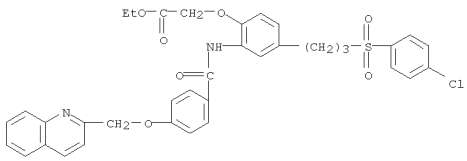
L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 142266-31-7 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[4-(2-quinolinylmethoxy)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 142266-32-8 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[3-(2-quinolinylmethoxy)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 142266-34-0 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[4-(2-quinolinylmethoxy)benzoyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

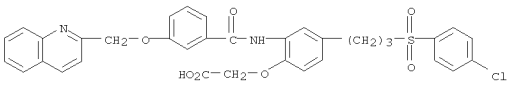


RN 142347-83-9 CAPLUS
CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[3-(2-quinolinylmethoxy)benzoyl]amino]phenoxy]-, compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

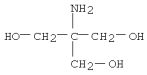
CRN 142266-32-8
CMF C34 H29 Cl N2 O7 S

L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



CM 2

CRN 77-86-1
CMF C4 H11 N O3



L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:22564 CAPLUS
Correction of: 1978:475314
DOCUMENT NUMBER: 90:22564
Correction of: 89:75314
ORIGINAL REFERENCE NO.: 90:3715a,3718a
TITLE: Substituted 2-propanol derivatives and their nicotinic
acid esters
INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan
PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co., Fed. Rep. Ger.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

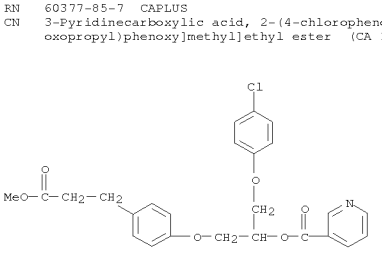
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2460689	A1	19760701	DE 1974-2460689	19741220
DE 2460689	B2	19791018		
DE 2460689	C3	19800626		
CA 1065870	A1	19791106	CA 1975-241890	19751211
DD 123597	A5	19770105	DD 1975-190187	19751216
CH 622487	A5	19810415	CH 1975-16303	19751216
DK 7505732	A	19760621	DK 1975-5732	19751217
SE 7514271	A	19760621	SE 1975-14271	19751217
NL 7514696	A	19760622	NL 1975-14696	19751217
NL 171356	B	19821018		
NL 171356	C	19830316		
FR 2294691	A1	19760716	FR 1975-38741	19751217
FR 2294691	B1	19780728		
AU 7587623	A	19770623	AU 1975-87623	19751217
ZA 7507912	A	19761229	ZA 1975-7912	19751218
US 4073935	A	19780214	US 1975-641982	19751218
AT 7509643	A	19790315	AT 1975-9643	19751218
AT 352699	B	19791010		
BE 836870	A1	19760416	BE 1975-162937	19751219
GB 1516747	A	19780705	GB 1975-52228	19751219
HU 173345	B	19790428	HU 1975-KI732	19751219
JP 51125238	A	19761101	JP 1975-152705	19751220
PL 97422	B1	19780228	PL 1975-185748	19751220
JP 57005770	B	19820201	JP 1976-3979	19760116
GB 1531695	A	19781108	GB 1977-24008	19770608
GB 1533820	A	19781129	GB 1977-24010	19770608
US 4109013	A	19780822	US 1977-849766	19771109
US 4144351	A	19790313	US 1977-849765	19771109
AT 7802641	A	19790315	AT 1978-2641	19780414

PRIORITY APPLN. INFO.: DE 1974-2460689 A 19741220
AT 1975-9643 A 19751218

L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

US 1975-641982	A3	19751218
GB 1975-52228	A	19751219
DE 1976-2625688	A	19760608
DE 1976-2625689	A	19760608

OTHER SOURCE(S): MARPAT 90:22564
AB 4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = Cl, CMe3; R1 = CO2Me, CH:CHCO2Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = Cl, R1 = CO2Me, Z = Z1 = O) (II). About 120 I were prepared having hypolipemic activity, e.g., II showed 63.8 ± 17.2% serum triglyceride lowering in the rat.
IT 60377-85-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 60377-85-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)

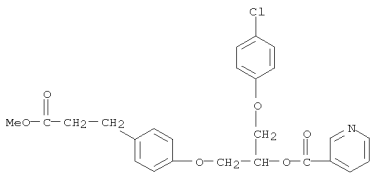


L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:475314 CAPLUS
Correction of: 1976:523579
DOCUMENT NUMBER: 89:75314
Correction of: 85:123579
ORIGINAL REFERENCE NO.: 89:11571a,11574a
TITLE: Substituted 2-propanol derivatives and their nicotinic
acid esters
INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan
PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co., Fed. Rep. Ger.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2460689		19760701	DE 1974-2460689	19741220

AB 4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = Cl, Me3C; R1 = CO2Me, CH:CHCO2Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = Cl, R1 = 4-CO2Me, Z = Z1 = O) (II). About 120 I were prepared having hypolipemic activity, e.g., II showed 63.8 ± 7.2% serum triglyceride lowering in the rat.
IT 60377-85-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and hypolipemic activity of)
RN 60377-85-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)



L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1976:523579 CAPLUS
DOCUMENT NUMBER: 85:123579
ORIGINAL REFERENCE NO.: 85:19829a,19832a
TITLE: Substituted 2-propanol derivatives and their nicotinic acid esters
INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan
PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co., Fed. Rep. Ger.
SOURCE: Ger. Offen., 41 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

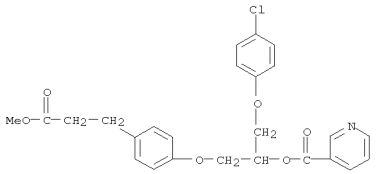
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2560689		19760701	DE 1974-2460689	19741220

AB 4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = Cl, Me3C; R1 = CO2Me, CH:CHCO2Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 hr to give 74.4% I (R = Cl, R1 = CO2Me, Z = Z1 = O) (II). About 120 I were prepared having hypolipemic activity, e.g., I showed 63.8 ± 17.2% serum triglyceride lowering in the rat.

IT 60377-85-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 60377-85-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)



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FULL ESTIMATED COST                                      81.10     263.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -11.20     -11.20

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                      81.58     263.83

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CA SUBSCRIBER PRICE                                     -11.20     -11.20

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DICTIONARY FILE UPDATES:  24 FEB 2008  HIGHEST RN 1005323-41-0

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http://www.cas.org/support/stngen/stndoc/properties.html

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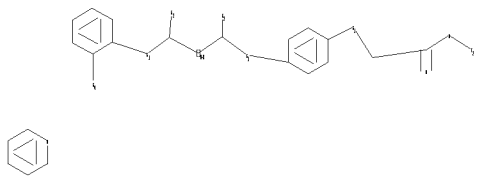

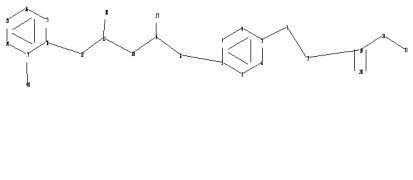

chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32 40
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 33 34 35 36 37 38
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 13-40 19-21 19-20
19-32 21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 13-40 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 33-34
33-38 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

G4:O,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS 33:Atom 34:Atom
35:Atom 36:Atom 37:Atom 38:Atom 40:CLASS

L7 STRUCTURE UPLOADED

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L7 HAS NO ANSWERS
L7 STR

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 5500 TO ITERATE

36.4% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 105553 TO 114447
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

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FULL SCREEN SEARCH COMPLETED - 110244 TO ITERATE

100.0% PROCESSED 110244 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.02

L9 2 SEA SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	178.82	442.65

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CA SUBSCRIBER PRICE	0.00	-11.20

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FILE COVERS 1907 - 25 Feb 2008 VOL 148 ISS 9
FILE LAST UPDATED: 24 Feb 2008 (20080224/ED)

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=> s l9
L10 1 L9

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YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:182607 CAPLUS
DOCUMENT NUMBER: 142:279949
TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists
INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
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JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P P	20030820
			WO 2004-US24381 W	20040817

OTHER SOURCE(S): MARPAT 142:279949
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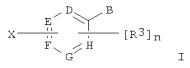
L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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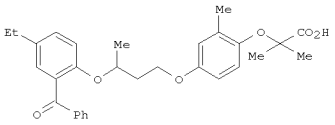


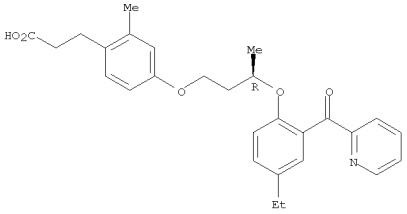
II

AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 847347-31-3P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR agonist; preparation of alkoxyphenylalkanoic acids and analogs as PPAR

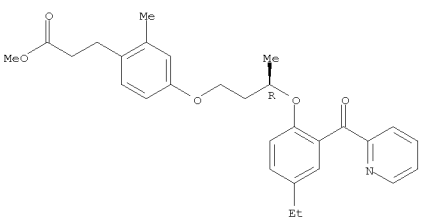
L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
agonists)
RN 847347-31-3 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 847347-32-4P, (R)-3-[4-[3-[4-Ethyl-2-[(pyridin-2-yl)carbonyl]phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)
RN 847347-32-4 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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                   Zentralblatt
 NEWS  3  OCT 19   BEILSTEIN updated with new compounds
 NEWS  4  NOV 15   Derwent Indian patent publication number format enhanced
 NEWS  5  NOV 19   WPIX enhanced with XML display format
 NEWS  6  NOV 30   ICSD reloaded with enhancements
 NEWS  7  DEC 04   LINPADOCDB now available on STN
 NEWS  8  DEC 14   BEILSTEIN pricing structure to change
 NEWS  9  DEC 17   USPATOLD added to additional database clusters
 NEWS 10  DEC 17   IMSDRUGCONF removed from database clusters and STN
 NEWS 11  DEC 17   DGENE now includes more than 10 million sequences
 NEWS 12  DEC 17   TOXCENTER enhanced with 2008 MeSH vocabulary in
                   MEDLINE segment
 NEWS 13  DEC 17   MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
 NEWS 14  DEC 17   CA/CAplus enhanced with new custom IPC display formats
 NEWS 15  DEC 17   STN Viewer enhanced with full-text patent content
                   from USPATOLD
 NEWS 16  JAN 02   STN pricing information for 2008 now available
 NEWS 17  JAN 16   CAS patent coverage enhanced to include exemplified
                   prophetic substances
 NEWS 18  JAN 28   USPATFULL, USPAT2, and USPATOLD enhanced with new
                   custom IPC display formats
 NEWS 19  JAN 28   MARPAT searching enhanced
 NEWS 20  JAN 28   USGENE now provides USPTO sequence data within 3 days
                   of publication
 NEWS 21  JAN 28   TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS 22  JAN 28   MEDLINE and LMEDLINE reloaded with enhancements
 NEWS 23  FEB 08   STN Express, Version 8.3, now available
 NEWS 24  FEB 20   PCI now available as a replacement to DPCI
 NEWS 25  FEB 25   IFIREF reloaded with enhancements
 NEWS 26  FEB 25   IMSPRODUCT reloaded with enhancements


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              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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FILE 'HOME' ENTERED AT 14:35:01 ON 26 FEB 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:35:15 ON 26 FEB 2008
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provided by InfoChem.

STRUCTURE FILE UPDATES:   25 FEB 2008  HIGHEST RN 1005378-46-0
DICTIONARY FILE UPDATES:  25 FEB 2008  HIGHEST RN 1005378-46-0

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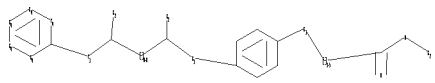
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

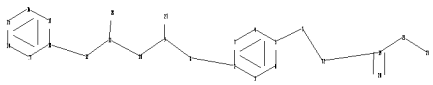
  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1c.str
```





chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32
21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 13-14 13-18 14-15
15-16 16-17 17-18 19-21 19-20 19-32 21-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

G4:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

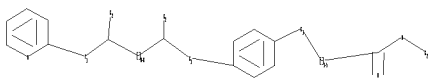

=> s l1 sss sam
SAMPLE SEARCH INITIATED 14:35:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   233220 TO ITERATE

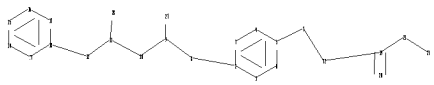
  0.9% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      4636151 TO  4692649
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10-566,291-1d.str
```





chain nodes :
7 8 9 10 11 12 19 20 21 23 27 28 32
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32
21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

```
L3      STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l3 sss sam
SAMPLE SEARCH INITIATED 14:40:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    12452 TO ITERATE

 16.1% PROCESSED     2000 ITERATIONS                                1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

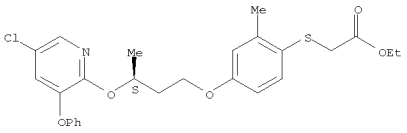
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       242354 TO   255726
PROJECTED ANSWERS:               1 TO      273

L4            1 SEA SSS SAM L3

=> d scan
```

L4 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI)
MF C26 H28 Cl N O5 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

```
=> s l3 sss full
FULL SEARCH INITIATED 14:40:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   249909 TO ITERATE

100.0% PROCESSED   249909 ITERATIONS                                96 ANSWERS
SEARCH TIME: 00.00.03

L5           96 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     182.50     182.71

FILE 'CAPLUS' ENTERED AT 14:41:05 ON 26 FEB 2008
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FILE LAST UPDATED: 25 Feb 2008  (20080225/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
L6           19 L5

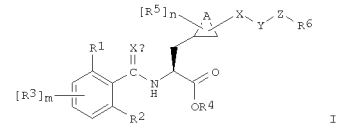
=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 19 ANSWERS - CONTINUE? Y/(N):y
```

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1420381 CAPLUS
DOCUMENT NUMBER: 148:55383
TITLE: Preparation of tyrosine derivatives, especially N-(benzoyl)-O-[2-[(pyridin-2-yl)aminolethyl]-L-tyrosines and related compounds, as α5β1 integrin antagonists for treating solid tumors
INVENTOR(S): Arnould, Jean-Claude; Delouvrie, Benedicte; Ducray, Richard; Lambert-Van Der Brempt, Christine Marie Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 435pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

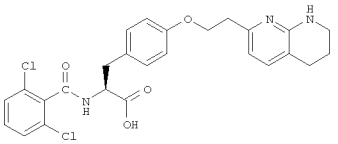
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141473	A1	20071213	WO 2007-GB1697	20070510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 2008045521	A1	20080221	US 2007-746892	20070510
PRIORITY APPLN. INFO.:			EP 2006-300576 A	20060609
			EP 2006-301245 A	20061212
			EP 2007-300973 A	20070423

OTHER SOURCE(S): MARPAT 148:55383
GI

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

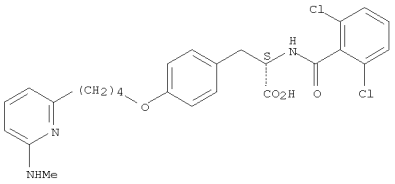


II

AB The invention is related to tyrosine derivs. I [Xa = O, S; R1 = Br, Cl, cyclopentylmethyl, C1-3 alkyl, etc.; R2, each R3 = independently H, halo, CN, OH, NH2, (un)substituted alk(en/yn)yl, alkanoylamino, N-alkylsulfamoyl, etc.; or R2R3 = C1-3 alkylenedioxy; m = 0-3; R4 = H, (un)substituted heterocyclyl, heteroaryl, etc.; A = Ph, pyridinyl, thiophenyl; n = 0-4; each R5 = independently halo, OH, SH, carbamoyl, sulfamoyl, alkylsulfonyl, alkynoylamino, etc.; or 2 R5's optionally form a C1-3 alkylenedioxy; X = a bond, O, S, SO, SO2, CO, (un)substituted CH:CH, etc.; Y = (un)substituted alkylene, cycloalk(en)ylene, heterocyclyl; Z = a bond, O, S, (un)substituted alkylene, C.tplbond.C, etc.;] and their pharmaceutically acceptable salts and prodrugs, to processes for preparing them, and to pharmaceutical compns. containing them for use as α5β1 integrin antagonists in the treatment in warm-blooded animals such as humans of diseases that have a significant angiogenesis or vascular component such as for treatment of solid tumors. The invention is also related to α5β1 antagonists that also exhibit appropriate selectivity profile(s) against other integrins. Thus, etherification of tert-Bu 7-(2-hydroxyethyl)-3,4-dihydro-1,8-naphthyridine-1(2H)-carboxylate with Me N-(2,6-dichlorobenzoyl)-L-tyrosinate (preparation given), cleavage of the tert-butoxycarbonyl group and saponification of the Me ester gave tyrosine derivative II. The effects of compds. I as α5β1 integrin inhibitors were tested (e.g., the invention compound II had IC50 values of 0.0004 μM in a binding assay and 0.002 μM in an adhesion assay).
IT 959988-78-4P 959990-47-7P 959990-48-8P

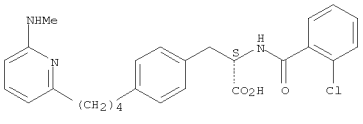
L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of tyrosine derivs. as α5β1 integrin antagonists)
RN 959988-78-4 CAPLUS
CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-[6-(methylamino)-2-pyridinyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



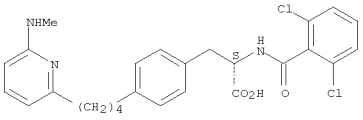
RN 959990-47-7 CAPLUS
CN L-Phenylalanine, N-(2-chlorobenzoyl)-4-[4-[6-(methylamino)-2-pyridinyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



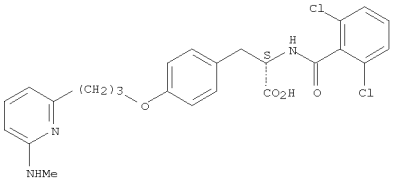
RN 959990-48-8 CAPLUS
CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[4-[6-(methylamino)-2-pyridinyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



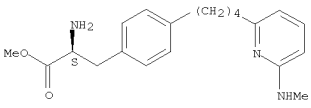
L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 959991-72-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(intermediate; preparation of tyrosine derivs. as α5β1 integrin antagonists)
RN 959991-72-1 CAPLUS
CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-[6-(methylamino)-2-pyridinyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 959992-85-9P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tyrosine derivs. as α5β1 integrin antagonists)
RN 959992-85-9 CAPLUS
CN L-Phenylalanine, 4-[4-[6-(methylamino)-2-pyridinyl]butyl]-, methyl ester (CA INDEX NAME)

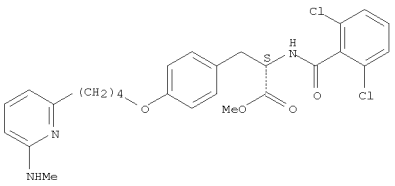
Absolute stereochemistry.



IT 959991-28-7P 959991-29-8P 959991-30-1P
959991-33-4P 959992-87-1P 959992-88-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tyrosine derivs. as α5β1 integrin antagonists)
RN 959991-28-7 CAPLUS
CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-[6-(methylamino)-2-pyridinyl]butyl]-, methyl ester (CA INDEX NAME)

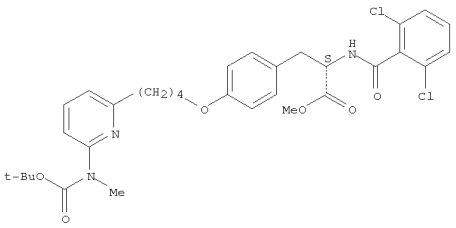
Absolute stereochemistry.

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959991-29-8 CAPLUS
CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[4-[6-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-pyridinyl]butyl]-, methyl ester (CA INDEX NAME)

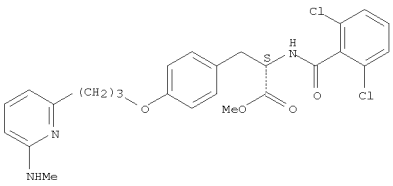
Absolute stereochemistry.



RN 959991-30-1 CAPLUS
CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-[6-(methylamino)-2-pyridinyl]propyl]-, methyl ester (CA INDEX NAME)

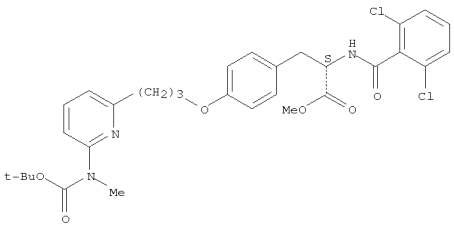
Absolute stereochemistry.

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959991-33-4 CAPLUS
CN L-Tyrosine, N-(2,6-dichlorobenzoyl)-O-[3-[6-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-pyridinyl]propyl]-, methyl ester (CA INDEX NAME)

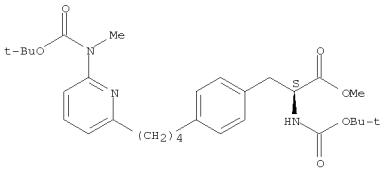
Absolute stereochemistry.



RN 959992-87-1 CAPLUS
CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-4-[4-[6-[[(1,1-dimethylethoxy)carbonyl]methylamino]-2-pyridinyl]butyl]-, methyl ester (CA INDEX NAME)

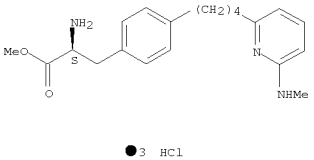
Absolute stereochemistry.

L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 959992-88-2 CAPLUS
CN L-Phenylalanine, 4-[4-[6-(methylamino)-2-pyridinyl]butyl]-, methyl ester, hydrochloride (1:3) (CA INDEX NAME)

Absolute stereochemistry.



● 3 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

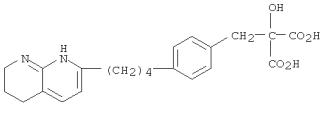
L6 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:452778 CAPLUS
DOCUMENT NUMBER: 143:145781
TITLE: Preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: Evidence for increased hydroxyapatite affinity
AUTHOR(S): Hauze, Diane B.; Kees, Kenneth L.; Mann, Charles W.; Fletcher, Horace, III; Murrills, Richard; Matteo, Jeanne; Bex, Frederick; Bhat, Bheem; Coleburn, Valerie
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426-3930, USA
SOURCE: Letters in Drug Design & Discovery (2005), 2(3), 201-204
CODEN: LDDDAW; ISSN: 1570-1808
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of tartronic acid analogs of a non-peptide RGD mimetic were prepared and evaluated both for antagonism of the vitronectin receptor and for affinity to hydroxyapatite, the main inorg. component of bone matrix. The hydroxy bis acid unit was found to be optimal for both receptor binding and hydroxyapatite affinity, while the N-terminus affected only receptor binding affinity.

IT 860297-91-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preliminary in vitro results indicating tartronic acids as aspartic acid mimetics in vitronectin receptor antagonists: evidence for increased hydroxyapatite affinity)

RN 860297-91-2 CAPLUS
CN Propanedioic acid, hydroxy[[4-[4-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)butyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

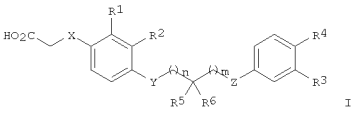
L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:300386 CAPLUS
DOCUMENT NUMBER: 142:373549
TITLE: Preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids PPAR-δ agonists for the treatment of dysplipidemia
INVENTOR(S): Kuo, Gee-hong; Zhang, Rui; Wang, Aihua; Deangelis, Alan R.
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030694	A1	20050407	WO 2004-US30188	20040916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004276231	A1	20050407	AU 2004-276231	20040916
CA 2539403	A1	20050407	CA 2004-2539403	20040916
US 2005107469	A1	20050519	US 2004-942563	20040916
EP 1670744	A1	20060621	EP 2004-784146	20040916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004014534	A	20061107	BR 2004-14534	20040916
CN 1882524	A	20061220	CN 2004-80033738	20040916
JP 2007505907	T	20070315	JP 2006-526992	20040916
MX 2006PA03180	A	20061120	MX 2006-PA3180	20060320
IN 2006KN00642	A	20070803	IN 2006-KN642	20060320
NO 2006001711	A	20060619	NO 2006-1711	20060419

PRIORITY APPLN. INFO.: US 2003-504089P P 20030919
WO 2004-US30188 W 20040916

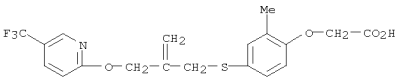
OTHER SOURCE(S): CASREACT 142:373549; MARPAT 142:373549
GI

L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

AB Title compds. I [X = bond, S, O; Y = S, O; Z = O, CH2, provided when Y = O, Z = O; R1-2 = H, alkyl, alkoxy, etc.; R3-4 = H, halo, CN, etc.; R5-6 = halo, Ph, alkyl, alkoxy, etc.; n, m = 0-2] are prepared For instance, [2-Methyl-4-[[2-(4-trifluoromethylphenoxymethyl)allyl]sulfanyl]phenoxy]acetic acid (II)is prepared in 5 steps from Et (2-methylphenoxy)acetate, 4-trifluoromethylphenol and 2-methylene-1,3-propanediol. II has EC50 = 13.2-34.1 nM for PPAR-δ. I are useful for the treatment of, for example, dyslipidemia.
IT 849441-77-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-((phenoxyalkyl)thio)phenoxyacetic acids PPAR-δ agonists for treatment of dysplipidemia)
RN 849441-77-6 CAPLUS
CN Acetic acid, [2-methyl-4-[[2-[[[5-(trifluoromethyl)-2-pyridinyl]oxy]methyl]-2-propenyl]thio]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

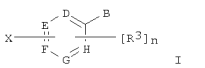
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:182607 CAPLUS
DOCUMENT NUMBER: 142:279949
TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists
INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125

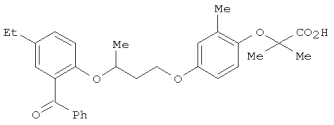
PRIORITY APPLN. INFO.: US 2003-496549P P 20030820
WO 2004-US24381 W 20040817

OTHER SOURCE(S): MARPAT 142:279949
GI

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II

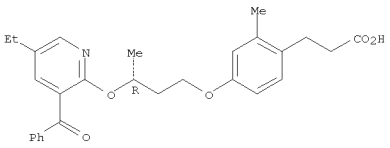
AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH2, O, S, and wherein A1and R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.
IT 847345-17-9P, (R)-3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847345-23-7P, (R)-[[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid 847345-75-9P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-79-3P, [[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-81-7P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-ethylphenyl]propionic acid 847345-84-0P, 3-[4-[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
methylphenyl]propionic acid 847345-88-4P, [[4-[[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-90-8P, 3-[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-93-1P, [[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-95-3P, 3-[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847345-98-6P, [[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfanyl]acetic acid 847346-00-3P, 3-[2-Ethyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847346-05-8P, 3-[4-[[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid 847346-09-2P 847346-10-5P 847346-11-6P, 3-[2-Methyl-4-[[(S)-3-[(5-trifluoromethyl-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]phenyl]propionic acid 847346-14-9P, 3-[4-[[(S)-3-[(5-Chloro-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]-2-methylphenyl]propionic acid 847346-17-2P, 3-[2-Ethyl-4-[[(S)-3-[(5-trifluoromethyl-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]phenyl]propionic acid 847348-12-3P, (R)-3-[4-[3-(5-Chloropyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid
847352-00-5P, (R)-3-[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-01-6P, (R)-[[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-02-7P, (R)-3-[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-03-8P, (R)-[[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-04-9P, (R)-3-[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-05-0P, (R)-3-[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)butoxy]-2-ethylphenyl]propionic acid 847352-06-1P, (R)-[[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-07-2P, (R)-3-[2-Methyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-08-3P, (R)-3-[2-Ethyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-09-4P, 3-[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)propoxy]-2-methylphenyl]propionic acid trifluoroacetate 847352-19-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847345-17-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

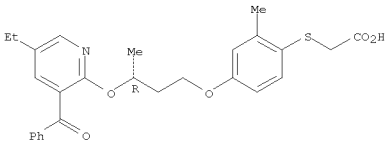
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



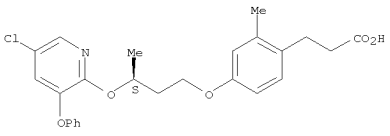
RN 847345-23-7 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-75-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

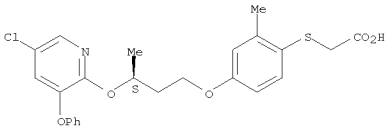
Absolute stereochemistry.



RN 847345-79-3 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

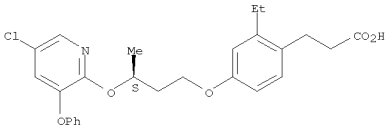
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



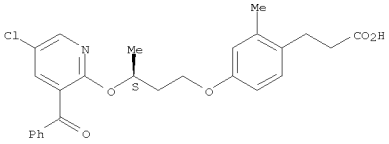
RN 847345-81-7 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-84-0 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

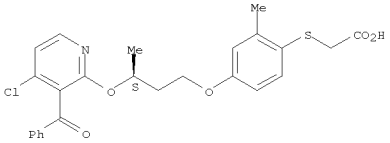
Absolute stereochemistry.



RN 847345-88-4 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

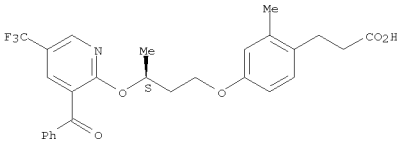
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



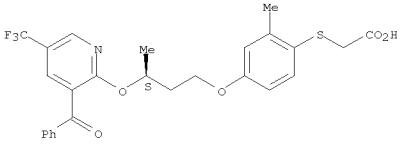
RN 847345-90-8 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-93-1 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

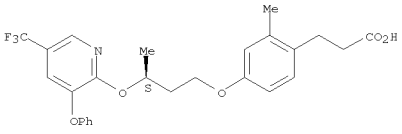
Absolute stereochemistry.



RN 847345-95-3 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

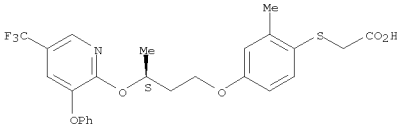
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



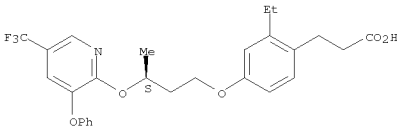
RN 847345-98-6 CAPLUS
CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



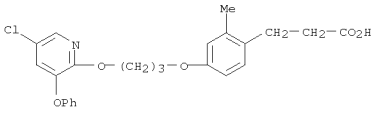
RN 847346-00-3 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



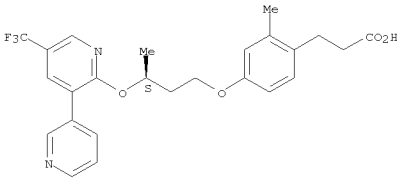
RN 847346-05-8 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



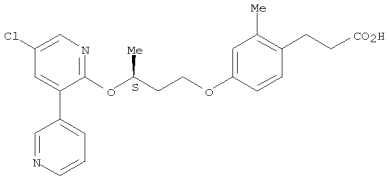
RN 847346-11-6 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-14-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro[3,3'-bipyridin]-2-yl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

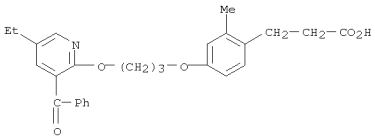
Absolute stereochemistry.



RN 847346-17-2 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

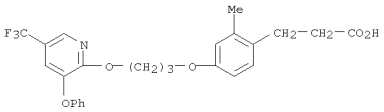
L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847346-09-2 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-08-1
CMF C25 H24 F3 N O5

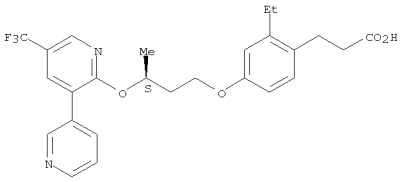


CM 2

CRN 76-05-1
CMF C2 H F3 O2

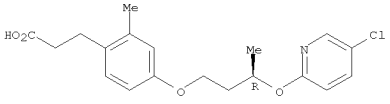
RN 847346-10-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



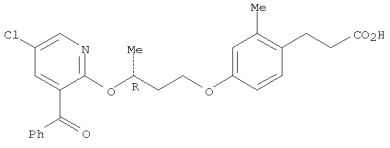
RN 847348-12-3 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-00-5 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

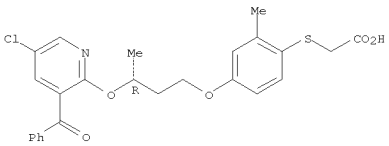
Absolute stereochemistry.



RN 847352-01-6 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

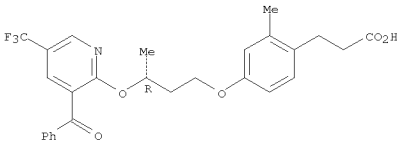
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



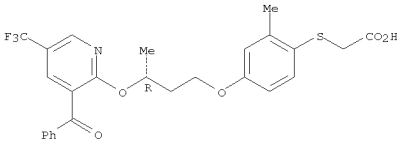
RN 847352-02-7 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-03-8 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

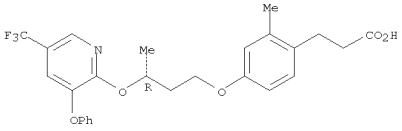
Absolute stereochemistry.



RN 847352-04-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

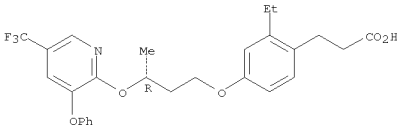
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-08-3 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-09-4 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

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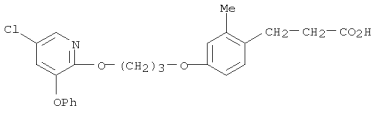
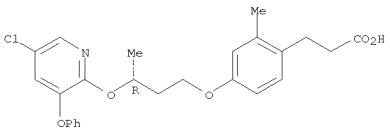
CRN 847346-10-5
CMF C24 H24 Cl N O5



CM 2

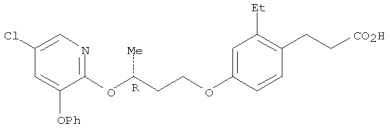
CRN 76-05-1
CMF C2 H F3 O2

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



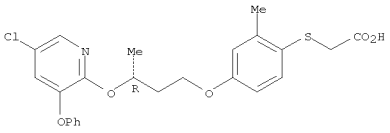
RN 847352-05-0 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-06-1 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



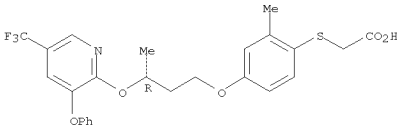
RN 847352-07-2 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

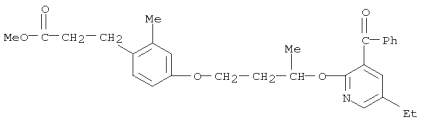
RN 847352-19-6 CAPLUS
CN Acetic acid, [[2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

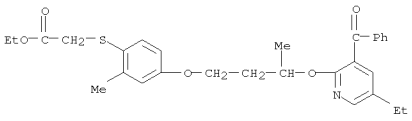


IT 847345-22-6P, 3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid methyl ester 847345-24-8P, [[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-78-2P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-80-6P, [[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-82-8P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-ethylphenyl]propionic acid ethyl ester 847345-87-3P, 3-[4-[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-89-5P, [[4-[[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-92-0P, 3-[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-94-2P, [[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-97-5P, 3-[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid methyl ester 847345-99-7P, [[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfanyl]acetic acid ethyl ester 847346-01-4P, 3-[2-Ethyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid ethyl ester 847346-06-9P, 3-[4-[[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid methyl ester 847346-13-8P, 3-[2-Methyl-4-[[(S)-3-[(5-trifluoromethyl-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]phenyl]propionic acid methyl ester 847346-16-1P, 3-[4-[[(S)-3-[(5-Chloro-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847346-18-3P, 3-[2-Ethyl-4-[[(S)-3-[(5-trifluoromethyl-[3,3']bipyridinyl-2-yl)oxy]butyl]oxy]phenyl]propionic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Reactant or reagent)
(intermediate; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR
agonists)
RN 847345-22-6 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

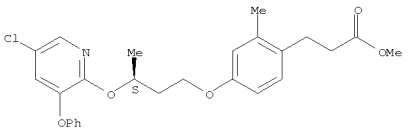


RN 847345-24-8 CAPLUS
CN Acetic acid, [[4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



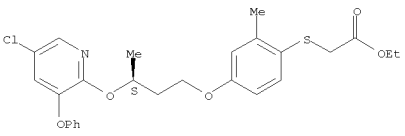
RN 847345-78-2 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



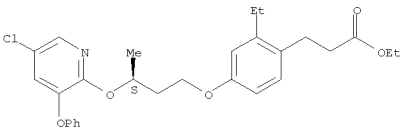
RN 847345-80-6 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



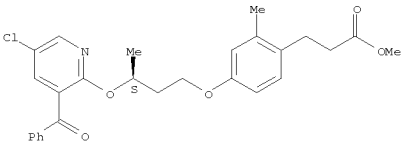
RN 847345-82-8 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



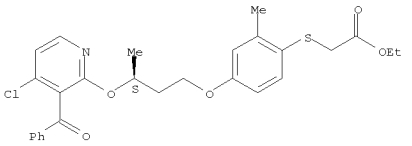
RN 847345-87-3 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



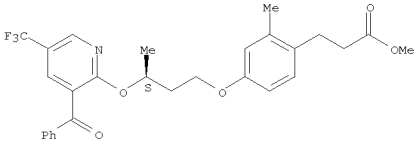
RN 847345-89-5 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



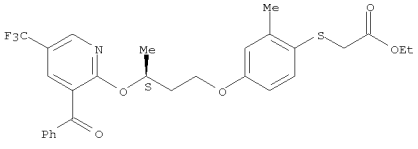
RN 847345-92-0 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-94-2 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

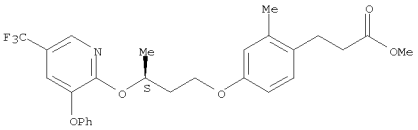
Absolute stereochemistry.



RN 847345-97-5 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

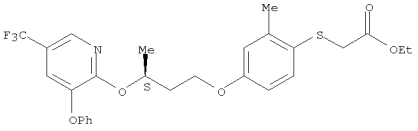
Absolute stereochemistry.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



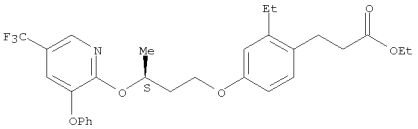
RN 847345-99-7 CAPLUS
CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



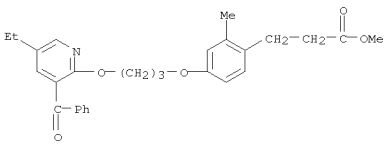
RN 847346-01-4 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



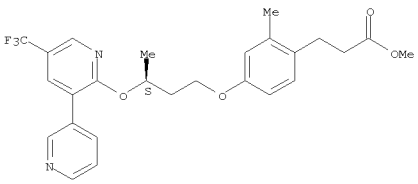
RN 847346-06-9 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl-, methyl ester (CA INDEX NAME)

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



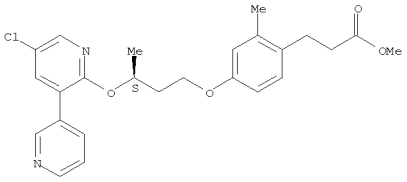
RN 847346-13-8 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-16-1 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro[3,3'-bipyridin]-2-yl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

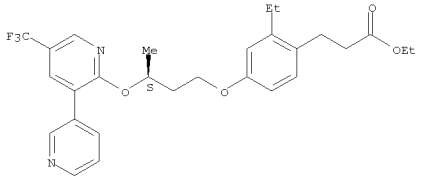
Absolute stereochemistry.



L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 847346-18-3 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[5-(trifluoromethyl)[3,3'-bipyridin]-2-yl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:511824 CAPLUS
DOCUMENT NUMBER: 139:94263
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Liu, Shuang; Rajopadhye, Milind; Sworin, Michael
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 146 pp., Cont.-in-part of U.S. 6,416,733.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003124053	A1	20030703	US 2002-151663	20020520
US 6416733	B1	20020709	US 1997-943659	19971003
WO 2003099810	A2	20031204	WO 2003-US16008	20030520
WO 2003099810	A3	20040429		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237903	A1	20031212	AU 2003-237903	20030520

PRIORITY APPLN. INFO.:

US 1996-27955P	P	19961007
US 1997-943659	A2	19971003
US 2002-151663	A	20020520
WO 2003-US16008	W	20030520

OTHER SOURCE(S): MARPAT 139:94263
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

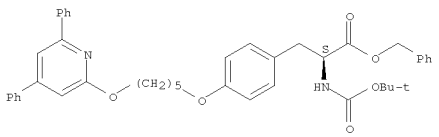
AB Claimed are compds. capable of direct transformation into a radiopharmaceutical having a binding affinity for the LTB4 receptor of <1000 nm. The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assocd. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I was used to prep. 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models. Also, indium-111 complexes, e.g., of DOTA deriv. II (R = CH2CH2CO2H), were prepd. as claimed radiopharmaceuticals.

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 206266-68-4P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester 206266-69-5P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- 206266-71-9P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of leukotriene antagonist ligands and their 99mTc complexes for imaging and treatment of infection and inflammation)

RN 206266-68-4 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

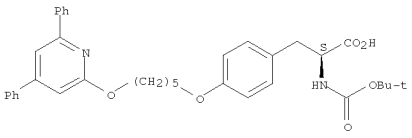
Absolute stereochemistry.



RN 206266-69-5 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

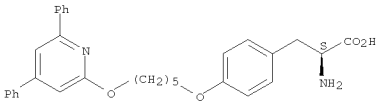


RN 206266-71-9 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8
CMF C31 H32 N2 O4

Absolute stereochemistry.



CM 2

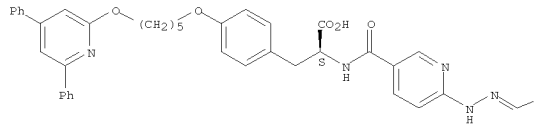
CRN 76-05-1
CMF C2 H F3 O2

IT 206263-48-1P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as leukotriene antagonist ligands for imaging and treatment of

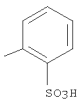
L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
infection and inflammation)
RN 206263-48-1 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



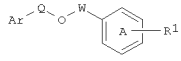
PAGE 1-B



L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:964313 CAPLUS
DOCUMENT NUMBER: 138:55745
TITLE: Preparation of substituted 3-phenyl-2-alkoxypropanoic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions
INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.; Montrose-Rafezadeh, Chahrzad; Reifel-Miller, Anne; Prieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferritto Crespo, Rafael; Lamas-Peteira, Carlos; Martin-Ortega Finger, Maria; Ardecky, Robert J.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated
SOURCE: PCT Int. Appl., 458 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100813	A2	20021219	WO 2002-US16950	20020530
WO 2002100813	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2449256	A1	20021219	CA 2002-2449256	20020530
AU 2002312147	A1	20021223	AU 2002-312147	20020530
EE 200400001	A	20040216	EE 2004-1	20020530
EP 1392637	A2	20040303	EP 2002-739503	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010190	A	20040406	BR 2002-10190	20020530
CN 1543451	A	20041103	CN 2002-811530	20020530
HU 2004000280	A2	20050128	HU 2004-280	20020530
HU 2004000280	A3	20060130		
JP 2005509590	T	20050414	JP 2003-503584	20020530
NZ 529351	A	20060127	NZ 2002-529351	20020530
IN 2003KN01456	A	20060414	IN 2003-KN1456	20031110
ZA 2003008863	A	20050214	ZA 2003-8863	20031113
US 2005020684	A1	20050127	US 2003-479262	20031201
US 7192982	B2	20070320		
MX 2003PA11201	A	20040226	MX 2003-PA11201	20031204
US 2007276138	A1	20071129	US 2006-637223	20061211
PRIORITY APPLN. INFO.:			US 2001-297144P P	20010607
			WO 2002-US16950 W	20020530
			US 2003-479262 A1	20031201

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 138:55745
GI



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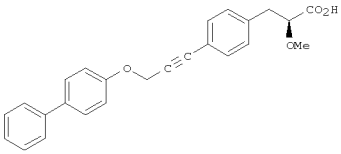


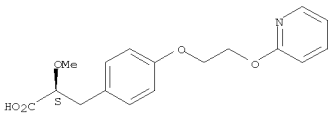
II

AB Title compds. I [wherein Ar = (un)substituted aryl; Q = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond.C, O, CO, NR7, NR7CO, C(=NOH), S, SO, SO2, or CHNR7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)nCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or CH=CY(CH2)mE; E = CO2R3, alkylnitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSOR4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = O, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un)substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3-hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%). Substitution with propargyl alc. in the presence of PdCl2(PPh3)2 and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPARγ agonists or PPARα/PPARγ co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabetes, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesteremia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

IT 477984-04-6P, (2S)-2-Methoxy-3-[4-[2-(pyridin-2-

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
yloxy)ethoxy]phenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR modulator; prepn. of substituted (phenyl)(alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)
RN 477984-04-6 CAPLUS
CN Benzenepropanoic acid, α-methoxy-4-[2-(2-pyridinyloxy)ethoxy]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:516582 CAPLUS
DOCUMENT NUMBER: 137:87495
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John A.; Cheesman, Edward H.; Harris, Thomas D.; Liu, Shuang; Rajopadhye, Milind; Sworin, Michael
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S., 128 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6416733	B1	20020709	US 1997-943659	19971003
US 2003007927	A1	20030109	US 2002-109374	20020327
US 2003124053	A1	20030703	US 2002-151663	20020520
PRIORITY APPLN. INFO.:			US 1996-27955P	P 19961007
			US 1997-943659	A1 19971003

OTHER SOURCE(S): MARPAT 137:87495
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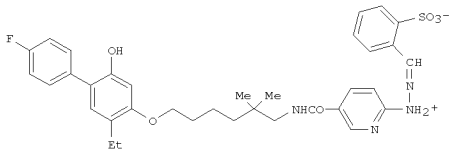
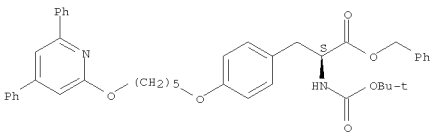


I

AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases associated with infection and inflammation. Thus, the leukotriene antagonist (I) was prepared and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compound I was used to prepare 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-

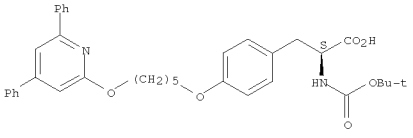
L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models.
IT 206266-68-4P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester
206266-69-5P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- 206266-71-9P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of leukotriene antagonist ligands and their 99mTc complexes for imaging and treatment of infection and inflammation)
RN 206266-68-4 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 206266-69-5 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.



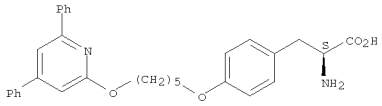
RN 206266-71-9 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8
CMF C31 H32 N2 O4

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



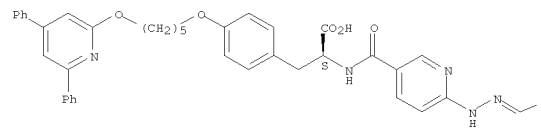
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 206263-48-1P, L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)
RN 206263-48-1 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

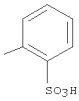
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:353325 CAPLUS
DOCUMENT NUMBER: 136:362949
TITLE: Technetium-99m and indium-111 complexes for simultaneous dual isotope imaging of perfusion and inflammation
INVENTOR(S): Carpenter, Alan P., Jr.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: PCT Int. Appl., 439 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036173	A2	20020510	WO 2001-US46153	20011102
WO 2002036173	A3	20020926		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2427911	A1	20020510	CA 2001-2427911	20011102
AU 2002030576	A	20020515	AU 2002-30576	20011102
US 2003003049	A1	20030102	US 2001-2359	20011102
US 6770259	B2	20040803		
EP 1347784	A2	20031001	EP 2001-990810	20011102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512382	T	20040422	JP 2002-538982	20011102
HU 2004000758	A2	20040728	HU 2004-758	20011102
HU 2004000758	A3	20050228		
US 2004247523	A1	20041209	US 2004-865457	20040610

PRIORITY APPLN. INFO.: US 2000-245554P P 20001103
US 2001-2359 A3 20011102
WO 2001-US46153 W 20011102

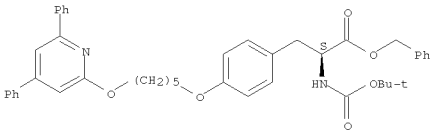
OTHER SOURCE(S): MARPAT 136:362949
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides novel diagnostic compns., e.g., 99mTc complex of I or 111In complex of II, comprising a radiolabeled LTB4

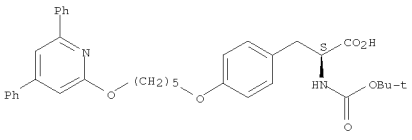
L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
binding agent and a radiolabeled perfusion imaging agent, wherein the radiolabeled agents have spectrally separable energies, diagnostic kits comprising such compns., and methods of concurrent imaging in a mammal comprising administering a radiolabeled LTB4 binding agent and a radiolabeled perfusion imaging agent, and concurrently detecting the radiolabeled LTB4 binding agent bound at the LTB4 receptor and the radiolabeled perfusion imaging agent. The method is for use in concurrent
imaging sites of inflammation and organ perfusion.
IT 206266-68-4P 206266-69-5P 206266-71-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of leukotriene antagonist ligands and their
99mTc complexes for simultaneous dual isotope imaging of perfusion and inflammation)
RN 206266-68-4 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 206266-69-5 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.



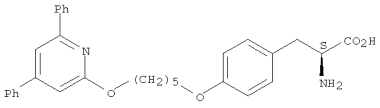
RN 206266-71-9 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8
CMF C31 H32 N2 O4

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



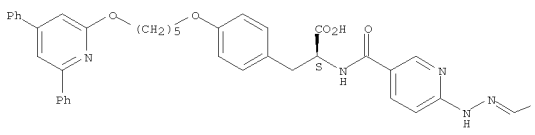
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 206263-48-1P
RL: BSU (Biological study, unclassified); DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation as leukotriene antagonist ligands for simultaneous dual isotope
imaging of perfusion and inflammation)
RN 206263-48-1 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

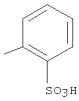
Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

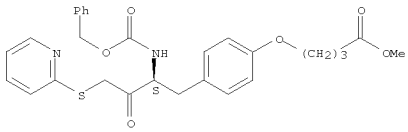
L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:893596 CAPLUS
DOCUMENT NUMBER: 136:167655
TITLE: Polymer-supported approach for solution-phase synthesis of cysteine trap protease inhibitors: procedure for straightforward optimization of the P1-P1' pocket
AUTHOR(S): Yadav-Bhatnagar, Neerja; Desjonqueres, Nicolas; Mauger, Jacques
CORPORATE SOURCE: Automated Synthesis & New Technologies, Aventis Pharma, Romainville, F-93325, Fr.
SOURCE: Journal of Combinatorial Chemistry (2002), 4(1), 49-55
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:167655

AB Peptide-based reversible and irreversible cysteine proteases inhibitors are well reported in the literature. Many of these compds. have an electrophilic carbonyl group as a cysteine trap in the place of a scissile amide moiety of the natural substrate. As a common mechanism strategy, we have designed a probe library of a cysteine trap for rapid optimization of P1-P1' pockets of different cysteine proteases. The synthesis of this library using a straightforward methodol. based on polymer-supported reagents and scavengers to avoid tedious purification steps has been achieved. For the selective monobromination of diazo ketones, preparation of a new supported reagent, piperidinoaminomethylpolystyrene hydrobromide, is also described.

IT 396727-15-4P
RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation)
(synthesis of library of amino acid derivs. as cysteine trap protease inhibitors by nucleophilic substitution and using polymer-supported reagents)
RN 396727-15-4 CAPLUS
CN Butanoic acid, 4-[4-[(2S)-3-oxo-2-[[(phenylmethoxy)carbonyl]amino]-4-(2-pyridinylthio)butyl]phenoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:833317 CAPLUS
DOCUMENT NUMBER: 135:358164
TITLE: Preparation of amino acid derivatives as novel vitronectin receptor antagonists
INVENTOR(S): Demassey, Jacques; Gourvest, Jean-Francois; Ruxer, Jean-Marie; Weston, John Bernard; Lefrancois, Jean-Michel
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

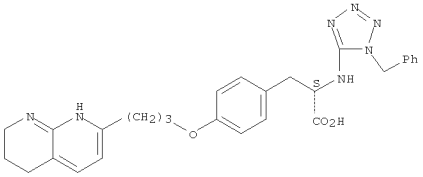
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085729	A1	20011115	WO 2001-FR1357	20010504
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2808798	A1	20011116	FR 2000-5859	20000509
CA 2408293	A1	20011115	CA 2001-2408293	20010504
EP 1282621	A1	20030212	EP 2001-931789	20010504
EP 1282621	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003532732	T	20031105	JP 2001-582330	20010504
AT 304012	T	20050915	AT 2001-931789	20010504
ES 2249431	T3	20060401	ES 2001-931789	20010504
US 2004225111	A1	20041111	US 2002-275409	20021104
US 6838453	B2	20050104		
MX 2002PA11043	A	20030310	MX 2002-PA11043	20021108
PRIORITY APPLN. INFO.:			FR 2000-5859 A	20000509
			WO 2001-FR1357 W	20010504

OTHER SOURCE(S): MARPAT 135:358164

AB Vitronectin receptor (VnR) antagonist compds. R1-Y-A-B-D-E-F-G [R1 is R3C(:NR2)NR2, R2R3NC(:NR2), R2R3NC(:NR2)NR2 (R2, R3 = H, alkyl, haloalkyl, cycloalkyl, aryl, NH2, etc.); Y is a bond or NR2; A is a bond, alkylene, NR2CONR2, NR2CO2, NR2C(O)S, NR2C(S)NR2, cycloalkylene, C.tplbond.C, arylene-C(O)NR2, O, SO, SO2, arylene-CO, etc., which may be substituted by alkylene ; B is a bond, alkylene, CR2:CR3 or C.tplbond.C, which may be substituted by alkylene; D, F are a bond, alkylene, O, NR2, CONR2, NR2CO, NR2CONR2, S, C.tplbond.C, CH(OH), etc., which may be substituted by alkylene; E is a mono- or polycyclic ring system; G is CR4(NHR5)(CH2)qR6 (q = 0 or 1; R4 is H, F, alkyl, etc.; R5 is a mono- or polycyclic ring system; R6 is C(O)R9, C(S)R9, S(O)nR9, P(O)R9n, where n = 1 or 2 and R9 = OH, alkoxy, aryloxy, etc., or a heterocyclic ring)] or their physiol. acceptable salts and prodrugs were prepared for use in pharmaceutical compns. Thus, N-(1-benzyl-1H-tetrazol-5-yl)-O-[3-(5,6,7,8-tetrahydro-1,8-

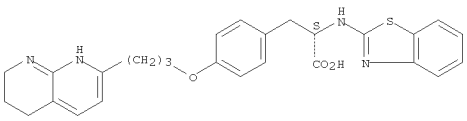
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
naphthyridin-2-yl)propyl]-L-tyrosine, prepd. by a multistep procedure from
N-(benzyloxycarbonyl)-L-tyrosine Et ester, 2-(3-bromopropyl)-2-methyl-1,3-dioxolane, 2-amino-3-pyridinecarboxaldehyde, and 1-benzyl-5-fluoro-1H-tetrazole, showed K/VnR IC50 = 9 nM.
IT 372135-88-1P 372135-89-2P 372135-90-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as novel vitronectin receptor antagonists)
RN 372135-88-1 CAPLUS
CN L-Tyrosine, N-[1-(phenylmethyl)-1H-tetrazol-5-yl]-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372135-89-2 CAPLUS
CN L-Tyrosine, N-2-benzothiazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

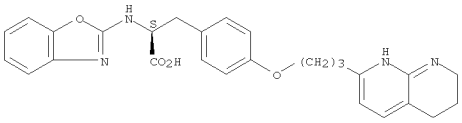
Absolute stereochemistry.



RN 372135-90-5 CAPLUS
CN L-Tyrosine, N-2-benzoxazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

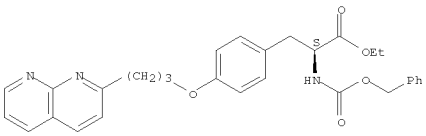
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



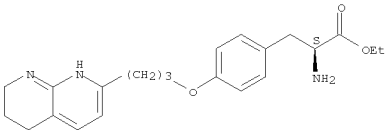
IT 372136-39-5P 372136-40-8P 372136-41-9P
372136-42-0P 372136-43-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as novel vitronectin receptor antagonists)
RN 372136-39-5 CAPLUS
CN L-Tyrosine, O-[3-(1,8-naphthyridin-2-yl)propyl]-N-[(phenylmethoxy)carbonyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



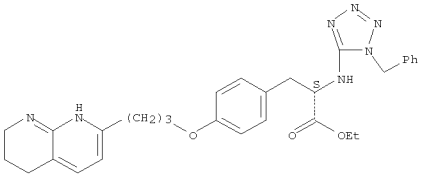
RN 372136-40-8 CAPLUS
CN L-Tyrosine, O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



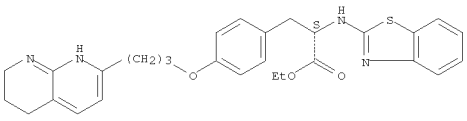
L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 372136-41-9 CAPLUS
CN L-Tyrosine, N-[1-(phenylmethyl)-1H-tetrazol-5-yl]-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



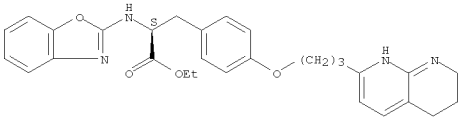
RN 372136-42-0 CAPLUS
CN L-Tyrosine, N-2-benzothiazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372136-43-1 CAPLUS
CN L-Tyrosine, N-2-benzoxazolyl-O-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

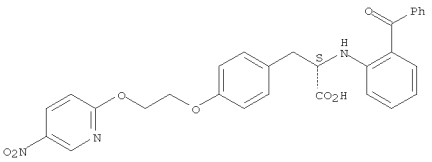
L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:713389 CAPLUS
DOCUMENT NUMBER: 130:104774
TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPARγ Agonists. 2. Structure-Activity Relationship and Optimization of the Phenyl Alkyl Ether Moiety
AUTHOR(S): Collins, Jon L.; Blanchard, Steven G.; Boswell, G. Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.; Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen; Lenhard, James M.; Orband-Miller, Lisa A.; Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin
CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(25), 5037-5054
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We previously reported the identification of (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl}propanoic acid (I) (PPARγ pKi = 8.94, PPARγ pEC50 = 9.47) as a potent and selective PPARγ agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPARγ agonists with improved aqueous solubility Specifically, replacement of the Ph ring of the phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-pyridin-4-yloxazol-4-yl)ethoxy]phenyl}propionic acid (PPARγ pKi = 8.85, PPARγ pEC50 = 8.74) or a 4-methylpiperazine to give (2S)-((2-benzoylphenyl)amino)-3-(4-{2-[5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-yl]ethoxy}phenyl)propionic acid (PPARγ pKi = 8.66, PPARγ pEC50 = 8.89) provided two potent and selective PPARγ agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set of Ph alkyl ethers which led to the identification of a number of novel, high-affinity PPARγ ligands (PPARγ pKi's 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPARγ binding, functional activity, selectivity, and aq. soly.

IT 196809-64-0P 196809-76-4P 219597-80-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine analogs as PPARγ agonists)

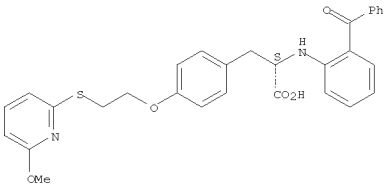
RN 196809-64-0 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 196809-76-4 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(6-methoxy-2-pyridinyl)thio]ethyl]- (CA INDEX NAME)

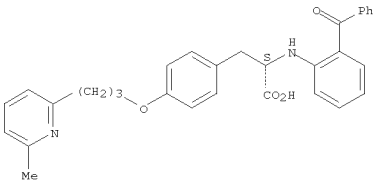
Absolute stereochemistry.



RN 219597-80-5 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-(6-methyl-2-pyridinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

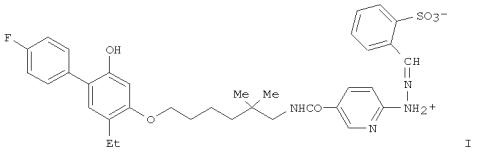
L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:239130 CAPLUS
DOCUMENT NUMBER: 128:303347
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Rajopadhye, Milind
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 352 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815295	A2	19980416	WO 1997-US18096	19971006
WO 9815295	A3	19980827		
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2267767	A1	19980416	CA 1997-2267767	19971006
AU 9852381	A	19980505	AU 1998-52381	19971006
AU 736481	B2	20010726		
BR 9712281	A	19990831	BR 1997-12281	19971006
CN 1239895	A	19991229	CN 1997-180342	19971006
EP 999856	A2	20000517	EP 1997-947259	19971006
EP 999856	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
HU 2000001167	A2	20000628	HU 2000-1167	19971006
HU 2000001167	A3	20020328		
NZ 335539	A	20010629	NZ 1997-335539	19971006
JP 2001525796	T	20011211	JP 1998-517680	19971006
EP 1293214	A2	20030319	EP 2002-79932	19971006
EP 1293214	A3	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 240123	T	20030515	AT 1997-947259	19971006
ES 2198010	T3	20040116	ES 1997-947259	19971006
ZA 9708956	A	19990416	ZA 1997-8956	19971007
KR 2000048922	A	20000725	KR 1999-702953	19990406
MX 9903234	A	20001130	MX 1999-3234	19990407
AU 758249	B2	20030320	AU 2001-48113	20010530

PRIORITY APPLN. INFO.: US 1996-726507 A 19961007
AU 1998-52381 A3 19971006
EP 1997-947259 A3 19971006
WO 1997-US18096 W 19971006

OTHER SOURCE(S): MARPAT 128:303347
GI

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

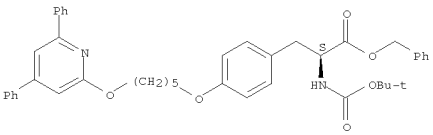
AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for preparing the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases associated with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases associated with infection and inflammation. Thus, the leukotriene antagonist (I) was prepared and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compound I was used to prepare 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

IT 206266-68-4P 206266-69-5P 206266-71-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of leukotriene antagonist ligands and their 99mTc complexes for imaging and treatment of infection and inflammation)

RN 206266-68-4 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (CA INDEX NAME)

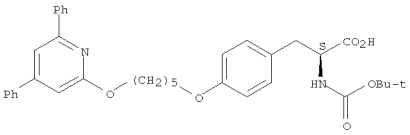
Absolute stereochemistry.

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 206266-69-5 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (CA INDEX NAME)

Absolute stereochemistry.

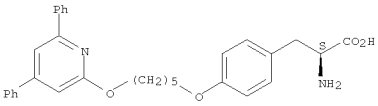


RN 206266-71-9 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 206266-70-8
CMF C31 H32 N2 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

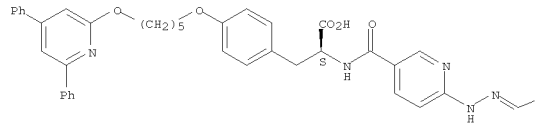
L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 206263-48-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

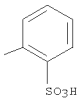
RN 206263-48-1 CAPLUS
CN L-Tyrosine, O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-N-[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:594721 CAPLUS
DOCUMENT NUMBER: 127:278064
TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma
INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

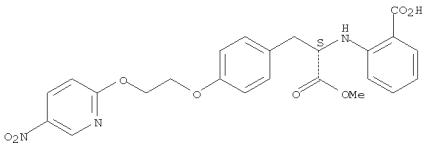
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731907	A1	19970904	WO 1997-EP916	19970226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2247443	A1	19970904	CA 1997-2247443	19970226
AU 9720935	A	19970916	AU 1997-20935	19970226
AU 717699	B2	20000330		
ZA 9701645	A	19971210	ZA 1997-1645	19970226
EP 888317	A1	19990107	EP 1997-906130	19970226
EP 888317	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1218460	A	19990602	CN 1997-193988	19970226
CN 1093124	B	20021023		
BR 9707786	A	19990727	BR 1997-7786	19970226
JP 2000507216	T	20000613	JP 1997-530586	19970226
JP 3255930	B2	20020212		
NZ 331381	A	20000623	NZ 1997-331381	19970226
HU 2000004845	A2	20010528	HU 2000-4845	19970226
HU 2000004845	A3	20010730		
IL 125796	A	20010614	IL 1997-125796	19970226
AT 205485	T	20010915	AT 1997-906130	19970226
ES 2163125	T3	20020116	ES 1997-906130	19970226
PT 888317	T	20020328	PT 1997-906130	19970226
SK 282753	B6	20021203	SK 1998-1163	19970226
HR 970110	B1	20030630	HR 1997-110	19970226
IN 1997DE00491	A	20050311	IN 1997-DE491	19970226
CZ 295383	B6	20050713	CZ 1998-2750	19970226
PL 191118	B1	20060331	PL 1997-328871	19970226
TW 391958	B	20000601	TW 1997-86102826	19970307
US 6294580	B1	20010925	US 1998-125750	19980825
NO 9803940	A	19981027	NO 1998-3940	19980827
NO 311516	B1	20011203		
HK 1015369	A1	20020215	HK 1999-100498	19990205

PRIORITY APPLN. INFO.: GB 1996-4242 A 19960228

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
WO 1997-EP916 W 19970226

OTHER SOURCE(S): MARPAT 127:278064
AB Compds. 4-(A-B-O)C6H4-Q-CHZCO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylenephenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.
IT 196809-03-7P 196809-04-8P 196809-65-1P
196809-77-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)
RN 196809-03-7 CAPLUS
CN L-Tyrosine, N-(2-carboxyphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-, α-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 196809-04-8 CAPLUS
CN L-Tyrosine, N-(2-carboxyphenyl)-O-[2-[(5-chloro-2-pyridinyl)thio]ethyl]-, α-methyl ester (9CI) (CA INDEX NAME)

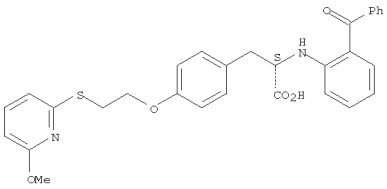
Absolute stereochemistry.

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 196809-76-4
CMF C30 H28 N2 O5 S

Absolute stereochemistry.



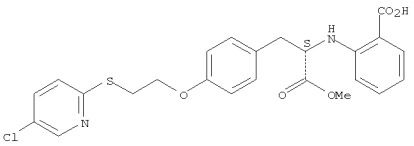
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 196811-82-2P 196811-84-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)
RN 196811-82-2 CAPLUS
CN L-Tyrosine, N-[2-(methoxycarbonyl)phenyl]-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

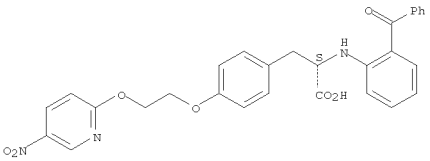


RN 196809-65-1 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-[(5-nitro-2-pyridinyl)oxy]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 196809-64-0
CMF C29 H25 N3 O7

Absolute stereochemistry.

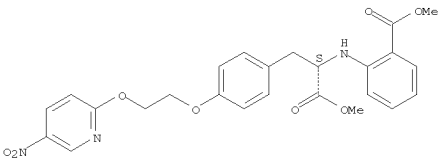


CM 2

CRN 76-05-1
CMF C2 H F3 O2

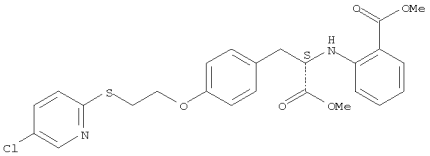
RN 196809-77-5 CAPLUS
CN L-Tyrosine,
N-(2-benzoylphenyl)-O-[2-[(6-methoxy-2-pyridinyl)thio]ethyl]-,

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 196811-84-4 CAPLUS
CN L-Tyrosine, O-[2-[(5-chloro-2-pyridinyl)thio]ethyl]-N-[2-(methoxycarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



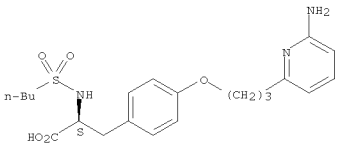
L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:547298 CAPLUS
DOCUMENT NUMBER: 127:149074
TITLE: Pyridine derivatives and analogs useful as vitronectin receptor antagonists
INVENTOR(S): Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

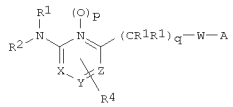
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724122	A1	19970710	WO 1996-US20744	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KZ, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241724	A1	19970710	CA 1996-2241724	19961220
AU 9713538	A	19970728	AU 1997-13538	19961220
EP 895475	A1	19990210	EP 1996-945085	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1209060	A	19990224	CN 1996-180099	19961220
BR 9612378	A	19990713	BR 1996-12378	19961220
JP 2000502708	T	20000307	JP 1997-524556	19961220
HU 9901116	A2	20000328	HU 1999-1116	19961220
ZA 9610855	A	19971124	ZA 1996-10855	19961223
NO 9803002	A	19980826	NO 1998-3002	19980626
US 2001034445	A1	20011025	US 2001-769125	20010124
PRIORITY APPLN. INFO.:			US 1995-9532P P	19951229
			WO 1996-US20744 W	19961220
			US 1998-91936 B1	19981203

OTHER SOURCE(S): MARPAT 127:149074
GI

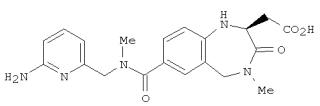
L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II

AB Title compds. I [A = fibrinogen antagonist template; W = (CHR3)nU(CHR3)mV;
X, Y, Z = N or CR4, provided that at most one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = R1, COR1, CO2R1; R3 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R4 = H, halo, OR3, SR3, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR3R3, S, SO, SO2, O, NR3, etc.; n, m = 0, 1, 2; p, q = 0, 1; with addnl. provisos] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25 μM, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include prepns. of 35 title compds., with characterizing data for 4 of them. For instance, amidation of 6-[(methylamino)methyl]-2-pyridinamine with the corresponding carboxybenzodiazepineacetate derivative, and saponification of the product with LiOH in aqueous THF, gave title compound II.
IT 193469-96-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine derivs. and analogs as vitronectin receptor antagonists)
RN 193469-96-4 CAPLUS
CN L-Tyrosine, O-[3-(6-amino-2-pyridinyl)propyl]-N-(butylsulfonyl)- (CA INDEX NAME)

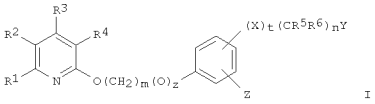
Absolute stereochemistry.

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:997533 CAPLUS
DOCUMENT NUMBER: 124:175842
TITLE: Preparation of substituted pyridine leukotriene B4 antagonists
INVENTOR(S): Cohen, Noal; Lee, Ferdinand Kwo-Chen; Yagaloff, Keith Alan
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528386	A1	19951026	WO 1995-EP1262	19950406
W: AU, BR, CA, CN, JP, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2186252	A1	19951026	CA 1995-2186252	19950406
AU 9522569	A	19951110	AU 1995-22569	19950406
AU 690258	B2	19980423		
ZA 9502859	A	19960104	ZA 1995-2859	19950406
EP 755381	A1	19970129	EP 1995-915853	19950406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1145619	A	19970319	CN 1995-192521	19950406
JP 09505605	T	19970603	JP 1995-526671	19950406
JP 2866202	B2	19990308		
BR 9507459	A	19971111	BR 1995-7459	19950406
PRIORITY APPLN. INFO.:			US 1994-228246 A	19940413
			US 1995-395092 A	19950306
			WO 1995-EP1262 W	19950406

OTHER SOURCE(S): MARPAT 124:175842
GI



I

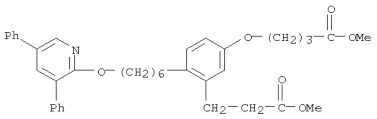
AB The title compds. [I; X = O, CO; Y = CN, S(O)uR8, NR5SO2R8, OR9, R10, etc.; Z = (O)y(CR5R6)sR10, (O)y(CR5R6)vOR9, R10; R1, R3 = (un)substituted aryl, heteroaryl, alkyl, aralkyl; R2 = H, lower alkyl, halogen, lower alkoxy; R4 = H, lower alkyl; R5, R6 = H, lower alkyl; R7 = hydroxy, lower alkoxy, NR5R6; R8 = lower alkyl, (un)substituted aryl or aralkyl; R9 = H, lower alkyl, (un)substituted aryl, aralkyl, lower alkanoyl or aroyl; R10 =

L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
COR7, CONHSO2R8, 1H-tetrazol-5-yl; m = 3-8; n, s = 1-12; t = 0, 1; u = 0-2; v = 2-12; yr = 0, 1; z = 0, 1; etc.], which are leukotriene B4 antagonists useful in the treatment of inflammatory diseases (no data), asthma (no data), allergies (no data), arthritis (no data), etc. (no data), are prepd. and I-contg. formulations presented. Thus,

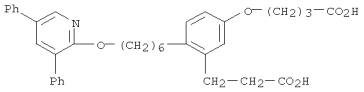
2-(3-carboxypropoxy)-6-[6-[(4,6-diphenyl-2-pyridinyl)oxy]hexyl]benzeneprop anoic acid was prepd. and demonstrated, in guinea pigs at 0.1 mg/kg, an 86% remission of leukotriene B4-induced bronchoconstriction.

IT 173839-23-1P 173839-24-2P 173839-25-3P
173839-26-4P 173839-27-5P 173839-28-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyridine leukotriene B4 antagonists)

RN 173839-23-1 CAPLUS
CN Benzenepropanoic acid, 2-[6-[(3,5-diphenyl-2-pyridinyl)oxy]hexyl]-5-(4-methoxy-4-oxobutoxy)-, methyl ester (CA INDEX NAME)

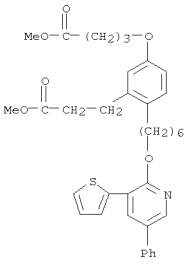


RN 173839-24-2 CAPLUS
CN Benzenepropanoic acid, 5-(3-carboxypropoxy)-2-[6-[(3,5-diphenyl-2-pyridinyl)oxy]hexyl]- (CA INDEX NAME)

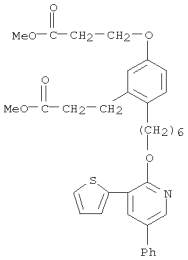


RN 173839-25-3 CAPLUS
CN Benzenepropanoic acid, 2-[6-[[3-(4-fluorophenyl)-5-phenyl-2-pyridinyl]oxy]hexyl]-5-(4-methoxy-4-oxobutoxy)-, methyl ester (CA INDEX NAME)

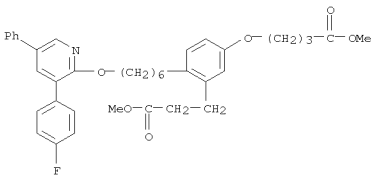
L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



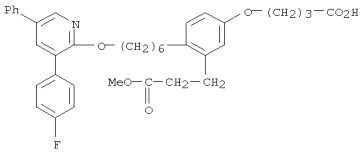
RN 173839-28-6 CAPLUS
CN Benzenepropanoic acid, 5-(3-methoxy-3-oxopropoxy)-2-[6-[[5-phenyl-3-(2-thienyl)-2-pyridinyl]oxy]hexyl]-, methyl ester (CA INDEX NAME)



L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 173839-26-4 CAPLUS
CN Benzenepropanoic acid, 5-(3-carboxypropoxy)-2-[6-[[3-(4-fluorophenyl)-5-phenyl-2-pyridinyl]oxy]hexyl]-, α-methyl ester (9CI) (CA INDEX NAME)



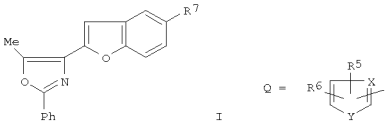
RN 173839-27-5 CAPLUS
CN Benzenepropanoic acid, 5-(4-methoxy-4-oxobutoxy)-2-[6-[[5-phenyl-3-(2-thienyl)-2-pyridinyl]oxy]hexyl]-, methyl ester (CA INDEX NAME)

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:737270 CAPLUS
DOCUMENT NUMBER: 123:143628
TITLE: Preparation of 3-aryl-2-hydroxypropionates and analogs
as hypoglycemic and hypocholesteremic agents
INVENTOR(S): Hulin, Bernard
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 20 pp. Cont.-in-part of PCT Ser. No. WO91US 3858.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

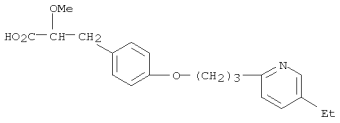
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5306726	A	19940426	US 1992-980404	19921124
US 5089514	A	19920218	US 1990-537673	19900614
CA 2084898	A1	19911215	CA 1991-2084898	19910531
HU 65603	A2	19940728	HU 1992-3943	19910531
AT 149156	T	19970315	AT 1991-911285	19910531
ES 2098356	T3	19970501	ES 1991-911285	19910531
IL 98447	A	19951231	IL 1991-98447	19910611
ZA 9104519	A	19930127	ZA 1991-4519	19910613
US 5438074	A	19950801	US 1993-163781	19931206
JP 07149636	A	19950613	JP 1994-160983	19940713
JP 2581523	B2	19970212		
PRIORITY APPLN. INFO.:			US 1990-537673 A2	19900614
			US 1992-980404 A3	19921124

OTHER SOURCE(S): CASREACT 123:143628; MARPAT 123:143628
GI

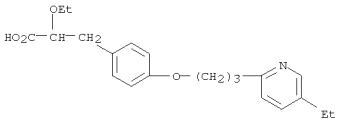


AB R1(CH2)mACHR3CHR4CH(X1R)COY1 [m = 1 and A = (2,3-dihydro)benzofuran-2,5-diyl, benzoxazole-2,5-diyl, etc; m = 0-2 and A = ZZ1; R = (cyclo)alkyl, alkanoyl,, Ph, etc.; R1 = cyclic group Q; R3,R4 = H; R3R4 = bond; R5 = H, NH2, (cyclo)alkyl, Ph, etc.; R6 = H or alkyl; X = S, O, NH, CH:CH, CH:N, etc.; X1 = O, SO0-2; Y = CH or N; Y1 = OH, alkoxy, OPh, OCH2Ph, NH2, etc.;
Z = O, CO, CH2, CH(OH), CH:CH; Z1 = 1,4-C6H4] were prepared as hypoglycemic

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
and hypocholesteremic agents (no data). Thus, 4-bromoacetyl-5-methyl-2-phenyloxazole was cyclocondensed with 5-nitrosalicylaldehyde and the product reduced in 2 steps to give oxazolylmethylbenzofuran I (R7 = NH2) which was condensed with CH2:CHCN and the product converted in 2 steps to I [R7 = CH2CH(SPr)CO2Et].
IT 140129-83-5P 140129-84-6P 140129-85-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-aryl-2-hydroxypropionates and analogs as hypoglycemic and
hypocholesteremic agents)
RN 140129-83-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]-α-methoxy- (CA INDEX NAME)

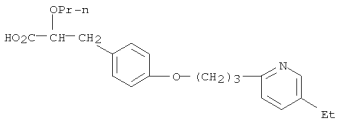


RN 140129-84-6 CAPLUS
CN Benzenepropanoic acid, α-ethoxy-4-[3-(5-ethyl-2-pyridinyl)propoxy]- (CA INDEX NAME)



RN 140129-85-7 CAPLUS
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]-α-propoxy- (CA INDEX NAME)

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:426552 CAPLUS
DOCUMENT NUMBER: 117:26552
TITLE: Preparation of 3-(hetero)aryl-2-hydroxypropionic acids
and analogs as hypoglycemic and hypocholesterolemic agents
INVENTOR(S): Hulin, Bernard
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9119702	A1	19911226	WO 1991-US3858	19910531
W: AU, CA, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5089514	A	19920218	US 1990-537673	19900614
CA 2084898	A1	19911215	CA 1991-2084898	19910531
AU 9179956	A	19920107	AU 1991-79956	19910531
AU 646052	B2	19940203		
EP 533781	A1	19930331	EP 1991-911285	19910531
EP 533781	B1	19970226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05507920	T	19931111	JP 1991-510864	19910531
JP 07005513	B	19950125		
HU 65603	A2	19940728	HU 1992-3943	19910531
AT 149156	T	19970315	AT 1991-911285	19910531
ES 2098356	T3	19970501	ES 1991-911285	19910531
IL 98447	A	19951231	IL 1991-98447	19910611
ZA 9104519	A	19930127	ZA 1991-4519	19910613
NO 9204799	A	19921214	NO 1992-4799	19921211
JP 07149636	A	19950613	JP 1994-160983	19940713
JP 2581523	B2	19970212		

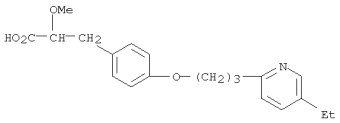
PRIORITY APPLN. INFO.: US 1990-537673 A2 19900614
WO 1991-US3858 A 19910531

OTHER SOURCE(S): MARPAT 117:26552
GI

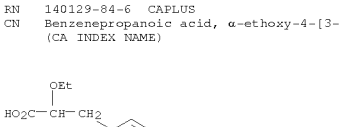
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [A = A1, A2; n = 0, 1; dotted line = optional bond;
R = (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, Ph, phenylalkyl, alkanoyl; X = S, O, NR2, CH:CH, CH:N, N:CH; R2 = H, alkyl, Ph, CH2Ph; Y = CH, N; Z = H, alkyl, cycloalkyl, (un)substituted Ph; X1 = O, S, SO, SO2; Y1 = OH, (un)substituted alkoxy, OPh, OCH2Ph, NH2; Z1 = H, alkyl; W = O, CO, CH2, CH(OH), CH:CH; m = 0, 1, 2] were prepared as hypoglycemic and hypocholesterolemic agents (no data). For example, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]benzaldehyde was condensed with rhodanine in the

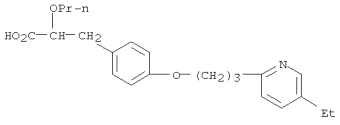
L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
presence of piperidine, and the resultant benzylidenerhodanine deriv. was hydrolyzed by 15% NaOH and S-methylated with MeI to give title compd.
III.
Example syntheses (26) are given and numerous addnl. I and II are listed.
IT 140129-83-5P 140129-84-6P 140129-85-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as hypoglycemic and hypocholesterolemic)
RN 140129-83-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]-α-methoxy- (CA INDEX NAME)



RN 140129-84-6 CAPLUS
CN Benzenepropanoic acid, α-ethoxy-4-[3-(5-ethyl-2-pyridinyl)propoxy]- (CA INDEX NAME)



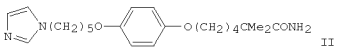
RN 140129-85-7 CAPLUS
CN Benzenepropanoic acid, 4-[3-(5-ethyl-2-pyridinyl)propoxy]-α-propoxy- (CA INDEX NAME)



L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:422967 CAPLUS
DOCUMENT NUMBER: 109:22967
TITLE: Preparation of heterocyclylalkyl ethers and sulfides as antitumor agents
INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro; Numasaki, Yoso; Takahashi, Koichiro
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63179840	A	19880723	JP 1987-170800	19870707
US 4891432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774 A	19860724
			US 1987-74290 A3	19870716

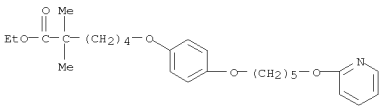
OTHER SOURCE(S): CASREACT 109:22967; MARPAT 109:22967
GI



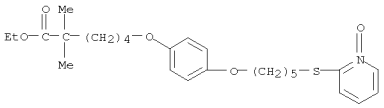
II

AB A(CH2)pX1(CH2)mX2BX3Y(CH2)nCR1R2R3 [I; A = biphenylyl, (un)substituted 5- or 6-membered heterocyclyl, 9- or 10-membered bicyclic heterocyclyl, each containing 1-4 N and, optionally, O, S; X1 = bond, X2; X3 = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diyl, pyrimidindiyl, pyridazindiyl; R1, R2 = H, alkyl; R3 = H, OH, cyano, (un)modified CO2H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors. 4-HOC6H4O(CH2)4CMe2CONH2 was etherified with Br(CH2)5Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
N-decyl-2,2-dimethyl-6-[4-[(5-midazol-1-ylpentyl)oxy]phenoxy]hexanamide 200, lactose 205, cryst. cellulose 50, hydroxypropylcellulose 15, starch 25, and Mg stearate 5 mg.
IT 107831-15-2P 114545-66-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neoplasm inhibitor)
RN 107831-15-2 CAPLUS
CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-(2-pyridinyloxy)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



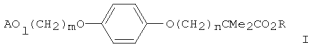
RN 114545-66-3 CAPLUS
CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-[(1-oxido-2-pyridinyl)thio]pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1987:169040 CAPLUS
DOCUMENT NUMBER: 106:169040
TITLE: Anti-tumor imidazolylalkoxy- and pyridyloxyalkoxyphenoxyalkanoates, their preparation, and pharmaceutical compositions containing them
INVENTOR(S): Numasaki, Yoso; Takahashi, Koichiro; Ohata, Isao
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210753	A2	19870204	EP 1986-304966	19860626
EP 210753	A3	19890208		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4758580	A	19880719	US 1986-874547	19860616
AU 8659128	A	19870108	AU 1986-59128	19860620
JP 62089618	A	19870424	JP 1986-150078	19860625
JP 02044810	B	19901005		
AU 8659305	A	19870108	AU 1986-59305	19860626
AU 574926	B2	19880714		
US 4886818	A	19891212	US 1988-198099	19880524
PRIORITY APPLN. INFO.:			JP 1985-140901 A	19850626
			US 1986-874547 A1	19860616

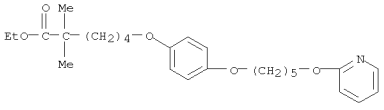
OTHER SOURCE(S): MARPAT 106:169040
GI



I

AB Compds. of formula I (A = imidazolyl, pyridyl; R = H, alkyl, cation; l = 0, 1; m, n = 1-6) are antitumor agents with low toxicity which can be administered orally. I (A = 1-imidazolyl, R = Et, l = 0, m = 5, n = 4) (II) at 100 mg/kg/day orally for 9 days caused 55.8% inhibition of the growth rate of MM-46 tumors in mice, compared to 26.0% inhibition by tetrahydrofuryl-5-fluorouracil at the same dosage. I (A = 2-pyridyl, R = Et, l = 1, m = 5, n = 4) was prepared by reaction of 2-hydroxypyridine with NaH and Et 6-[p-(5-bromopentoxy)phenoxy]-2,2-dimethylhexanoate. Capsules were prepared containing II 200, lactose 205, crystalline cellulose 50, hydroxypropyl cellulose 15, starch 25, and Mg stearate 5 mg.
IT 107831-15-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neoplasm inhibitor)

L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 107831-15-2 CAPLUS
CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-(2-pyridinyloxy)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



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FULL ESTIMATED COST                                     107.87     290.58

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CA SUBSCRIBER PRICE                                     -15.20     -15.20

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DICTIONARY FILE UPDATES:  25 FEB 2008  HIGHEST RN 1005378-46-0

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http://www.cas.org/support/stngen/stndoc/properties.html

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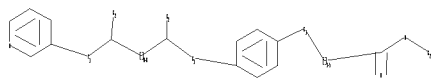

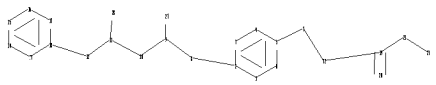

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7 8 9 10 11 12 19 20 21 23 27 28 32
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18
chain bonds :
2-8 5-7 7-32 8-9 9-10 9-27 10-11 11-12 11-28 12-18 19-21 19-20 19-32
21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

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L7      STRUCTURE UPLOADED

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SAMPLE SEARCH INITIATED 14:46:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     9987 TO ITERATE

 20.0% PROCESSED     2000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       193751 TO   205729
PROJECTED ANSWERS:              10 TO      388

L8            2 SEA SSS SAM L7

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SEARCH TIME: 00.00.03

L9           54 SEA SSS FUL L7

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     178.36     468.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                       0.00     -15.20

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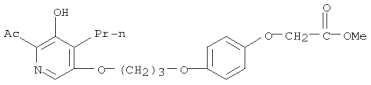
L10 15 L9

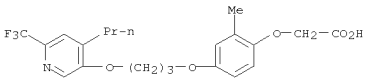
=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 15 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:757659 CAPLUS
DOCUMENT NUMBER: 145:327662
TITLE: Studies towards the conception of new selective PPARβ/δ ligands
AUTHOR(S): Ekambome Bassene, Carine; Suzenet, Franck; Hennuyer, Nathalie; Staels, Bart; Caignard, Daniel-Henri; Dacquet, Catherine; Renard, Pierre; Guillaumet, Gerald
CORPORATE SOURCE: Institut de Chimie Organique et Analytique (I.C.O.A.), UMR-CNRS 6005, FR CNRS 2708, Universite d'Orleans, Orleans, 45067, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(17), 4528-4532
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:327662
AB In order to define new PPARβ/δ ligands, SAR study on the selective PPARβ/δ activator L-165,041 led to the identification of one key functional group for selective PPARβ/δ activation. Furthermore, taking advantage of SAR studies done elsewhere on the most selective PPARβ/δ ligand GW501516, the conception of new ligands showing good affinity for PPARβ/δ is reported. Finally, synthesis and biol. evaluation of pyridine analogs have shown the beneficial effect of the pyridine ring on the PPARβ/δ subtype selectivity.
IT 910032-78-9P 910032-97-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(development of new selective PPARβ/δ ligands)
RN 910032-78-9 CAPLUS
CN Acetic acid, [4-[3-[(6-acetyl-5-hydroxy-4-propyl-3-pyridinyl)oxy]propoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

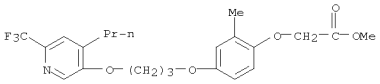


RN 910032-97-2 CAPLUS
CN Acetic acid, [2-methyl-4-[3-[[4-propyl-6-(trifluoromethyl)-3-pyridinyl]oxy]propoxy]phenoxy]- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 910033-02-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(development of new selective PPARβ/δ ligands)
RN 910033-02-2 CAPLUS
CN Acetic acid, [2-methyl-4-[3-[[4-propyl-6-(trifluoromethyl)-3-pyridinyl]oxy]propoxy]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



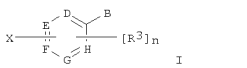
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:182607 CAPLUS
DOCUMENT NUMBER: 142:279949
TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists
INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

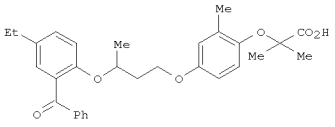
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 2006257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P P	20030820
			WO 2004-US24381 W	20040817

OTHER SOURCE(S): MARPAT 142:279949
GI

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

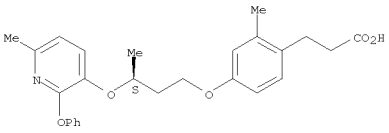


II

AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH2, O, S, and wherein A1and R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.
IT 847346-02-5P, 3-[2-Methyl-4-[[(S)-3-(6-methyl-2-phenoxypyridin-3-yloxy)butyl]oxy]phenyl]propionic acid 847352-11-8P, (R)-3-[2-Methyl-4-[3-(6-methyl-2-phenoxypyridin-3-yloxy)butoxy]phenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR agonist; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

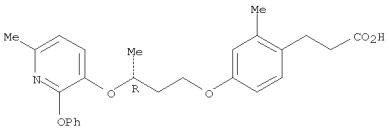
L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 847346-02-5 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-11-8 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[(6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]- (CA INDEX NAME)

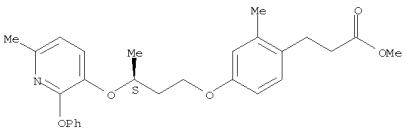
Absolute stereochemistry.



IT 847346-04-7P, 3-[2-Methyl-4-[[(S)-3-(6-methyl-2-phenoxypyridin-3-yloxy)butyl]oxy]phenyl]propionic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)
RN 847346-04-7 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[(6-methyl-2-phenoxy-3-pyridinyl)oxy]butoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



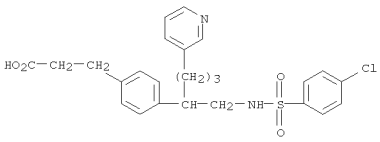
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:868218 CAPLUS
DOCUMENT NUMBER: 136:694
TITLE: Thromboxane inhibitors, compositions, and methods for therapeutic use
INVENTOR(S): Saenz de Tejada, Inigo
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001089519	A1	20011129	WO 2001-US16318	20010522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001064729	A5	20011203	AU 2001-64729	20010522
US 2003050305	A1	20030313	US 2002-285620	20021101
PRIORITY APPLN. INFO.:			US 2000-205536P	P 20000522
			WO 2001-US16318	W 20010522

OTHER SOURCE(S): MARPAT 136:694
AB The invention describes methods for treating or preventing sexual dysfunctions in males and females, and for enhancing sexual responses in males and females, by administering a therapeutically effective amount of at least one thromboxane inhibitor, and, optionally, at least one compound that donates, transfers, or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and/or at least one vasoactive agent. The male or female may preferably be diabetic. The invention also provides compns. comprising at least one thromboxane inhibitor, and, at least one compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, stimulates endogenous synthesis of nitric oxide or is a substrate for nitric oxide synthase, and, optionally, at least one therapeutic agent, such as, vasoactive agents, nonsteroidal antiinflammatory compound (NSAIDs), selective cyclooxygenase-2 (COX-2) inhibitors, anticoagulants, angiotensin converting enzymes (ACE) inhibitors, angiotensin II receptor antagonists, renin inhibitors, and mixts. thereof. The invention further provides methods for treating or preventing ischemic heart disorders, myocardial infarction, angina pectoris, stroke, migraine, cerebral hemorrhage, cardiac fatalities, transient ischemic attacks, complications following organ transplants, coronary artery bypasses, angioplasty, endarterectomy, atherosclerosis, pulmonary embolism, bronchial asthma,

L10 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
bronchitis, pneumonia, circulatory shock of various organs, nephritis, graft rejection, cancerous metastases, pregnancy-induced hypertension, preeclampsia, eclampsia, thrombotic and thromboembolic disorders, intrauterine growth, gastrointestinal disorders, renal diseases and disorders, disorders resulting from elevated uric acid levels, and dysmenorrhea, and for inhibiting platelet aggregation or platelet adhesion or relaxing smooth muscles. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit.
IT 172283-12-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thromboxane inhibitors, compns., and methods for therapeutic use)
RN 172283-12-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

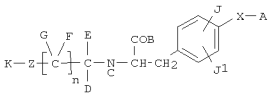
L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:380546 CAPLUS
DOCUMENT NUMBER: 134:367194
TITLE: Preparation of novel phenylalanine derivatives as α4-integrin inhibitors
INVENTOR(S): Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036376	A1	20010525	WO 2000-JP8152	20001120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001014165	A	20010530	AU 2001-14165	20001120
EP 1233013	A1	20020821	EP 2000-976347	20001120
EP 1233013	B1	20070228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 355269	T	20060315	AT 2000-976347	20001120
US 2003149083	A1	20030807	US 2002-150067	20020520
US 6855706	B2	20050215		
US 2005070485	A1	20050331	US 2004-986829	20041115
US 7160874	B2	20070109		

PRIORITY APPLN. INFO.:	JP 1999-328468	A	19991118
	JP 2000-197139	A	20000629
	WO 2000-JP8152	W	20001120
	US 2002-150067	A1	20020520

OTHER SOURCE(S): MARPAT 134:367194
GI

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

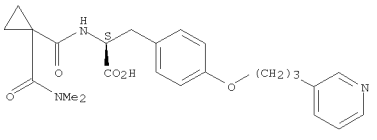


I

AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO2, N-(un)substituted NH, NHCO, NHSO2, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO2; A represents a specific substituted Ph group or nitrogen-containing heterocycle such as aromatic-fused pyrimidinedione or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other to form a ring optionally containing 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally containing heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHNR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO2] are prepared These derivs. and analogs thereof show an α4 integrin inhibitory activity and are usable as remedies for various diseases relating to α4 integrin, such as inflammatory diseases related to α4 integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, multiple sclerosis, Sjoegren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temperature for 16 h, washed with DMF five times, and condensed with pyrroline using HOAt, DIC, and NMP, followed by oxidation with OsO4 in dioxane at room temperature for 16 and resin-cleavage in aqueous CF3CO2H to give N-[2-[(cis-2,4-dihydroxypyrrolidin-1-

L10 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
yl)carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrinα4β7 with IC50 of ≤0.02 μmol/L.
IT 340718-11-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel phenylalanine derivs. as α4-integrin inhibitors)
RN 340718-11-8 CAPLUS
CN L-Tyrosine, N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]-O-[3-(3-pyridinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

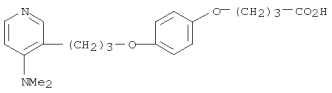
L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:324824 CAPLUS
DOCUMENT NUMBER: 129:27961
TITLE: Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa
INVENTOR(S): Mills, Stuart Dennett
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: U.S., 68 pp., Cont.-in-part of U.S. 5,563,141.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753659	A	19980519	US 1995-458180	19950602
US 5563141	A	19961008	US 1994-218174	19940328
US 5750754	A	19980512	US 1996-658097	19960604

PRIORITY APPLN. INFO.:	GB 1993-6451	A	19930329
	GB 1993-25610	A	19931215
	US 1994-218174	A2	19940328
	GB 1993-6453	A	19930329
	GB 1993-25605	A	19931215
	GB 1995-18188	A	19950907

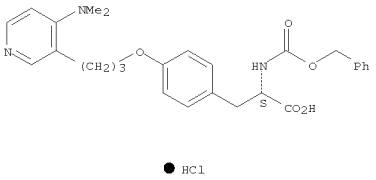
AB The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH2; Q = an aromatic heterocyclic group containing N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-{2-[4-(4-pyridyl)piperazin-1-yl]acetyl}phenoxyacetate which showed pIC50 of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.
IT 166952-49-4P 207850-32-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)
RN 166952-49-4 CAPLUS
CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]- (CA INDEX NAME)

L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



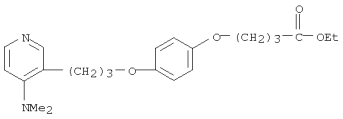
RN 207850-32-6 CAPLUS
CN L-Tyrosine, O-[3-[4-(dimethylamino)-3-pyridinyl]propyl]-N-[(phenylmethoxy)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

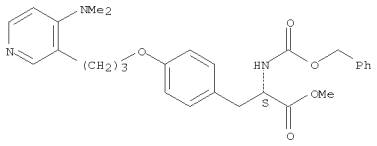
IT 166954-62-7P 207908-36-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclyl-substituted piperazines for the prevention or
treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)
RN 166954-62-7 CAPLUS
CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 207908-36-9 CAPLUS
CN L-Tyrosine, O-[3-[4-(dimethylamino)-3-pyridinyl]propyl]-N-[(phenylmethoxy)carbonyl]-, methyl ester (CA INDEX NAME)

L10 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.

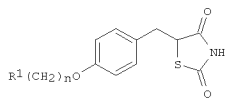


REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:174985 CAPLUS
DOCUMENT NUMBER: 126:199564
TITLE: Preparation of benzylthiazolidine derivatives by cyclocondensation of phenyllactic acid derivatives with thiourea
INVENTOR(S): Morita, Hikari; Mori, Hiroyuki; Furubayashi, Yoshimasa
PATENT ASSIGNEE(S): Nitto Chemical Industry Co Ltd, Japan; Mitsubishi Rayon Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09025273	A	19970128	JP 1995-200268	19950714
JP 3567024	B2	20040915		
PRIORITY APPLN. INFO.:			JP 1995-200268	19950714

OTHER SOURCE(S): CASREACT 126:199564
GI



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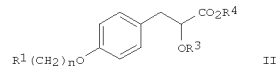
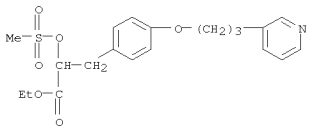


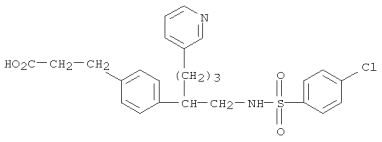
II

AB Claimed is a process for preparation of the title compds. [I; R1 = H, (un)substituted Ph or heterocycle; n = 0-3] by cyclocondensation of β-phenyllactic acid derivs. [II; R2 = H, MeSO2, p-toluenesulfonyl, (un)substituted Ph or heterocycle; n = 0-3; R3 = MeSO2, p-toluenesulfonyl;
R4 = lower alkyl] with thiourea and hydrolysis. I, useful as intermediates in the production of drugs and pesticides, are prepared in an
industrial manner efficiently and easily. Thus, II (R2 = H, n = 1, R3 = MeSO2, R4 = Me) was reacted with thiourea and then treated with 6N HCl to give I (R1 = H, n = 1).
IT 187143-04-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzylthiazolidine derivs. by cyclocondensation of

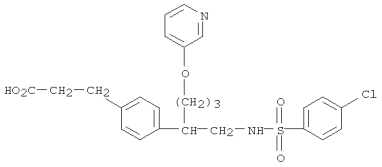
L10 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
phenyllactic acid derivs. with thiourea)
RN 187143-04-0 CAPLUS
CN Benzenepropanoic acid, α-[(methylsulfonyl)oxy]-4-[3-(3-pyridinyl)propoxy]-, ethyl ester (CA INDEX NAME)



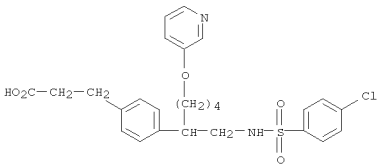
L10 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:30177 CAPLUS
DOCUMENT NUMBER: 126:98688
TITLE: TER-930180. Antithrombotic, TxA2 synthase/receptor dual inhibitor
AUTHOR(S): Kasukawa, Hiroaki; Ohnishi, Hiroyuki
CORPORATE SOURCE: Terumo Corporation RandD Center, Kanagawa, 259-01, Japan
SOURCE: Drugs of the Future (1996), 21(1), 33-36
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review, with 22 refs., describing the synthesis and the pharmacol. actions of the title drug.
IT 172283-12-4P, TER 930180
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. of)
RN 172283-12-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
aggregation.
IT 184419-22-5P 184419-23-6P 184419-24-7P
184419-32-7P 184419-35-0P 184653-31-4P
184653-32-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)
RN 184419-22-5 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyloxy)butyl]- (CA INDEX NAME)



RN 184419-23-6 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-pyridinyloxy)pentyl]- (CA INDEX NAME)

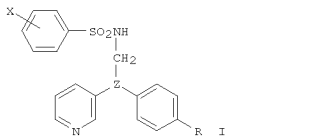


RN 184419-24-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-3-(3-pyridinyloxy)propyl]- (CA INDEX NAME)

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:2234 CAPLUS
DOCUMENT NUMBER: 126:31271
TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals
INVENTOR(S): Tatsugami, Shinichi; Oonishi, Hiroyuki; Morimoto, Katsumi
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309
PRIORITY APPLN. INFO.:			JP 1995-49789	19950309

OTHER SOURCE(S): MARPAT 126:31271
GI



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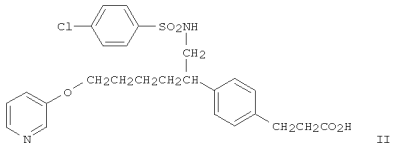
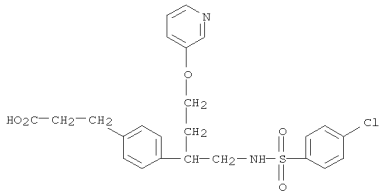


II

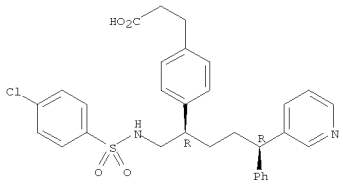
AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH, etc.; R = (CH2)nCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound II in
vitro showed IC50 of 0.039 x 10-6 M against U-46619-induced platelet

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184419-32-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

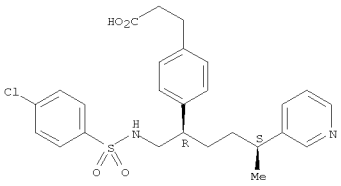
Relative stereochemistry.



RN 184419-35-0 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

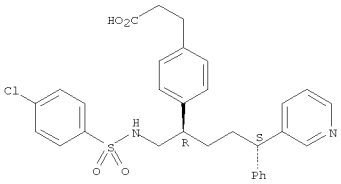
Relative stereochemistry.

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184653-31-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

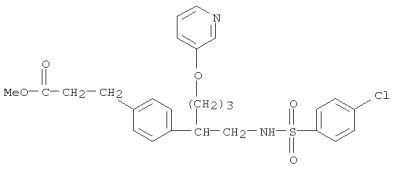
Relative stereochemistry.



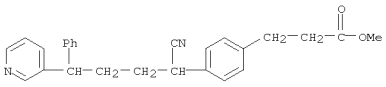
RN 184653-32-5 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

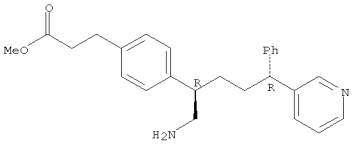


RN 184419-61-2 CAPLUS
CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 184419-62-3 CAPLUS
CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

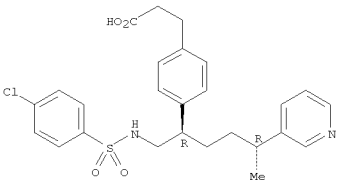
Relative stereochemistry.



RN 184419-63-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

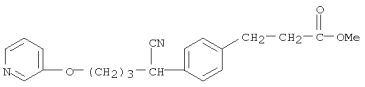
Relative stereochemistry.

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

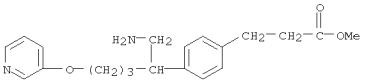


IT 184419-52-1P 184419-53-2P 184419-54-3P
184419-61-2P 184419-62-3P 184419-63-4P
184419-67-8P 184419-68-9P 184653-33-6P
184653-34-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)

RN 184419-52-1 CAPLUS
CN Benzenepropanoic acid, 4-[1-cyano-4-(3-pyridinyloxy)butyl]-, methyl ester (CA INDEX NAME)

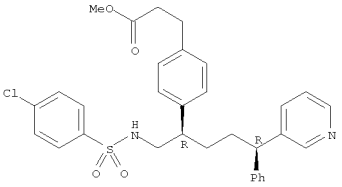


RN 184419-53-2 CAPLUS
CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyloxy)butyl]-, methyl ester (CA INDEX NAME)

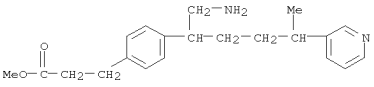


RN 184419-54-3 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyloxy)butyl]-, methyl ester (CA INDEX NAME)

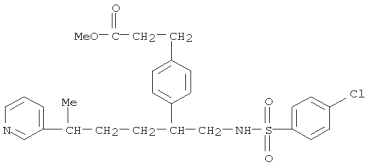
L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184419-67-8 CAPLUS
CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyl)pentyl]-, methyl ester (CA INDEX NAME)



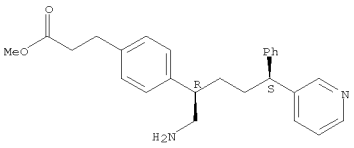
RN 184419-68-9 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)pentyl]-, methyl ester (CA INDEX NAME)



RN 184653-33-6 CAPLUS
CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

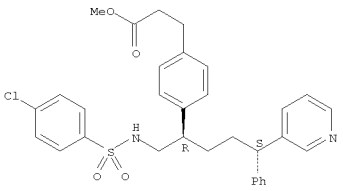
Relative stereochemistry.

L10 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

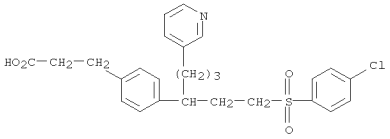


RN 184653-34-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

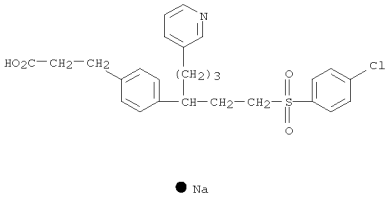
Relative stereochemistry.



L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)
RN 180153-37-1 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



IT 180153-38-2P 180153-39-3P 180153-40-6P
180153-41-7P 180153-42-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)
RN 180153-38-2 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)



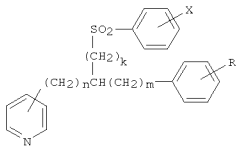
● Na

RN 180153-39-3 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)

L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:509478 CAPLUS
DOCUMENT NUMBER: 125:167791
TITLE: Preparation of pyridylalkylphenylsulfone derivatives as antithrombotic agents and antiallergic agents
INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura, Harue; Kasukawa, Hiroaki
PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619454	A1	19960627	WO 1995-JP2590	19951218
W: AU, CA, CN, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9641892	A	19960710	AU 1996-41892	19951218
PRIORITY APPLN. INFO.:			JP 1994-316279 A	19941220
			WO 1995-JP2590 W	19951218

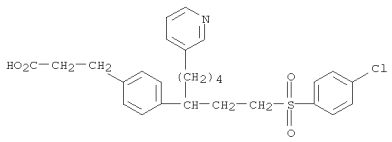
OTHER SOURCE(S): MARPAT 125:167791
GI



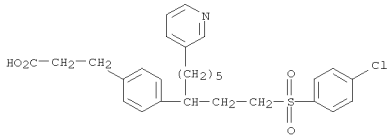
I

AB The title compds. I [X = H, OH, NO2, CN, CF3, halo, lower alkyl, lower alkoxy; R = O(CH2)aCO2R1, (CH2)aCO2R1, CR2:CR3CO2R1 or CR2R3CR4R5CO2R1 (R1, R2, R3, R4, R5 = H, lower alkyl;, a = 0-5); h, m, n = 0-5] are prepared
A medicinal preparation containing I is also claimed. I possessing thromboxane A2
and prostaglandin H2 antagonisms and the effect of inhibiting the synthesis of thromboxane A2, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-Cl; R = (CH2)2CO2H; h = 2; m = 0; n = 3] was prepared from p-HCOC6H4CH(OEt)2 in twelve steps and demonstrated a IC50 against thromboxane A2 of 0.25 μM.
IT 180153-37-1P

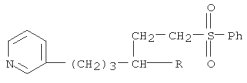
L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

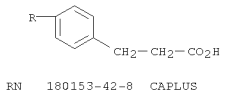


RN 180153-40-6 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-6-(3-pyridinyl)hexyl]- (CA INDEX NAME)



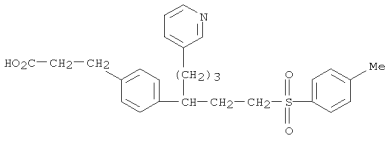
RN 180153-41-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



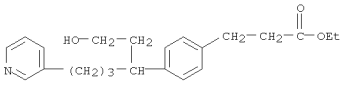


RN 180153-42-8 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-methylphenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

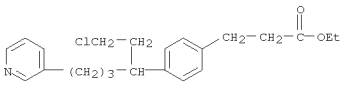
L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 180153-34-8P 180153-35-9P 180153-36-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)
RN 180153-34-8 CAPLUS
CN Benzenepropanoic acid, 4-[1-(2-hydroxyethyl)-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

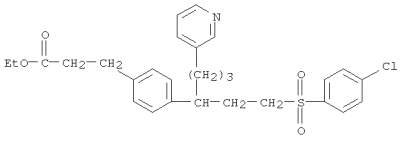


RN 180153-35-9 CAPLUS
CN Benzenepropanoic acid, 4-[1-(2-chloroethyl)-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)



RN 180153-36-0 CAPLUS
CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

L10 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

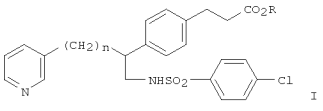


L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:28120 CAPLUS
DOCUMENT NUMBER: 124:86821
TITLE: Preparation of 3-[4-[2-(p-chlorobenzenesulfonamido)-ω-(3-pyridyl)-2-alkyl]phenyl]propionic acid as antithrombotics and allergy inhibitors
INVENTOR(S): Oonishi, Hiroyuki; Tatsugami, Shinichi; Kasukawa, Hiroaki; Kitamura, Harue; Morimoto, Katsumi
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

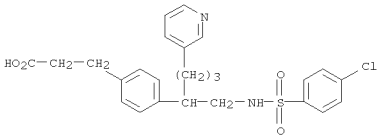
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07233149	A	19950905	JP 1994-22494	19940221
PRIORITY APPLN. INFO.:			JP 1994-22494	19940221

OTHER SOURCE(S): MARPAT 124:86821
GI

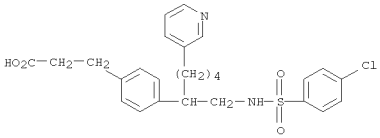


AB The title compds. (I; n = 3,4; R = H, C1-4 alkyl), which are useful as inhibitors of thromboxane A2 synthesis, thromboxane A2 antagonists, and prostaglandin H2 antagonists, are prepared Thus, Et 3-[4-[1-cyano-5-(3-pyridyl)pentyl]phenyl]propionate was hydrogenated in the presence of Raney nickel under H atm in ethanolic NH3 at room temperature overnight to give Et 3-[4-[1-amino-6-(3-pyridyl)hexan-2-yl]phenyl]propionate which was condensed with p-chlorobenzenesulfonyl chloride in the presence of Et3N in CH2Cl2 at room temperature for 2 days to give, after saponification with a mixt.of 2 n aqueous NaOH and MeOH and acidification with dilute HCl, I (n = 4, R = H). The latter compound showed IC50 of 4.2 + 10-8 M for inhibiting the U-46619 (stable derivative of PGG2/H2)-induced blood platelet aggregation in human platelet rich plasma.
IT 172283-12-4P 172283-13-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [[(p-chlorobenzenesulfonamido)pyridylalkyl]phenyl]propionic

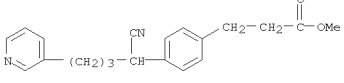
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
acids as antithrombotics and allergy inhibitors)
RN 172283-12-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)



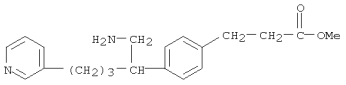
RN 172283-13-5 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-pyridinyl)pentyl]- (CA INDEX NAME)



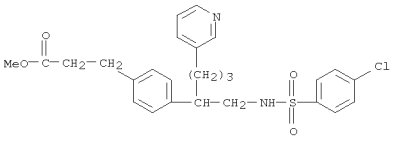
IT 172283-15-7P 172283-16-8P 172283-17-9P
172283-23-7P 172283-24-8P 172283-26-0P
172283-27-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [[(p-chlorobenzenesulfonamido)pyridylalkyl]phenyl]propionic acids as antithrombotics and allergy inhibitors)
RN 172283-15-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-cyano-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



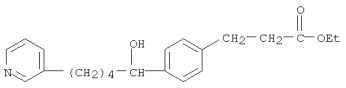
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 172283-16-8 CAPLUS
CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 172283-17-9 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

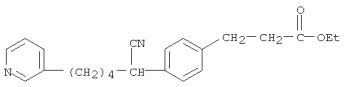


RN 172283-23-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-hydroxy-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)

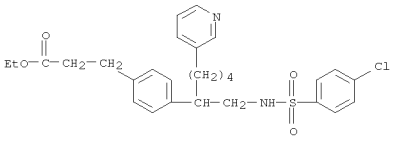


RN 172283-24-8 CAPLUS
CN Benzenepropanoic acid, 4-[1-cyano-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)

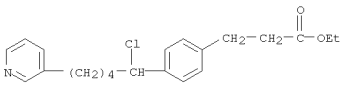
L10 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 172283-26-0 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)



RN 172283-27-1 CAPLUS
CN Benzenepropanoic acid, 4-[1-chloro-5-(3-pyridinyl)pentyl]-, ethyl ester (CA INDEX NAME)

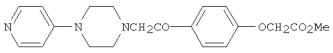


L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:758624 CAPLUS
DOCUMENT NUMBER: 123:169654
TITLE: Preparation of heterocyclic compounds as platelet aggregation inhibitors
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner,
John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422835	A2	19941013	WO 1994-GB648	19940328
WO 9422835	A3	19941222		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2155307	A1	19941013	CA 1994-2155307	19940328
AU 9462890	A	19941024	AU 1994-62890	19940328
AU 692439	B2	19980611		
EP 690847	A1	19960110	EP 1994-910495	19940328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08509967	T	19961022	JP 1994-521811	19940328
JP 3088016	B2	20000918		
US 5750754	A	19980512	US 1996-658097	19960604

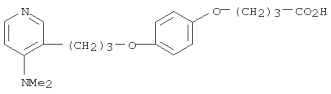
PRIORITY APPLN. INFO.: GB 1993-6451 A 19930329
GB 1993-25610 A 19931215
GB 1993-6453 A 19930329
GB 1993-25605 A 19931215
WO 1994-GB648 W 19940328
GB 1995-18188 A 19950907

OTHER SOURCE(S): MARPAT 123:169654
GI



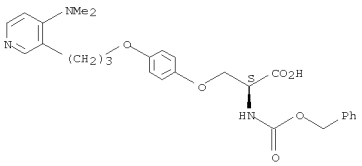
I

L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide derivative, sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepared Me 4-(bromoacetyl)phenoxyacetate in MeCN was
added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.
IT 166952-49-4P 166952-50-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as platelet aggregation inhibitors)
RN 166952-49-4 CAPLUS
CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]- (CA INDEX NAME)



RN 166952-50-7 CAPLUS
CN L-Serine, O-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenyl]-N-[(phenylmethoxy)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

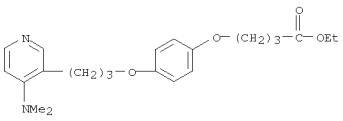
Absolute stereochemistry.



● HCl

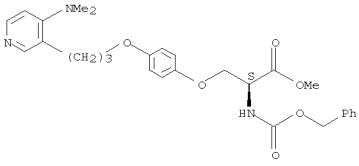
IT 166954-62-7P 166954-63-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as platelet aggregation inhibitors)
RN 166954-62-7 CAPLUS

L10 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Butanoic acid, 4-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenoxy]-, ethyl ester (CA INDEX NAME)

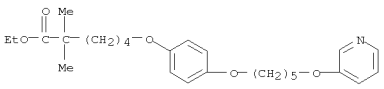


RN 166954-63-8 CAPLUS
CN L-Serine, O-[4-[3-[4-(dimethylamino)-3-pyridinyl]propoxy]phenyl]-N-[(phenylmethoxy)carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



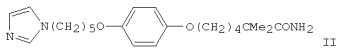
L10 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
N-decyl-2,2-dimethyl-6-[4-[(5-midazol-1-ylpentyl)oxy]phenoxy]hexanamide 200, lactose 205, cryst. cellulose 50, hydroxypropylcellulose 15, starch 25, and Mg stearate 5 mg.
IT 114545-58-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neoplasm inhibitor)
RN 114545-58-3 CAPLUS
CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-(3-pyridinyloxy)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



L10 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:422967 CAPLUS
DOCUMENT NUMBER: 109:22967
TITLE: Preparation of heterocyclylalkyl ethers and sulfides as antitumor agents
INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro; Numasaki, Yoso; Takahashi, Koichiro
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63179840	A	19880723	JP 1987-170800	19870707
US 4891432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774 A	19860724
			US 1987-74290 A3	19870716

OTHER SOURCE(S): CASREACT 109:22967; MARPAT 109:22967
GI

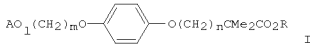


AB A(CH2)pX1(CH2)mX2BX3Y(CH2)nCR1R2R3 [I; A = biphenylyl, (un)substituted 5- or 6-membered heterocyclyl, 9- or 10-membered bicyclic heterocyclyl, each containing 1-4 N and, optionally, O, S; X1 = bond, X2; X3 = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diyl, pyrimidindiyl, pyridazindiyl; R1, R2 = H, alkyl; R3 = H, OH, cyano, (un)modified CO2H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors. 4-HOC6H4O(CH2)4CMe2CONH2 was etherified with Br(CH2)5Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L10 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1987:169040 CAPLUS
DOCUMENT NUMBER: 106:169040
TITLE: Anti-tumor imidazolylalkoxy- and pyridyloxyalkoxyphenoxyalkanoates, their preparation, and pharmaceutical compositions containing them
INVENTOR(S): Numasaki, Yoso; Takahashi, Koichiro; Ohata, Isao
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

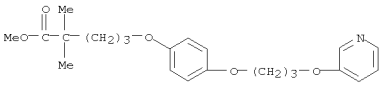
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 210753	A2	19870204	EP 1986-304966	19860626
EP 210753	A3	19890208		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4758580	A	19880719	US 1986-874547	19860616
AU 8659128	A	19870108	AU 1986-59128	19860620
JP 62089618	A	19870424	JP 1986-150078	19860625
JP 02044810	B	19901005		
AU 8659305	A	19870108	AU 1986-59305	19860626
AU 574926	B2	19880714		
US 4886818	A	19891212	US 1988-198099	19880524
PRIORITY APPLN. INFO.:			JP 1985-140901 A	19850626
			US 1986-874547 A1	19860616

OTHER SOURCE(S): MARPAT 106:169040
GI



AB Compds. of formula I (A = imidazolyl, pyridyl; R = H, alkyl, cation; l = 0, 1; m, n = 1-6) are antitumor agents with low toxicity which can be administered orally. I (A = 1-imidazolyl, R = Et, l = 0, m = 5, n = 4) (II) at 100 mg/kg/day orally for 9 days caused 55.8% inhibition of the growth rate of MM-46 tumors in mice, compared to 26.0% inhibition by tetrahydrofuryl-5-fluorouracil at the same dosage. I (A = 2-pyridyl, R = Et, l = 1, m = 5, n = 4) was prepared by reaction of 2-hydroxypyridine with NaH and Et 6-[p-(5-bromopentoxy)phenoxy]-2,2-dimethylhexanoate. Capsules were prepared containing II 200, lactose 205, crystalline cellulose 50, hydroxypropyl cellulose 15, starch 25, and Mg stearate 5 mg.
IT 95923-50-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neoplasm inhibitor)

L10 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 95923-50-5 CAPLUS
CN Pentanoic acid, 2,2-dimethyl-5-[4-[3-(3-pyridinyloxy)propoxy]phenoxy]-, methyl ester (CA INDEX NAME)



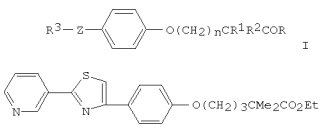
L10 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1985:166457 CAPLUS
DOCUMENT NUMBER: 102:166457
ORIGINAL REFERENCE NO.: 102:26161a,26164a
TITLE: Phenoxyalkanoate esters.
INVENTOR(S): Kojima, Tadao; Kageyama, Shunji; Okada, Minoru; Ohata, Isao
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 98 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 130077	A2	19850102	EP 1984-304292	19840625
EP 130077	A3	19870520		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 60006667	A	19850114	JP 1983-113988	19830624
JP 63047710	B	19880926		
JP 60094974	A	19850528	JP 1983-201639	19831027
JP 60136563	A	19850720	JP 1983-248928	19831226
DK 8403058	A	19841225	DK 1984-3058	19840622
SU 1428197	A3	19880930	SU 1984-3753901	19840622
EP 320501	A2	19890614	EP 1989-200049	19840625
EP 320501	A3	19891206		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 542804	A3	19851216	ES 1985-542804	19850503
SU 1380609	A3	19880307	SU 1985-3947151	19850826
SU 1422998	A3	19880907	SU 1985-3943999	19850826
SU 1530093	A3	19891215	SU 1985-3947357	19850826
US 4795753	A	19890103	US 1986-913513	19860930
US 4798838	A	19890117	US 1986-913722	19860930
US 4794113	A	19881227	US 1987-203	19870102
US 4942242	A	19900717	US 1988-261552	19881021

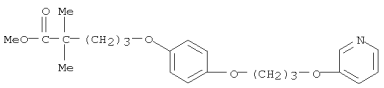
PRIORITY APPLN. INFO.:
JP 1983-113988 A 19830624
JP 1983-201639 A 19831027
JP 1983-248928 A 19831226
US 1978-892534 19780403
US 1984-623174 A3 19840621
EP 1984-304292 P 19840625
US 1987-203 A3 19870102

OTHER SOURCE(S): CASREACT 102:166457; MARPAT 102:166457
GI

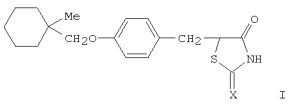
L10 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



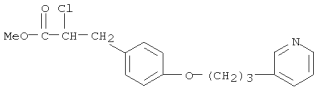
AB Phenoxyalkanoic acids and derivs. I [R = OH, amino, alkoxy; R1 and R2 are H, alkyl; n = 0, 1, 2, 3, 4, 5, 6; Z = direct bond, thiazolediyl, (CH2)mO, (CH2)mCONH(CH2)p, or (CH2)mNR4 (m = 1, 2, 3, 4, 5, 6; p = 0, 1, 2, 3, 4, 5; R4 = alkyl); R3 = imidazolyl, pyridyl, pyridyloxy, oxochromenyloxy, alkyl, amino, carbamoyl] were prepared, and they showed anticholesteremic activity and inhibited blood platelet aggregation. Thus, thionicotinamide was stirred with 4-(BrCH2CO)C6H4O(CH2)3CMe2CO2Et in MeOH to give ester II.
IT 95923-50-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 95923-50-5 CAPLUS
CN Pentanoic acid, 2,2-dimethyl-5-[4-[3-(3-pyridinyloxy)propoxy]phenoxy]-, methyl ester (CA INDEX NAME)



L10 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1983:125945 CAPLUS
DOCUMENT NUMBER: 98:125945
ORIGINAL REFERENCE NO.: 98:19187a,19190a
TITLE: Studies on antidiabetic agents. II. Synthesis of 5-[4-(1-methylcyclohexylmethoxy)benzyl]thiazolidine-2,4-dione (ADD-3878) and its derivatives.
AUTHOR(S): Sohda, Takashi; Mizuno, Katsutoshi; Imamiya, Eiko; Sugiyama, Yasuo; Fujita, Takeshi; Kawamatsu, Yutaka
CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1982), 30(10), 3580-600
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB More than 100 5-substituted thiazolidine-2,4-diones were prepared and their hypoglycemic and hypolipidemic activities were evaluated with genetically obese and diabetic mice, yellow KK. Thus, 2-chloro-3-[4-(1-methylcyclohexylmethoxy)phenylpropionate was cyclized with H2NCSNH2 to give the thiazolidinone I (X = NH), which was hydrolyzed to give I (X = O). The structure-activity relationship study showed that the 5-(4-oxybenzyl) moiety is essential for substantial activity. Among these compds., 5-(4-cyclohexylmethoxy)benzylthiazolidine-2,4-dione I (X = O) and 5-[4-[2-(3-pyridyl)ethoxy]benzyl]thiazolidine exhibited the most favorable properties in terms of activity and toxicity.
IT 85003-47-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with thiourea, thiazolidinone derivative from)
RN 85003-47-0 CAPLUS
CN Benzenepropanoic acid, α-chloro-4-[3-(3-pyridinyl)propoxy]-, methyl ester (CA INDEX NAME)



L10 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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CA SUBSCRIBER PRICE                                     -12.00     -27.20

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DICTIONARY FILE UPDATES:  25 FEB 2008  HIGHEST RN 1005378-46-0

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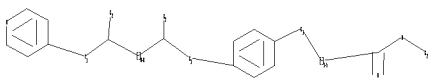

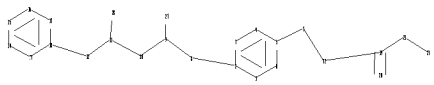

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ring nodes :
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21-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
2-8 5-7 7-32 8-9 9-27 11-12 11-28 12-18 19-21 19-20 21-23
exact bonds :
9-10 10-11 19-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :

G1:C,O,S

G2:H,Ak

G3:C,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS 23:CLASS 27:CLASS 28:CLASS 32:CLASS

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*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -    11431 TO ITERATE

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
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PROJECTED ANSWERS:               0 TO        0

L12           0 SEA SSS SAM L11

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CA SUBSCRIBER PRICE                                       0.00     -27.20

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FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:80940 CAPLUS
DOCUMENT NUMBER: 146:184375
TITLE: Preparation of substituted quinolines for treatment of amyloid-β-peptide related disorders
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Desire, Laurent; Schweighoffer, Fabien
PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.
SOURCE: Eur. Pat. Appl., 38pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1746092	A1	20070124	EP 2005-291576	20050722
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 2007027146	A1	20070201	US 2005-190070	20050727
WO 2007034329	A2	20070329	WO 2006-IB3242	20060721
WO 2007034329	A3	20070830		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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WO 2007031878	A2	20070322	WO 2006-IB3503	20060726
WO 2007031878	A3	20070907		
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PRIORITY APPLN. INFO.: EP 2005-291576 A 20050722
US 2005-190070 A 20050727

L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 146:184375
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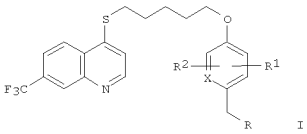
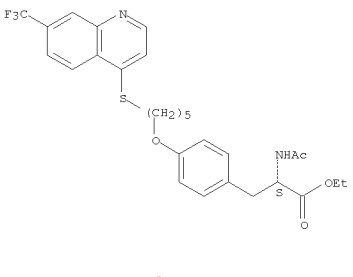


AB The title compds. I [X = CH or N; R1, R2 = H, halo, alkyl, etc.; R = H, OH, piperidino, morpholino, etc.], useful for the treatment of Alzheimer's disease and other similar diseases, were prepared E.g., a multi-step synthesis of I.3HCl [X = CH; R1, R2 = H; R = piperazino], starting from 7-trifluoromethyl-4-quinolinethiol and 1,5-dibromopentane, was given. More specifically the inventive compds. I modulate (in particular, inhibit) the level of amyloid-β peptide (Aβ) exhibited by cells or tissues (Aβ peptide is a major component of the amyloid plaques found in the brains of Alzheimer's sufferers). Exemplified compds. I were tested for inhibition of Aβ 40 production in HEK-293 cells overexpressing swAPP751 (data given for representative compds. I). This invention also relates to the use of these inhibitors to prevent, treat or ameliorate the symptoms of Alzheimer's disease or any Amyloid-β-Peptide Related Disorder. Pharmaceutical composition comprising the compound I is also disclosed.
IT 921609-63-4P 921609-78-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted quinolines for treatment and prevention of amyloid-β-peptide related disorders)
RN 921609-63-4 CAPLUS
CN L-Tyrosine, N-acetyl-O-[5-[[7-(trifluoromethyl)-4-quinolinyl]thio]pentyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

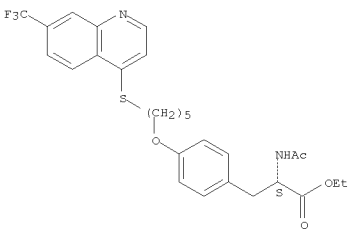
L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 921609-78-1 CAPLUS
CN L-Tyrosine, N-acetyl-O-[5-[[7-(trifluoromethyl)-4-quinolinyl]thio]pentyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



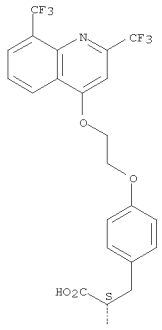
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:24174 CAPLUS
DOCUMENT NUMBER: 146:266404
TITLE: Synthesis, in vitro and in silico evaluation of L-tyrosine containing PPARα/γ dual agonists
AUTHOR(S): Kumar, Rakesh; Ramachandran, Uma; Khanna, Smriti; Bharatam, Prasad V.; Raichur, Suryaprakash; Chakrabarti, Ranjan
CORPORATE SOURCE: Department of Pharmaceutical Technology, National Institute of Pharmaceutical Education and Research (NIPER), S. A. S. Nagar, 160 062, India
SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(3), 1547-1555
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:266404
AB A novel series of L-tyrosine derivs. have been reported with potential PPARα/γ dual agonistic activity. In vitro cell based PPARα/γ transactivation studies have shown compound 4a and compound 4f to be the most potent PPARγ and PPARα activators, resp. Mol. docking studies performed on these series of compds. have complemented the exptl. results and have led to interesting inferences.
IT 927407-72-5P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(L-tyrosine-containing PPARα/γ dual agonists preparation and evaluation as potential antidiabetic agents)
RN 927407-72-5 CAPLUS
CN L-Tyrosine, O-[2-[[2,8-bis(trifluoromethyl)-4-quinolinyl]oxy]ethyl]-N-(1-methyl-3-oxo-3-phenyl-1-propen-1-yl)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



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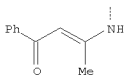

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
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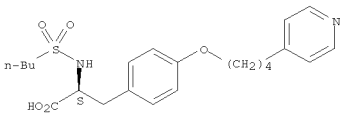
L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:626952 CAPLUS
DOCUMENT NUMBER: 143:153704
TITLE: Preparation of O-substituted sulfonyl tyrosine derivative
INVENTOR(S): Xiong, Chuanhui; Yang, Yong; Yang, Wenbin
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1415606	A	20030507	CN 2002-146177	20021101
PRIORITY APPLN. INFO.:			CN 2002-146177	20021101

OTHER SOURCE(S): CASREACT 143:153704; MARPAT 143:153704
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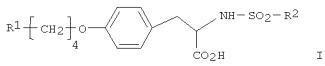


I

AB Title compds. I (R1 = pyridyl, piperidinyl, etc.; R2 = aryl, alkyl, aralkyl) and their hydrochloride salt are prepared by alkylating di-Et malonate with R3-CH=CH2 (R3 = pyridyl, etc.) in the presence of EtONa, saponifying with NaOH, neutralizing with HCl, and decarboxylating under heating to obtain R3-(CH2)3COOH HCl; reducing with LiAlH4 in THF, chlorinating with HCl under refluxing for 24 h and neutralizing with Na2CO3 to obtain R3-(CH2)4Cl; chlorinating L-tyrosine with SOCl2 and esterifying with MeOH to obtain L-tyrosine Me ester HCl; neutralizing with pyridine and sulfonylating with butanesulfonyl chloride in Ar ambient to obtain N-butylsulfonyl-L-tyrosine Me ester; saponifying with LiOH in water-DMSO at room temperature for 3 h, and etherifying with R3-(CH2)4Cl in the presence of KI catalyst. N-(Butylsulfonyl)-O-[4-(4-piperidinyl)butyl]-L-tyrosine or its hydrochloride are prepared
IT 149490-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of O-substituted sulfonyl tyrosine derivative)
RN 149490-61-9 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

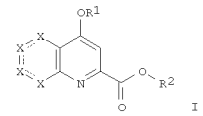
Absolute stereochemistry.

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:569049 CAPLUS
DOCUMENT NUMBER: 143:97277
TITLE: Acidic quinolines as antihyperglycemics and their preparation
INVENTOR(S): Moinet, Gerard; Correc, Jean Claude; Arbellot De Vacqueur, Annick
PATENT ASSIGNEE(S): Merck Sante, Fr.
SOURCE: Fr. Demande, 61 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

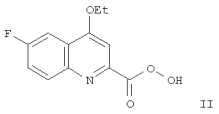
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2864535	A1	20050701	FR 2003-15402	20031224
FR 2864535	B1	20061222		
AU 2004308578	A1	20050714	AU 2004-308578	20041201
CA 2551227	A1	20050714	CA 2004-2551227	20041201
WO 2005063244	A1	20050714	WO 2004-EP13662	20041201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1696924	A1	20060906	EP 2004-803419	20041201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1893949	A	20070110	CN 2004-80037584	20041201
BR 2004017140	A	20070221	BR 2004-17140	20041201
JP 2007516986	T	20070628	JP 2006-545961	20041201
IN 2006KN01222	A	20070427	IN 2006-KN1222	20060510
MX 2006PA07082	A	20060823	MX 2006-PA7082	20060620
US 2007149566	A1	20070628	US 2006-584151	20060622
PRIORITY APPLN. INFO.:			FR 2003-15402 A	20031224
			WO 2004-EP13662 W	20041201

OTHER SOURCE(S): MARPAT 143:97277
GI

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



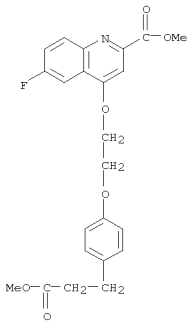
II

AB The invention is related to the use of quinolines I [wherein X = independently N, O, S, or (un)substituted C; R1, R2 = independently H, (un)substituted alk(en/yn)yl; hetero/aryl, hetero/cycloalkyl, etc.] and their tautomers, enantiomers, diastereomers, and epimers, and their pharmaceutically acceptable salts for treating hyperglycemia-related disorders. For example, II (m.p. = 207°) was prepared in 4 steps by reacting 4-fluoroaniline with di-Me acetylenedicarboxylate, cyclization, O-alkylation with iodoethane, and saponification II showed 172% insulin secretion at 10-5 M. II, when administered orally to NOSTZ rats, reduced glycemia by 27%. Thus, I and their compns. are used for treating hyperglycemia, diabetes, obesity, dyslipidemia, and microvascular and macrovascular complications arising from diabetes.

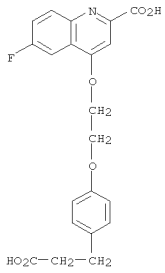
IT 856685-39-7P 856685-90-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antidiabetic agent; preparation of quinolines for treating hyperglycemia-related disorders)

RN 856685-39-7 CAPLUS
CN 2-Quinolinecarboxylic acid, 6-fluoro-4-[2-[4-(3-methoxy-3-oxopropyl)phenoxy]ethoxy]-, methyl ester (CA INDEX NAME)

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 856685-90-0 CAPLUS
CN 2-Quinolinecarboxylic acid, 4-[2-[4-(2-carboxyethyl)phenoxy]ethoxy]-6-fluoro- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

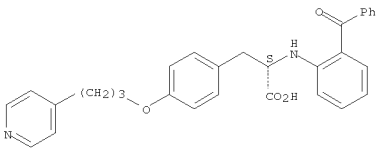
ACCESSION NUMBER: 1998:713389 CAPLUS
DOCUMENT NUMBER: 130:104774
TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPARγ Agonists. 2. Structure-Activity Relationship and Optimization of the Phenyl Alkyl Ether Moiety
AUTHOR(S): Collins, Jon L.; Blanchard, Steven G.; Boswell, G. Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.; Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen; Lenhard, James M.; Orband-Miller, Lisa A.; Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin
CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(25), 5037-5054
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We previously reported the identification of (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl}propanoic acid (I) (PPARγ pKi = 8.94, PPARγ pEC50 = 9.47) as a potent and selective PPARγ agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPARγ agonists with improved aqueous solubility Specifically, replacement of the Ph ring of the phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-pyridin-4-yloxazol-4-yl)ethoxy]phenyl}propionic acid (PPARγ pKi = 8.85, PPARγ pEC50 = 8.74) or a 4-methylpiperazine to give (2S)-((2-benzoylphenyl)amino)-3-(4-{2-[5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-yl]ethoxy}phenyl)propionic acid (PPARγ pKi = 8.66, PPARγ pEC50 = 8.89) provided two potent and selective PPARγ agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set of Ph alkyl ethers which led to the identification of a number of novel, high-affinity PPARγ ligands (PPARγ pKi's 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPARγ binding, functional activity, selectivity, and aqueous solubility

IT 219597-77-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine analogs
as PPARγ agonists)
RN 219597-77-0 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-(4-pyridinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

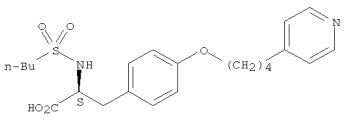
L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:371857 CAPLUS
DOCUMENT NUMBER: 125:67716
TITLE: Sustained-release preparations for delivery of water-soluble physiologically active substances
INVENTOR(S): Takada, Shigeyuki; Kurokawa, Tomofumi; Iwasa, Susumu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 709085	A1	19960501	EP 1995-115568	19951002
EP 709085	B1	20010131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08151321	A	19960611	JP 1995-250818	19950928
JP 3790567	B2	20060628		
CA 2159552	A1	19960331	CA 1995-2159552	19950929
EP 1022020	A2	20000726	EP 2000-106329	19951002
EP 1022020	A3	20010425		
EP 1022020	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 198981	T	20010215	AT 1995-115568	19951002
AT 231390	T	20030215	AT 2000-106329	19951002
PRIORITY APPLN. INFO.:			JP 1994-236846 A	19940930
			EP 1995-115568 A3	19951002

OTHER SOURCE(S): MARPAT 125:67716
AB A microcapsule comprising an amorphous water-soluble physiol. active substance and a polymer and a process for producing a microcapsule, which comprises dispersing an amorphous water-soluble physiol. active substance in a solution of a polymer in an organic solvent into an aqueous phase to prepare an emulsion and subjecting the emulsion to a rapid drying process, are described. The invention provides a microcapsule that has a high entrapment of a water-soluble drug and causes a small initial release. An antiplatelet aggregation agent S-4-[(4-amidinobenzoyl)glycyl]-3-methoxycarbonylmethyl-2-oxopiperazine-1-acetic acid in amorphous form was dispersed in a solution of glycolic acid-lactic acid copolymer. The drug in the dispersion was pulverized to microparticles in a 0.2% PVA solution containing 2.7% NaCl. The microcapsules were freeze-dried to obtain powdery microcapsules.
IT 149490-61-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained-release microcapsules containing water-soluble physiol. active

L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
substances and polymers)
RN 149490-61-9 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



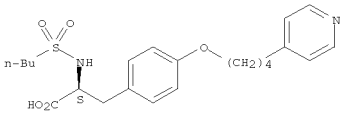
L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:457996 CAPLUS
DOCUMENT NUMBER: 121:57996
TITLE: Process for preparing tyrosine derivatives useful as fibrinogen receptor antagonists
INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 843,690, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5312923	A	19940517	US 1993-17922	19930216
RU 2113432	C1	19980620	RU 1994-41212	19930224
CN 1076442	A	19930922	CN 1993-102134	19930227
CN 1040534	B	19981104		
PRIORITY APPLN. INFO.:			US 1992-843690 B2	19920228

OTHER SOURCE(S): CASREACT 121:57996; MARPAT 121:57996
AB The invention is a highly efficient synthesis of tyrosine derivs. 4-[R1(CH2)mO]C6H4CH2CH(NHSO2R4)CO2H [I; R1 = 6-membered (un)satd heterocyclic ring containing 1-2 heteroatoms selected from N, NH, or alkylimino; m = 2-6; R4 = aryl, C1-10 alkyl, or C4-10 aralkyl]. The method involves (1) lithiation of Me heterocycles R1CH3 with BuLi and reaction with Br(CH2)m-1OR (R = tetrahydropyranyl) to give R1(CH2)mOR; (2) deprotection of the latter with HCl/EtOH, then neutralization with Et3N/THF, to give R1(CH2)mOH; (3) Mitsunobu reaction of these alcs. with N-sulfonylated tyrosine Me esters, followed by ester hydrolysis, to give I, and optional addnl. selective hydrogenation of unsatd. heterocyclic groups R1 in I. For example, 4-picoline underwent lithiation by BuLi, coupling with Br(CH2)3OR (R = 2-tetrahydropyranyl), deprotection, and neutralization to give 40% 4-(4-pyridinyl)butanol. This underwent Mitsunobu reaction with N-(n-butanesulfonyl)-L-tyrosine Me ester using PPh3 and iso-PrO2CN:NCO2Pr-iso, followed by hydrolysis of the Me ester with LiOH in aqueous MeOH/THF, to give 55% L-I (R1 = 4-pyridyl, m = 4, R4 = Bu). Hydrogenation of this over Pd/C gave 86% L-I (R1 = 4-piperidinyl, m = 4, R4 = Bu), which inhibited ADP-stimulated aggregation of human platelets in vitro with an IC50 of 0.015 μM.
IT 149490-61-9P, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
RN 149490-61-9 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

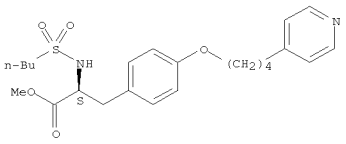
Absolute stereochemistry.

L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 151414-73-2P, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 151414-73-2 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-, methyl ester (CA
INDEX NAME)

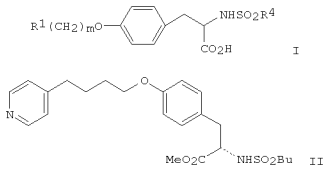
Absolute stereochemistry.



L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:8480 CAPLUS
DOCUMENT NUMBER: 120:8480
TITLE: Preparation of O-[4-(4-piperidinyl)butyl]tyrosine via the Mitsunobu reaction
INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316994	A1	19930902	WO 1993-US1621	19930224
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337313	A	19930913	AU 1993-37313	19930224
HU 70545	A2	19951030	HU 1994-2462	19930224
HU 223578	B1	20040928		
CZ 282770	B6	19971015	CZ 1994-2056	19930224
RU 2113432	C1	19980620	RU 1994-41212	19930224
SK 280164	B6	19990910	SK 1994-1024	19930224
RO 115724	B1	20000530	RO 1994-1434	19930224
CN 1076442	A	19930922	CN 1993-102134	19930227
CN 1040534	B	19981104		
FI 9403934	A	19941005	FI 1994-3934	19940826
FI 106024	B1	20001115		
PRIORITY APPLN. INFO.:			US 1992-843690 A1	19920228
			WO 1993-US1621 A	19930224

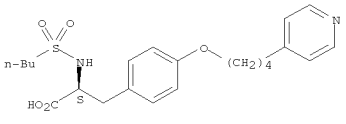
OTHER SOURCE(S): CASREACT 120:8480; MARPAT 120:8480
GI



L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

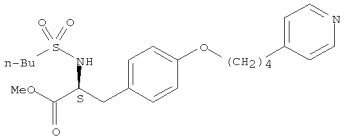
AB The title compds. I [R1 = 6-membered (un)saturated heterocyclic ring containing 1
or 2 heteroatoms; R4 = aryl, C1-10 alkyl, C4-10 arylalkyl; m = 2-6], useful as fibrinogen receptor antagonists (no data), are prepared in high yield and from inexpensive starting materials by reacting R1Me with BuLi and Br(CH2)mOR (R = tetrahydropyran) forming R1(CH2)mOR, cleaving the ether to an alc. with HCl, and then coupling the ether with a tyrosinesulfonamide Me ester in the presence of Ph3P and iso-PrO2CN:NCO2Pr-iso (Mitsunobu reaction). Thus, (L)-tyrosine Me ester hydrochloride was condensed with N-butanesulfonyl chloride and the intermediate coupled with 4-(4-pyridinyl)butanol via the Mitsunobu reaction, producing II.
IT 149490-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of fibrinogen receptor antagonists)
RN 149490-61-9 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.

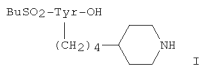


IT 151414-73-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of fibrinogen receptor antagonists)
RN 151414-73-2 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-, methyl ester (CA
INDEX NAME)

Absolute stereochemistry.

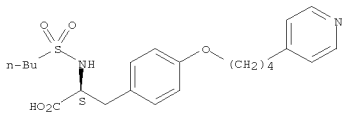


L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:650418 CAPLUS
DOCUMENT NUMBER: 119:250418
TITLE: A practical synthesis of fibrinogen receptor antagonist MK-383. Selective functionalization of (S)-tyrosine
AUTHOR(S): Chung, John Y. L.; Zhao, Dalian; Hughes, David L.; Grabowski, Edward J. J.
CORPORATE SOURCE: Dep. Process Res., Merck and Co., Inc., Rahway, NJ, 07065, USA
SOURCE: Tetrahedron (1993), 49(26), 5767-76
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:250418
GI



AB A practical 4-step synthesis of fibrinogen receptor antagonist MK-383 (I.HCl), is accomplished in 48% overall yield from (S)-tyrosine. Highlights include: (1) the dual use of 4-picoline as a masked form of piperidine, and as a nucleophile precursor for a 3-carbon homologation with 3-bromo-1-chloropropane; (2) the use of trimethylsilyl groups for temporary protection of phenolic and carboxylate oxygens of (S)-tyrosine that enable selective N-sulfonylation to be carried out in high yield; (3)
the selective phenolic O-alkylation of the tyrosine derivative in high yield
with no racemization using aqueous KOH/DMSO; and (4) the selective hydrogenation of the pyridine ring in the presence of the tyrosine ring using Pd/C in acetic acid.
IT 149490-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenation of)
RN 149490-61-9 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:539778 CAPLUS
DOCUMENT NUMBER: 119:139778
TITLE: Process for preparing fibrinogen receptor antagonists
INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

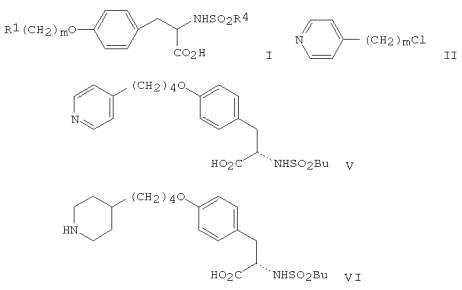
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5206373	A	19930427	US 1992-843658	19920228
EP 558139	A1	19930901	EP 1993-200486	19930220
EP 558139	B1	19970730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 738714	A2	19961023	EP 1996-202049	19930220
EP 738714	A3	19961120		
EP 738714	B1	20010502		
R: ES, GR				
AT 156118	T	19970815	AT 1993-200486	19930220
ES 2105069	T3	19971016	ES 1993-200486	19930220
ES 2156255	T3	20010616	ES 1996-202049	19930220
WO 9316995	A1	19930902	WO 1993-US1646	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337322	A	19930913	AU 1993-37322	19930223
HU 70537	A2	19951030	HU 1994-2467	19930223
CZ 283485	B6	19980415	CZ 1994-2033	19930223
RU 2114105	C1	19980627	RU 1996-107890	19930223
HU 217959	B	20000528	HU 1996-658	19930223
RO 116016	B1	20000929	RO 1994-1433	19930223
SK 281250	B6	20010118	SK 1994-1022	19930223
JP 06009557	A	19940118	JP 1993-36896	19930225
CA 2090509	A1	19930829	CA 1993-2090509	19930226
CA 2090509	C	19970225		
AU 9333836	A	19930902	AU 1993-33836	19930226
AU 657199	B2	19950302		
CN 1076441	A	19930922	CN 1993-102136	19930227
CN 1050832	B	20000329		
FI 9403933	A	19941004	FI 1994-3933	19940826
FI 106023	B1	20001115		
RU 2097377	C1	19971127	RU 1994-40166	19940826
FI 107255	B1	20010629	FI 1998-2545	19981124
GR 3035827	T3	20010831	GR 2001-400122	20010503
LV 12824	B	20020920	LV 2002-41	20020315

PRIORITY APPLN. INFO.: US 1992-843658 A 19920228
EP 1993-200486 A3 19930220

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

	WO 1993-US1646	A	19930223
	FI 1994-3933	A	19940826

OTHER SOURCE(S): CASREACT 119:139778; MARPAT 119:139778
GI

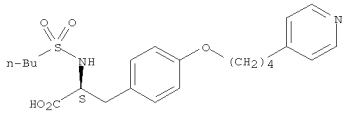


AB Tyrosine derivs. I (R1 = 4-piperidinyl, 4-pyridyl; m = 2-6; R4 = aryl, C1-10 alkyl, aralkyl), useful as fibrinogen receptor antagonists (no data), were prepared by N-sulfonylating tyrosine with R4SO2Cl mediated by N,O-bis(trimethylsilyl)trifluoroacetamide (BSTFA) and O-alkylating the resulting R4SO2-Tyr-OH with pyridylalkyl chlorides II in aqueous alkaline hydride in a highly polar aprotic solvent. When R1 = 4-piperidinyl is desired for I, the corresponding 4-pyridyl derivative can be selectively hydrogenated over Pd/C in acetic acid. II was prepared by treating 4-picoline with BuLi and then chloroalkylating with Br(CH2)mCl. Thus, a suspension of L-tyrosine and BSTFA in MeCN was heated at 85° for 2 h and the resulting solution of O,O'-bis(trimethylsilyl)L-tyrosine was cooled to 40° and then pyridine and BuSO2Cl were added over 30 min. The reaction mixture was aged at 70° for 3 h and then at room temperature for 14 h.. Almost all the solvent was removed in a batch concentrator and the oily residue was treated with 15% KHSO4 and stirred for 1 h to give 84% BuSO2-L-Tyr-OH (III). 4-Picoline was treated with BuLi in THF and the resulting 4-picolyllithium was treated with Br(CH2)3Cl to give 92% II (m = 4) (IV). III was treated with IV in DMSO and 3N aqueous KOH to give pyridylbutyl ether V, which was hydrogenated over Pd/C in acetic acid to give 4-piperidinyl ether VI.

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 149490-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and selective hydrogenation of)
RN 149490-61-9 CAPLUS
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:422967 CAPLUS
DOCUMENT NUMBER: 109:22967
TITLE: Preparation of heterocyclylalkyl ethers and sulfides as antitumor agents
INVENTOR(S): Ito, Noriki; Nagano, Yoshinobu; Tanaka, Akihiro; Numasaki, Yoso; Takahashi, Koichiro
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254590	A2	19880127	EP 1987-306573	19870724
EP 254590	A3	19881109		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63179840	A	19880723	JP 1987-170800	19870707
US 4891432	A	19900102	US 1987-74290	19870716
AU 8776116	A	19880128	AU 1987-76116	19870723
AU 604034	B2	19901206		
EP 397290	A1	19901114	EP 1990-201545	19870724
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AT 8702634	A	19900515	AT 1987-2634	19871008
AT 391695	B	19901112		
US 4987147	A	19910122	US 1989-349226	19890509
PRIORITY APPLN. INFO.:			JP 1986-174774 A	19860724
			US 1987-74290 A3	19870716

OTHER SOURCE(S): CASREACT 109:22967; MARPAT 109:22967
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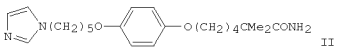
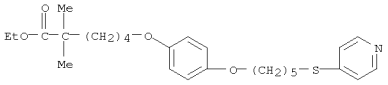


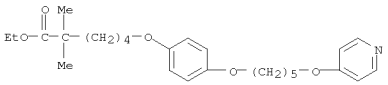
II

AB A(CH2)pX1(CH2)mX2BX3Y(CH2)nCR1R2R3 [I; A = biphenylyl, (un)substituted 5- or 6-membered heterocyclyl, 9- or 10-membered bicyclic heterocyclyl, each containing 1-4 N and, optionally, O, S; X1 = bond, X2; X3 = O, S, SO; B = phenylene, 1,3,4-thiadiazole-2,5-diyl, pyrimidindiyl, pyridazindiyl; R1, R2 = H, alkyl; R3 = H, OH, cyano, (un)modified CO2H; Y = bond, CO; m = 1-10; n = 1-9; p = 0-3] were prepared as neoplasm inhibitors. 4-HOC6H4O(CH2)4CMe2CONH2 was etherified with Br(CH2)5Br and the product was added at 0° to a solution of imidazole in DMF, previously treated with NaH, to give 1-alkylated imidazole II. In mice implanted with Ehrlich tumor cells, 100 mg II/kg/day s.c. for 9 days gave a 68.5% reduction in tumor weight after 21 days. Capsules were prepared containing

L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
N-decyl-2,2-dimethyl-6-[4-[(5-midazol-1-ylpentyl)oxy]phenoxy]hexanamide 200, lactose 205, cryst. cellulose 50, hydroxypropylcellulose 15, starch 25, and Mg stearate 5 mg.
IT 114545-62-9P 114545-83-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neoplasm inhibitor)
RN 114545-62-9 CAPLUS
CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-(4-pyridinylthio)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 114545-83-4 CAPLUS
CN Hexanoic acid, 2,2-dimethyl-6-[4-[[5-(4-pyridinyloxy)pentyl]oxy]phenoxy]-, ethyl ester (CA INDEX NAME)



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                   Zentralblatt
 NEWS  3  OCT 19   BEILSTEIN updated with new compounds
 NEWS  4  NOV 15   Derwent Indian patent publication number format enhanced
 NEWS  5  NOV 19   WPIX enhanced with XML display format
 NEWS  6  NOV 30   ICSD reloaded with enhancements
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 NEWS  8  DEC 14   BEILSTEIN pricing structure to change
 NEWS  9  DEC 17   USPATOLD added to additional database clusters
 NEWS 10  DEC 17   IMSDRUGCONF removed from database clusters and STN
 NEWS 11  DEC 17   DGENE now includes more than 10 million sequences
 NEWS 12  DEC 17   TOXCENTER enhanced with 2008 MeSH vocabulary in
                   MEDLINE segment
 NEWS 13  DEC 17   MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
 NEWS 14  DEC 17   CA/CAplus enhanced with new custom IPC display formats
 NEWS 15  DEC 17   STN Viewer enhanced with full-text patent content
                   from USPATOLD
 NEWS 16  JAN 02   STN pricing information for 2008 now available
 NEWS 17  JAN 16   CAS patent coverage enhanced to include exemplified
                   prophetic substances
 NEWS 18  JAN 28   USPATFULL, USPAT2, and USPATOLD enhanced with new
                   custom IPC display formats
 NEWS 19  JAN 28   MARPAT searching enhanced
 NEWS 20  JAN 28   USGENE now provides USPTO sequence data within 3 days
                   of publication
 NEWS 21  JAN 28   TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS 22  JAN 28   MEDLINE and LMEDLINE reloaded with enhancements
 NEWS 23  FEB 08   STN Express, Version 8.3, now available
 NEWS 24  FEB 20   PCI now available as a replacement to DPCI
 NEWS 25  FEB 25   IFIREF reloaded with enhancements
 NEWS 26  FEB 25   IMSPRODUCT reloaded with enhancements


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              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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                                                         ENTRY    SESSION
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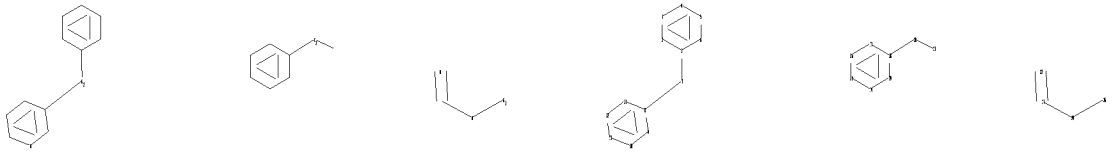

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ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19
chain bonds :
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ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19
15-16 16-17 17-18 18-19
exact/norm bonds :
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normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19
15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 8 : 14 :

G1:H,Ak

G2:C,O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 26:CLASS

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L1      STRUCTURE UPLOADED

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L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


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SEARCH TIME: 00.00.01

L2          529 SEA SSS FUL L1

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L4         104 L2 AND (PY<2004 OR AY<2004 OR PRY<2004)

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L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1256681 CAPLUS
DOCUMENT NUMBER: 146:7835
TITLE: Preparation of heterocyclic anticancer agents and uses thereof
INVENTOR(S): Kelly, Martha; Lee, Younghee; Liu, Bin; Fujimoto, Ted; Freundlich, Joel; Dorsey, Bruce D.; Flynn, Gary A.; Husain, Arifa
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 69pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006270686	A1	20061130	US 2004-928401	20040830
WO 2008008059	A1	20080117	WO 2006-US26935	20060712

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2003-498705P P 20030829
US 2003-528695P P 20031212
OTHER SOURCE(S): MARPAT 146:7835
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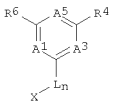
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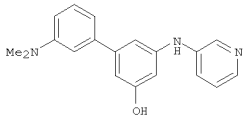
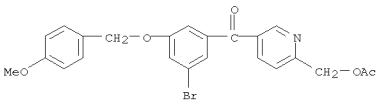
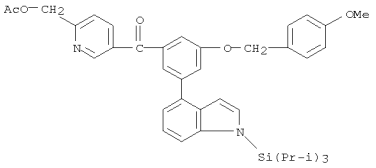

II

L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB The present invention is in the area of novel compds. and salts thereof, their syntheses, and their use as anti-cancer agents. The compds. include compds. of formula I and solvates, hydrates and pharmaceutically-acceptable salts thereof, wherein A1 = N or CR1; A3 = N or CR3; A5 = N or CR5; R1,R3, R5, R6 = H, halo, hydroxy, alkyl, etc.; R4 = (un)substituted 1-indolyl or 1-indazolyl; adamantyl, etc.; L = a linker; n = 0 or 1; and X = (un)substituted aryl group having 6-10 carbons in the ring portion, (un)substituted 6-membered heteroaryl group having 1-3 nitrogens, etc. They are effective against a broad range of cancers, especially leukemia, non-small cell lung and colon. Preparation of I is exemplified. For example, II was prepared in three steps from an initial reaction between 3-bromo-N,N-dimethylaniline and tri-Me borate vis intermediates 3-dimethylaminophenylboronic acid and 3-benzyloxy-3'-dimethylamino-5-(pyridin-3-ylamino)biphenyl. In a cell viability assay using Jurkat and HeLa cells, II had IC50 values in the range of 1-30 μM.
IT 915411-89-1P 915411-90-4P, Acetic acid [5-[3-[(4-methoxybenzyl)oxy]-5-[1-(triisopropylsilanyl)-1H-indol-4-yl]benzoyl]pyridin-2-yl]methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic anticancer agents and uses thereof)
RN 915411-89-1 CAPLUS
CN Methanone, [6-[(acetyloxy)methyl]-3-pyridinyl][3-bromo-5-[(4-methoxyphenyl)methoxy]phenyl]- (CA INDEX NAME)



RN 915411-90-4 CAPLUS
CN Methanone, [6-[(acetyloxy)methyl]-3-pyridinyl][3-[(4-methoxyphenyl)methoxy]-5-[1-[tris(1-methylethyl)silyl]-1H-indol-4-yl]phenyl]- (CA INDEX NAME)

L4 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:493928 CAPLUS
DOCUMENT NUMBER: 144:467901
TITLE: Preparation of aryl sulfonamides as antagonists of CCR9 receptor
INVENTOR(S): Ungashe, Solomon; Wright, John J.; Pennell, Andrew; Wei, Zheng; Melikian, Anita
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 163 pp., Cont.-in-part of U.S. Ser. No. 846,241.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006111351	A1	20060525	US 2005-255163	20051020
US 2004171654	A1	20040902	US 2003-716170	20031117
US 6939885	B2	20050906		
EP 1798223	A2	20070620	EP 2007-4318	20031117

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR

CN 101077867	A	20071128	CN 2007-10127011	20031117
CA 2505590	A1	20041007	CA 2003-2505590	20031118
AU 2003303942	A1	20041018	AU 2003-303942	20031118
AU 2003303942	B2	20080103		
EP 1567486	A2	20050831	EP 2003-816012	20031118
EP 1567486	B1	20080116		

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JP 2006510724	T	20060330	JP 2004-569975	20031118
US 2005137193	A1	20050623	US 2004-846241	20040513
US 2005165067	A1	20050728	US 2005-46565	20050127
MX 2005PA05296	A	20051214	MX 2005-PA5296	20050518
IN 2005CN00962	A	20070810	IN 2005-CN962	20050518
KR 780905	B1	20071130	KR 2005-708974	20050518
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AU 2007205711	A1	20070830	AU 2007-205711	20070809

PRIORITY APPLN. INFO.: US 2002-427670P P 20021118
US 2003-716170 A2 20031117

L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

US 2004-846241	A2	20040513
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OTHER SOURCE(S): MARPAT 144:467901
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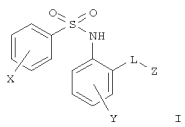

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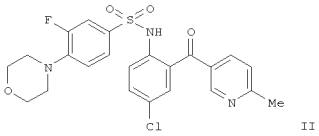
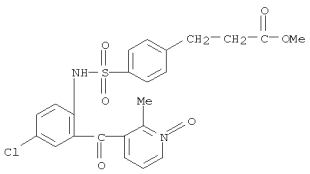


II

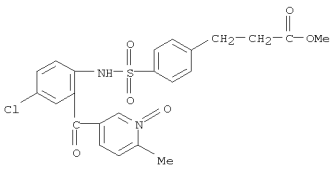
AB Title compds. I [X = 1-5 substituents independently selected from CN, NO2, (un)substituted alkyl, alkenyl, alkynyl, etc.; Y = 1-4 substituents independently selected from halo, CN, NO2, etc.; L = linker selected from CO, S, SO, or SO2; Z = (un)substituted monocyclic or bicyclic 5-10 membered heteroaryl, or bicyclic 3-10 membered heterocyclyl, (un)substituted amine or N-heterocycle] are prepared and disclosed as potent antagonists of the CCR9 receptor, and which have been further confirmed in animal testing for inflammation, one of the hallmark disease states for CCR9. Numerous compds. of the invention were determined to possess IC50 values of less than 1000 nM in either chemotaxis assays and/or calcium mobilization assays. Compound II is claimed.

IT 698395-36-7P 698395-48-1P

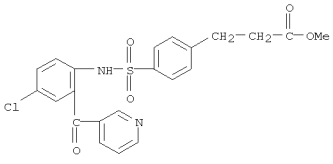
L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-53-8 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

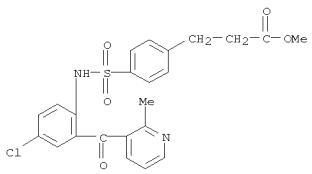


RN 698395-85-6 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-(3-pyridinylcarbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

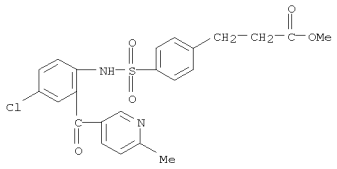


L4 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of aryl sulfonamides as antagonists of CCR9 receptor)

RN 698395-36-7 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 698395-48-1 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



IT 698395-41-4P 698395-53-8P 698395-85-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl sulfonamides as antagonists of CCR9 receptor)

RN 698395-41-4 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2005:1259748 CAPLUS
DOCUMENT NUMBER:	144:22718
TITLE:	Preparation of aryl sulfonamides as antagonists of CCR9 receptor
INVENTOR(S):	Ungashe, Solomon; Wright, John Jessen; Pennell, Andrew; Wei, Zheng; Melikian, Anita
PATENT ASSIGNEE(S):	Chemocentryx, USA
SOURCE:	PCT Int. Appl., 312 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	5

PATENT INFORMATION:

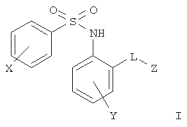
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112925	A1	20051201	WO 2005-US16815	20050513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005137193	A1	20050623	US 2004-846241	20040513
<--				
AU 2007205711	A1	20070830	AU 2007-205711	20070809
<--				

PRIORITY APPLN. INFO.:

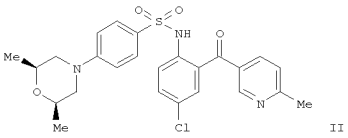
US 2004-846241	A	20040513
US 2002-427670P	P	20021118
<--		
AU 2003-298661	A3	20031117
<--		
US 2003-716170	A1	20031117
<--		

OTHER SOURCE(S): CASREACT 144:22718; MARPAT 144:22718
GI

L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

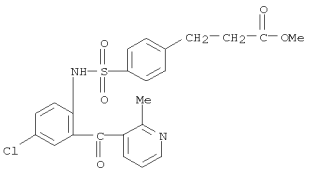


II

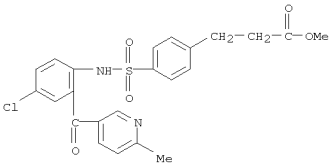
AB Title compds. I [X = 1-5 substituents independently selected from CN, NO2, (un)substituted alkyl, alkenyl, alkynyl, etc.; Y = 1-4 substituents independently selected from halo, CN, NO2, etc.; L = linker selected from CO, S, SO, or SO2; Z = (un)substituted monocyclic or bicyclic 5-10 membered heteroaryl, or bicyclic 3-10 membered heterocyclyl, (un)substituted amine or N-heterocycle] are prepared and disclosed as potent antagonists of the CCR9 receptor, and which have been further confirmed in animal testing for inflammation, one of the hallmark disease states for CCR9. Thus, e.g., II was prepared by substitution of 4-bromo-N-[4-chloro-2-(6-methylpyridine-3-carbonyl)phenyl]benzenesulfonamide (preparation given) with cis-2,6-dimethylmorpholine. Numerous compds. of the invention were determined to possess IC50 values of less than 1000 nM in either chemotaxis assays and/or calcium mobilization assays. The compds. are generally aryl sulfonamide derivs. and are useful in pharmaceutical compns., methods for the treatment of CCR9-mediated diseases, and as controls in assays for the identification of CCR9 antagonists.

IT 698395-36-7P 698395-48-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl sulfonamides as antagonists of CCR9 receptor)

RN 698395-36-7 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



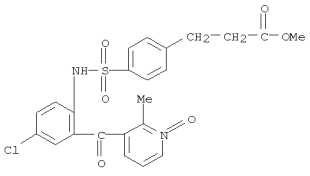
RN 698395-48-1 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



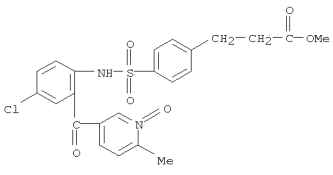
IT 698395-41-4P 698395-53-8P 698395-85-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl sulfonamides as antagonists of CCR9 receptor)

RN 698395-41-4 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

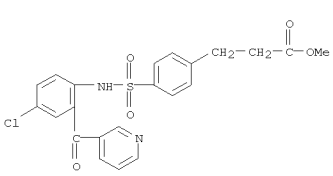
L4 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-53-8 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 698395-85-6 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-(3-pyridinylcarbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1089525 CAPLUS
DOCUMENT NUMBER: 143:367209
TITLE: Preparation of aralkyl amino acid derivatives as PPAR agonists with potent antihyperglycemic and antihyperlipidemic activity
INVENTOR(S): Lu, Xianping; Li, Zhibin; Liao, Chenzhong; Shi, Leming; Liu, Zhende; Ma, Baoshun; Ning, Zhiqiang; Shan, Song; Deng, Tuo
PATENT ASSIGNEE(S): Shenzhen Chipscreen Biosciences Limited, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 49 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1562970	A	20050112	CN 2003-126974	20030617

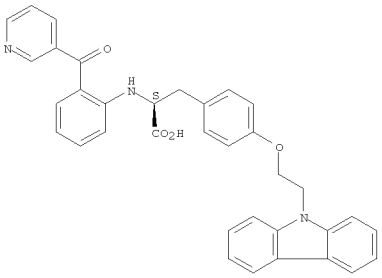
PRIORITY APPLN. INFO.: CN 2003-126974 20030617
OTHER SOURCE(S): CASREACT 143:367209; MARPAT 143:367209
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein ring A, B = (un)substituted 5-6 membered (hetero)cyclic ring; X = a valence bond, CH2CH2, CH:CH, O, S, (un)substituted amino; R1 = H, (heteroaryl)alkyl, alkenyl, heterocyclyl, etc.; R2 = H, (heteroaryl)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, aralkyl, aryl, etc.; R4, R5 = independently H, alkyl, alkenyl, heteroaryloxy, etc.; Alk1 = C1-6 alkylene; Alk2 = C1-2 alkylene; Ar1 = (hetero)arylene or (un)substituted divalent heterocyclic group; Ar2 = (un)substituted (hetero)aryl; and stereoisomers, enantiomers, diastereomers, hydrates or pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator-activated receptors (PPAR) agonist that activates RXR/PPAR-α, RXR/PPAR-γ, and RXR/PPAR-δ heterodimers. For example, condensation of 2-(4-fluorobenzoyl)cyclohexanone with L-tyrosine Me ester (48%), followed by O-alkylation with 1,2-dibromoethane (38%) and N-alkylation with carbazole (36%), gave II (CS 038). I showed comparative activation of RXR/PPAR-α, -δ and -γ, and illustrated in vivo glucose lowering effect, etc. Thus, I and their pharmaceutical compns. are useful for as selective agonists activating PPAR, in particularly the RXR/PPAR-α, RXR/PPAR-γ, and RXR/PPAR-δ heterodimers, in the treatment and/or prevention of type 2 diabetes and associated metabolic syndrome such as hypertension, obesity, insulin resistance, hyperlipidemia, hyperglycemia, hypercholesterolemia, atherosclerosis, coronary artery disease, and other cardiovascular disorders with improved

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
side effects profile commonly assocd. with conventional PPAR-γ agonists.
IT 866218-00-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aralkyl amino acid derivs. as PPAR pan agonists with potent
antihyperglycemic and antihyperlipidemic activity)
RN 866218-00-0 CAPLUS
CN L-Tyrosine, O-[2-(9H-carbazol-9-yl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

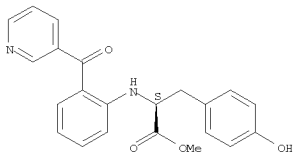
Absolute stereochemistry.



IT 702639-94-9P 702639-97-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aralkyl amino acid derivs. as PPAR pan agonists with potent
antihyperglycemic and antihyperlipidemic activity)
RN 702639-94-9 CAPLUS
CN L-Tyrosine, N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

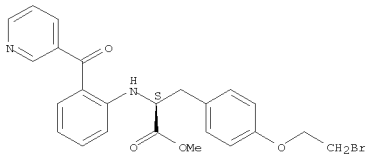
Absolute stereochemistry.

L4 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 702639-97-2 CAPLUS
CN L-Tyrosine, O-(2-bromoethyl)-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

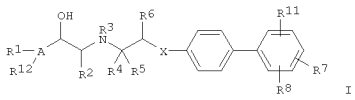


L4 ANSWER 5 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:588861 CAPLUS
DOCUMENT NUMBER: 143:115446
TITLE: Preparation of biphenyl aminoalcohols as β3 adrenergic agonists for treatment of pollakiurea or urinary incontinence
INVENTOR(S): Hattori, Kouji; Toda, Susumu; Imanishi, Masashi; Ito, Shinji; Washizuka, Kenichi; Araki, Takanobu; Sakurai, Minoru; Tanabe, Daisuke
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Astellas Pharma Inc
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061433	A2	20050707	WO 2004-JP19495	20041220
WO 2005061433	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2551167	A1	20050707	CA 2004-2551167	20041220
EP 1697301	A2	20060906	EP 2004-807850	20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1906154	A	20070131	CN 2004-80041150	20041220
JP 2007516211	T	20070621	JP 2006-520478	20041220
MX 2006PA07173	A	20060823	MX 2006-PA7173	20060622
IN 2006CN02292	A	20070608	IN 2006-CN2292	20060623
KR 2007019678	A	20070215	KR 2006-714417	20060718
PRIORITY APPLN. INFO.:			AU 2003-907111 A	20031223
			WO 2004-JP19495 W	20041220

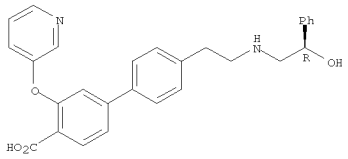
OTHER SOURCE(S): MARPAT 143:115446
GI

L4 ANSWER 5 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. [I; A = Ph, pyridyl; X = bond, CH2, O, NH; R1, R12 = H, OH, halo, alkyl, etc.; R2 = H, (substituted) alkyl; R3 = H, protecting group; R4, R5, R6 = H, (substituted) alkyl; R7 = ZR13; Z = bond, (CH2)n, OCH2; n = 1-4; R13 = carboxy, alkoxycarbonyl, alkylsulfonylcarbamoyl, alkanoylsulfamoyl; R8 = YR9; Y = bond, CH2, O, S, etc.; R9 = H, alkyl, cycloalkyl, haloalkyl, alkanoyl, etc.; R11 = H, alkyl, alkoxy, amino, etc.; with provisos], were prepared Thus, 3-cyclohexyloxy-4'-[2-[[(2R)-2-hydroxy-2-(3-pyridyl)ethyl]amino]ethyl]-N-(methylsulfonyl)-4-biphenylcarboxamide dihydrochloride (preparation of analogous compds. given) at
0.010 mg/kg i.v. in beagle dogs gave 89% reduction in carbachol-induced increase in intravesical pressure.
IT 855480-30-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl aminoalcs. as β3 adrenergic agonists for treatment of pollakiurea or urinary incontinence)
RN 855480-30-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]-3-(3-pyridinyloxy)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L4 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:547274 CAPLUS
DOCUMENT NUMBER: 143:78089
TITLE: Preparation of biphenyl aminoalcohols as β3 adrenergic agonists for treatment of pollakiurea or urinary incontinence.
INVENTOR(S): Hattori, Kouji; Toda, Susumu; Imanishi, Masashi; Ito, Shinji; Washizuka, Kenichi; Araki, Takanobu; Sakurai, Minoru; Tanabe, Daisuke
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 53 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005137236	A1	20050623	US 2004-16886	20041221

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PRIORITY APPLN. INFO.: EP 2003-907111 A 20031223
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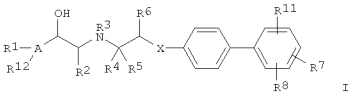
OTHER SOURCE(S): MARPAT 143:78089
GI

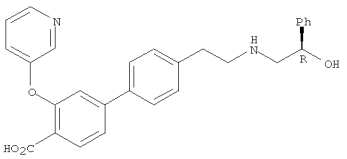


I

AB Title compds. [I; A = Ph, pyridyl; X = bond, CH2, O, NH; R1, R12 = H, OH, halo, alkyl, etc.; R2 = H, (substituted) alkyl; R3 = H, protecting group; R4, R5, R6 = H, (substituted) alkyl; R7 = ZR13; Z = bond, (CH2)n, OCH2; n = 1-4; R13 = carboxy, alkoxycarbonyl, alkylsulfonylcarbamoyl, alkanoylsulfamoyl; R8 = YR9; Y = bond, CH2, O, S, etc.; R9 = H, alkyl, cycloalkyl, haloalkyl, alkanoyl, etc.; R11 = H, alkyl, alkoxy, amino, etc.; with provisos], were prepared Thus, 3-cyclohexyloxy-4'-[2-[[(2R)-2-hydroxy-2-(3-pyridyl)ethyl]amino]ethyl]-N-(methylsulfonyl)-4-biphenylcarboxamide dihydrochloride (preparation of analogous compds. given) at 0.010 mg/kg i.v. in beagle dogs gave 89% reduction in carbachol-induced increase in intravesical pressure.
IT 855480-30-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl aminoalcs. as β3 adrenergic agonists for

L4 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
treatment of pollakiurea or urinary incontinence)
RN 855480-30-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]ethyl]-3-(3-pyridinyloxy)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

L4 ANSWER 7 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:371204 CAPLUS
DOCUMENT NUMBER: 142:430015
TITLE: Preparation of phenoxyether derivatives as PPAR modulators
INVENTOR(S): Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037763	A1	20050428	WO 2004-US30911	20041008

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2541751	A1	20050428	CA 2004-2541751	20041008

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EP 1675814	A1	20060705	EP 2004-793892	20041008

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JP 2007508382	T	20070405	JP 2006-535505	20041008

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US 2007037812	A1	20070215	US 2006-571961	20060313

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PRIORITY APPLN. INFO.: US 2003-510865P P 20031014
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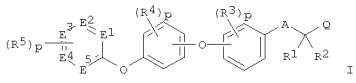
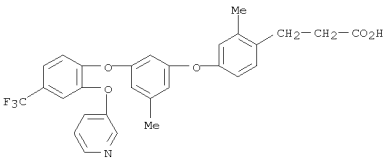
WO 2004-US30911 W 20041008

OTHER SOURCE(S): CASREACT 142:430015; MARPAT 142:430015
GI

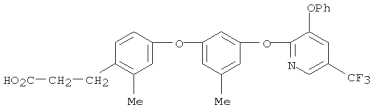


I

AB Title compds. I [E1-5 = CH, CR5, or at least one of E1-5 = N and others are CH, CR5; A = bond, CH2, etc.; Q = carboxy; p = 1-4; R1-2 = H, alkyl, etc.; R3-4 = H, NO2, CN, OH, etc.; R5 = H, NO2, CN, etc.] are prepd. For instance, [[4-[3-(4-chloro-2-phenoxyphenoxy)phenoxy]-2-methylphenyl]sulfanyl]acetic acid is prepd. in 2 steps from 4-chloro-2-phenoxyphenol, 1-bromo-3-iodobenzene and [(4-hydroxy-2-methylphenyl)sulfanyl]acetic acid Et ester. Example compds. bind to peroxisome proliferator activated receptor-α (PPARα), PPARγ and PPARδ in the range of 1 - 1000 nM. I are useful in treating or preventing syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagaulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.
IT 850793-19-0P, 3-[2-Methyl-4-[3-methyl-5-[2-[(pyridin-3-yl)oxy]-4-(trifluoromethyl)phenoxy]phenoxy]phenyl]propionic acid
850793-78-1P, 3-[2-Methyl-4-[3-methyl-5-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)phenoxy]phenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenoxyether derivs. as PPAR modulators)
RN 850793-19-0 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[3-methyl-5-[2-(3-pyridinyloxy)-4-(trifluoromethyl)phenoxy]phenoxy]- (CA INDEX NAME)



RN 850793-78-1 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[3-methyl-5-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:323829 CAPLUS
DOCUMENT NUMBER: 142:392290
TITLE: Preparation of pyridylamino compounds as glycine transport inhibitors
INVENTOR(S): Lowe, John Adams
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005080100	A1	20050414	US 2004-926493	20040826
WO 2005035494	A1	20050421	WO 2004-IB3129	20040927

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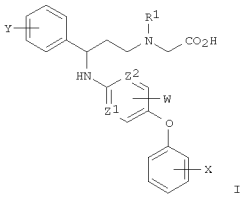
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-510016P P 20031009
OTHER SOURCE(S): CASREACT 142:392290; MARPAT 142:392290
GI



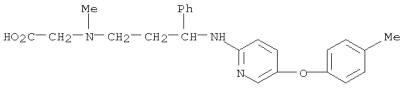
I

L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

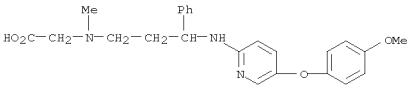
AB This invention relates to a series of pyridylamino compds. I [Z1, Z2 = C, N, provided that Z2 is not C when Z1 = C; W, X and Y = H, alkyl, alkoxy, etc.; R1 = H, alkyl], that exhibit activity as glycine transport inhibitors, their pharmaceutical compns. containing them, and their use for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. The general procedure which describes the synthesis of compds. I, is given. Seventeen compds. I such as {Methyl-[3-phenyl-3-(5-p-tolyloxypyridin-2-ylamino)propyl]amino}acetic acid, were prepared The compds. I have been found to have significant activity in inhibiting glycine reuptake in synaptosomes, having IC50 values of no greater than 50 nM.

IT 850015-61-1P 850015-62-2P 850015-63-3P
850015-64-4P 850015-65-5P 850015-66-6P
850015-67-7P 850015-68-8P 850015-69-9P
850015-70-2P 850015-71-3P 850015-72-4P
850015-73-5P 850015-74-6P 850015-75-7P
850015-76-8P 850015-78-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylamino compds. as glycine transport inhibitors)

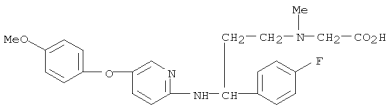
RN 850015-61-1 CAPLUS
CN Glycine, N-methyl-N-[3-[[5-(4-methylphenoxy)-2-pyridinyl]amino]-3-phenylpropyl]- (CA INDEX NAME)



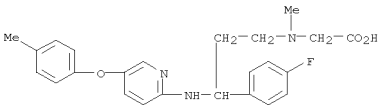
RN 850015-62-2 CAPLUS
CN Glycine, N-[3-[[5-(4-methoxyphenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



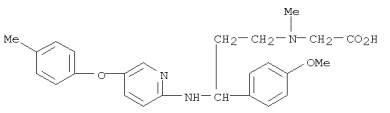
RN 850015-63-3 CAPLUS
CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(4-methoxyphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



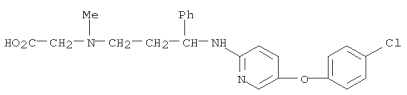
RN 850015-64-4 CAPLUS
CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(4-methylphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



RN 850015-65-5 CAPLUS
CN Glycine, N-[3-(4-methoxyphenyl)-3-[[5-(4-methylphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)

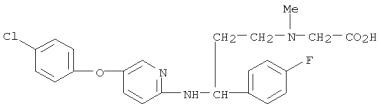


RN 850015-66-6 CAPLUS
CN Glycine, N-[3-[[5-(4-chlorophenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)

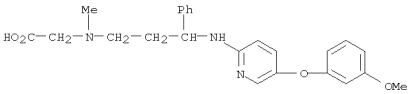


RN 850015-67-7 CAPLUS
CN Glycine, N-[3-[[5-(4-chlorophenoxy)-2-pyridinyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)

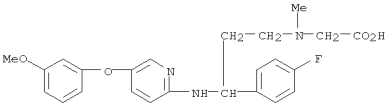
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



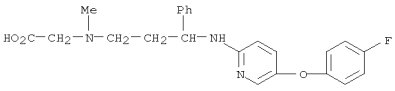
RN 850015-68-8 CAPLUS
CN Glycine, N-[3-[[5-(3-methoxyphenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-69-9 CAPLUS
CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(3-methoxyphenoxy)-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)

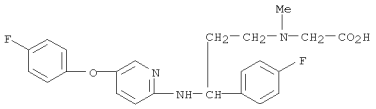


RN 850015-70-2 CAPLUS
CN Glycine, N-[3-[[5-(4-fluorophenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)

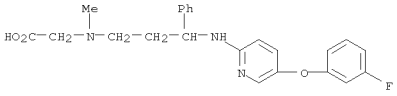


RN 850015-71-3 CAPLUS
CN Glycine, N-[3-[[5-(4-fluorophenoxy)-2-pyridinyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)

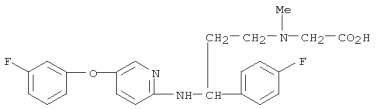
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



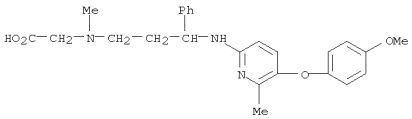
RN 850015-72-4 CAPLUS
CN Glycine, N-[3-[[5-(3-fluorophenoxy)-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-73-5 CAPLUS
CN Glycine, N-[3-[[5-(3-fluorophenoxy)-2-pyridinyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl- (CA INDEX NAME)

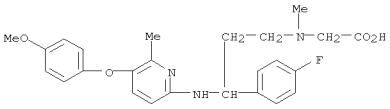


RN 850015-74-6 CAPLUS
CN Glycine, N-[3-[[5-(4-methoxyphenoxy)-6-methyl-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)

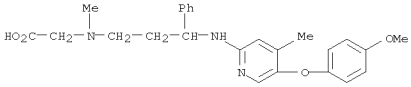


RN 850015-75-7 CAPLUS
CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(4-methoxyphenoxy)-6-methyl-2-

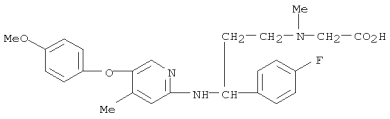
L4 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



RN 850015-76-8 CAPLUS
CN Glycine, N-[3-[[5-(4-methoxyphenoxy)-4-methyl-2-pyridinyl]amino]-3-phenylpropyl]-N-methyl- (CA INDEX NAME)



RN 850015-78-0 CAPLUS
CN Glycine, N-[3-(4-fluorophenyl)-3-[[5-(4-methoxyphenoxy)-4-methyl-2-pyridinyl]amino]propyl]-N-methyl- (CA INDEX NAME)



L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:219782 CAPLUS
DOCUMENT NUMBER: 142:298005
TITLE: Preparation of substituted N-(arylmethyl)aryloxy arylcarboxamide and heteroarylcarboxamide antagonists for the PGE2 receptor EP4
INVENTOR(S): Yamagishi, Tatsuya; Okumura, Yoshiyuki; Nukui, Seiji; Nakao, Kazunari
PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Japan, Inc.
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021508	A1	20050310	WO 2004-IB2735	20040823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004268839	A1	20050310	AU 2004-268839	20040823
CA 2536870	A1	20050310	CA 2004-2536870	20040823
EP 1663979	A1	20060607	EP 2004-769162	20040823
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BR 2004014130	A	20061031	BR 2004-14130	20040823
CN 1867551	A	20061122	CN 2004-80030095	20040823
JP 2007504210	T	20070301	JP 2006-525196	20040823
US 2005065188	A1	20050324	US 2004-932463	20040902
US 7238714	B2	20070703		
NL 1026958	A1	20050307	NL 2004-1026958	20040903
NL 1026958	C2	20050629		
IN 2006DN00817	A	20070810	IN 2006-DN817	20060217
KR 2007026302	A	20070308	KR 2006-704328	20060302
KR 747401	B1	20070808		
MX 2006PA02551	A	20060620	MX 2006-PA2551	20060303

L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

NO 2006001251	A	20060317	NO 2006-1251	20060317
JP 2008044949	A	20080228	JP 2007-229739	20070905
PRIORITY APPLN. INFO.:			US 2003-500131P	P 20030903
			JP 2006-525196	A3 20040823
			WO 2004-IB2735	W 20040823

OTHER SOURCE(S): CASREACT 142:298005; MARPAT 142:298005
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

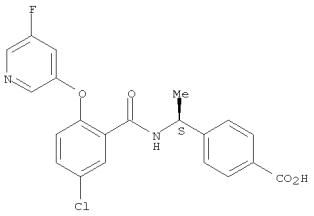
AB Compds. I [B = aryl, heteroaryl; R1, R2 = H, halo, alkyl, alkoxy, haloalkyl, haloalkoxy, NC, H2NCO; R3, R4 = H, alkyl; R3R4C = 3-7 membered carbocycle; R5 = HO2C, 1H-5-tetrazolyl, R6SO2NHCO; R5 may also be an alkoxycarbonyl derivative containing a prodrug group; R6 = alkyl, cycloalkyl, aryl, heteroaryl; X = CH2, O, S; Y, Z, A, E = CH, N (only one of A, E, Y, or Z may be N)], particularly substituted N-(arylmethyl) aryloxy- or heteroaryloxyarylcarboxamides or heteroarylcarboxamides such as II, are prepared as agents for the treatment of prostaglandin-mediated conditions such as pain, inflammation, osteoarthritis, or rheumatoid arthritis; the compds. of the invention act as PGE2 receptor EP4 antagonists. Radical bromination of Me 2-methyl-5-chlorobenzoate, palladium-catalyzed coupling of the bromomethylbenzoate with 3-chlorophenylboronic acid, and ester hydrolysis yields 2-(4-chlorophenylmethyl)-5-chlorobenzoic acid. (S)-α-methyl-4-bromobenzylamine is protected with Boc anhydride, carbonylated with methanol and carbon monoxide in the presence of palladium (II) acetate and 1,3-bis(diphenylphosphino)propane, deprotected with trifluoroacetic acid, and reacted with hydrogen chloride to yield the nonracemic (aminoethyl)benzoate hydrochloride III•HCl. Coupling of 2-(4-chlorophenylmethyl)-5-chlorobenzoic acid with III•HCl followed by ester hydrolysis yields II. Data on the inhibition of the human PGE2 receptor EP4 by selected compds. of the invention is provided. E.g., II binds to the human PGE2 receptor EP4 with a Ki value of 0.7 nM; in a functional assay with the human PGE2 receptor EP4, II has an IC50 value of 3.6 nM.

IT 847728-32-9P 847728-33-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted N-(arylmethyl)aryloxy arylcarboxamide and heteroarylcarboxamide antagonists for the PGE2 receptor EP4 for the treatment of pain, inflammation, osteoarthritis, and rheumatoid arthritis)

RN 847728-32-9 CAPLUS
CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-[(5-fluoro-3-pyridinyl)oxy]benzoyl]amino]ethyl]- (CA INDEX NAME)

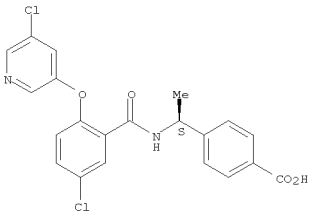
Absolute stereochemistry.

L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847728-33-0 CAPLUS
CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-[(5-chloro-3-pyridinyl)oxy]benzoyl]amino]ethyl]- (CA INDEX NAME)

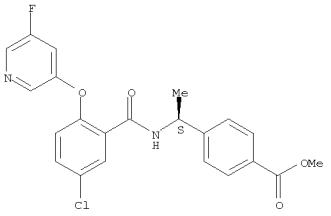
Absolute stereochemistry.



IT 847729-91-3P 847729-93-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted N-(arylmethyl)aryloxy arylcarboxamide and heteroarylcarboxamide antagonists for the PGE2 receptor EP4 for the treatment of pain, inflammation, osteoarthritis, and rheumatoid arthritis)
RN 847729-91-3 CAPLUS
CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-[(5-fluoro-3-pyridinyl)oxy]benzoyl]amino]ethyl]-, methyl ester (CA INDEX NAME)

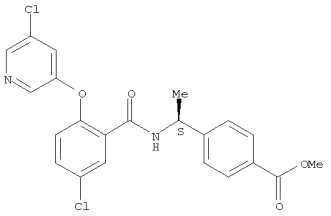
Absolute stereochemistry.

L4 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847729-93-5 CAPLUS
CN Benzoic acid, 4-[(1S)-1-[[5-chloro-2-[(5-chloro-3-pyridinyl)oxy]benzoyl]amino]ethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182607 CAPLUS
DOCUMENT NUMBER: 142:279949
TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists
INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151 <--	A1	20050303	WO 2004-US24381	20040817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536089 <--	A1	20050303	CA 2004-2536089	20040817
EP 1660428 <--	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815 <--	T	20070215	JP 2006-523861	20040817
US 2006257987 <--	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): MARPAT 142:279949
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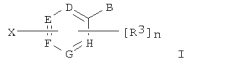
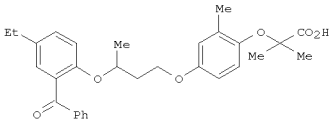
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II

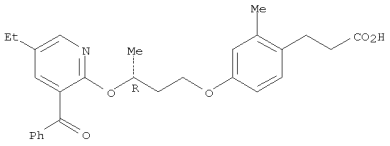
AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH2, O, S, and wherein A1and R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 847345-17-9P, (R)-3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847345-23-7P, (R)-[[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid 847345-75-9P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-79-3P, [[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-81-7P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-ethylphenyl]propionic acid 847345-84-0P, 3-[4-[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
methylphenyl]propionic acid 847345-88-4P, [[4-[[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-90-8P, 3-[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid 847345-93-1P, [[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid 847345-95-3P, 3-[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847345-98-6P, [[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfanyl]acetic acid 847346-00-3P, 3-[2-Ethyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid 847346-05-8P, 3-[4-[[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid 847346-09-2P 847346-10-5P 847352-00-5P, (R)-3-[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-01-6P, (R)-[[4-[3-(3-Benzoyl-5-chloropyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-02-7P, (R)-3-[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-03-8P, (R)-[[4-[3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-04-9P, (R)-3-[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid 847352-05-0P, (R)-3-[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)butoxy]-2-ethylphenyl]propionic acid 847352-06-1P, (R)-[[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]ethanoic acid 847352-07-2P, (R)-3-[2-Methyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-08-3P, (R)-3-[2-Ethyl-4-[3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butoxy]phenyl]propionic acid 847352-09-4P, 3-[4-[3-(5-Chloro-3-phenoxypyridin-2-yloxy)propoxy]-2-methylphenyl]propionic acid trifluoroacetate 847352-10-7P, 3-[4-[2-(5-Chloro-3-phenoxypyridin-2-ylamino)ethoxy]-2-methylphenyl]propionic acid 847352-19-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR agonist; prepn. of alkoxyphenylalkanoic acids and analogs as PPAR agonists)
RN 847345-17-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

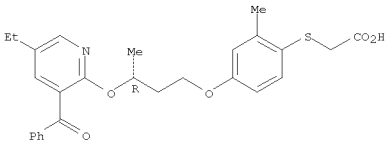
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



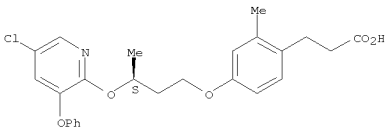
RN 847345-23-7 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-75-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

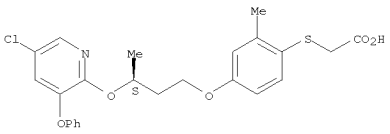
Absolute stereochemistry.



RN 847345-79-3 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

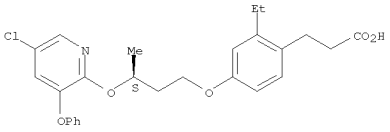
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



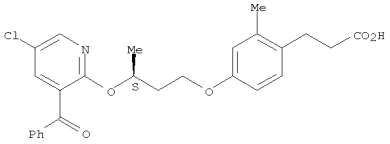
RN 847345-81-7 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-84-0 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

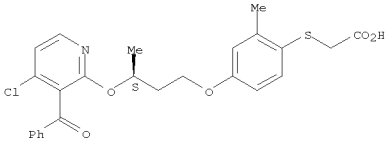
Absolute stereochemistry.



RN 847345-88-4 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

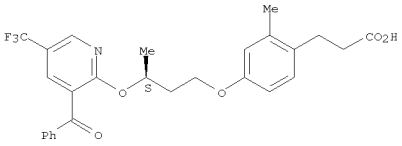
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



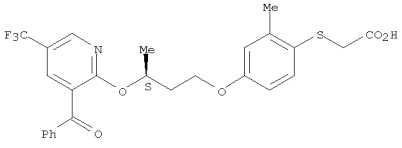
RN 847345-90-8 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-93-1 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

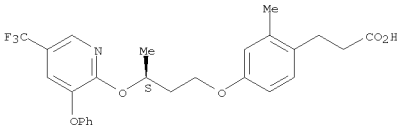
Absolute stereochemistry.



RN 847345-95-3 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

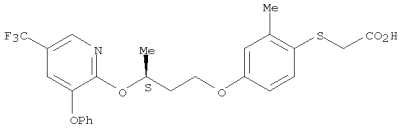
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



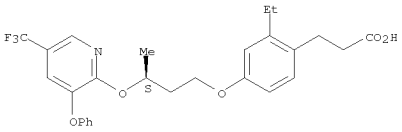
RN 847345-98-6 CAPLUS
CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



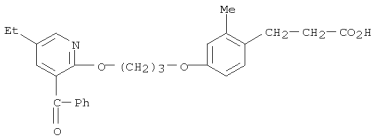
RN 847346-00-3 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-05-8 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847346-09-2 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-08-1
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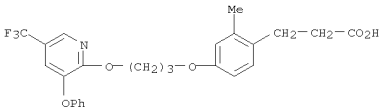
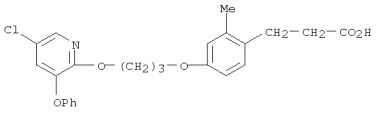


CM 2

CRN 76-05-1
CMF C2 H F3 O2

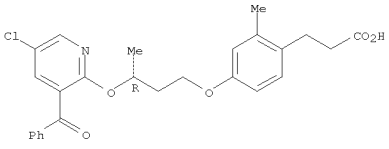
RN 847346-10-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



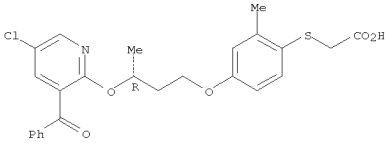
RN 847352-00-5 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-01-6 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

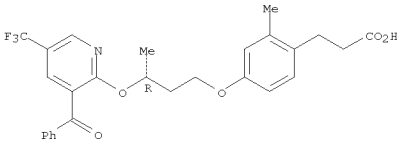
Absolute stereochemistry.



RN 847352-02-7 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl- (CA INDEX NAME)

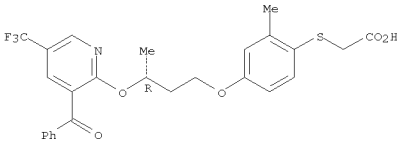
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



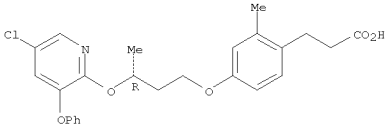
RN 847352-03-8 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-04-9 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl- (CA INDEX NAME)

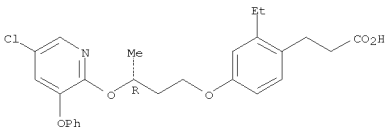
Absolute stereochemistry.



RN 847352-05-0 CAPLUS
CN Benzenepropanoic acid, 4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl- (CA INDEX NAME)

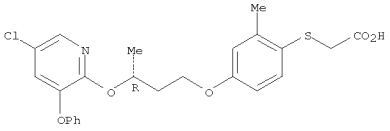
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



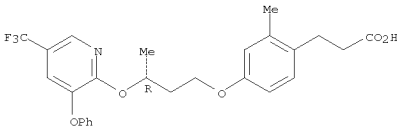
RN 847352-06-1 CAPLUS
CN Acetic acid, [[4-[(3R)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847352-07-2 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

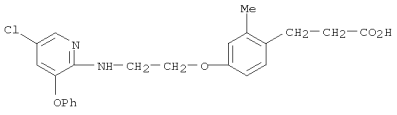
Absolute stereochemistry.



RN 847352-08-3 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]- (CA INDEX NAME)

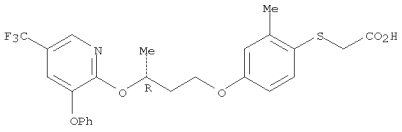
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



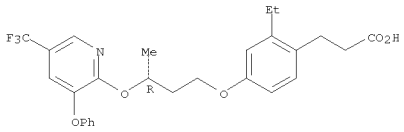
RN 847352-19-6 CAPLUS
CN Acetic acid, [[2-methyl-4-[(3R)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847345-22-6P, 3-[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]propionic acid methyl ester 847345-24-8P, [[4-[3-(3-Benzoyl-5-ethylpyridin-2-yloxy)butoxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-78-2P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-80-6P, [[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-82-8P, 3-[4-[[(S)-3-(5-Chloro-3-phenoxypyridin-2-yloxy)butyl]oxy]-2-ethylphenyl]propionic acid ethyl ester 847345-87-3P, 3-[4-[[(S)-3-(3-Benzoyl-5-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-89-5P, [[4-[[(S)-3-(3-Benzoyl-4-chloropyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-92-0P, 3-[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-94-2P, [[4-[[(S)-3-(3-Benzoyl-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester 847345-97-5P, 3-[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid methyl ester 847345-99-7P, [[2-Methyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]sulfanyl]acetic acid ethyl ester 847346-01-4P, 3-[2-Ethyl-4-[[(S)-3-(3-phenoxy-5-trifluoromethylpyridin-2-yloxy)butyl]oxy]phenyl]propionic acid ethyl ester 847346-06-9P, 3-[4-[[(S)-3-(3-Benzoyl-5-ethylpyridin-2-yloxy)propyl]oxy]-2-methylphenyl]propionic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of alkoxyphenylalkanoic acids and analogs as PPAR

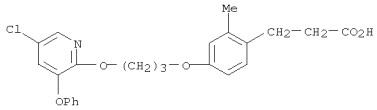
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 847352-09-4 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]propoxy]-2-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 847346-10-5
CMF C24 H24 Cl N O5



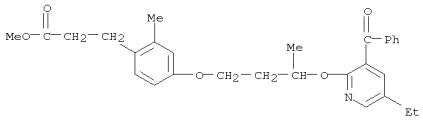
CM 2

CRN 76-05-1
CMF C2 H F3 O2

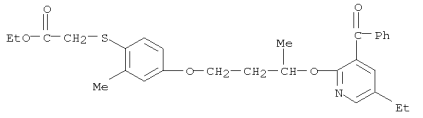
RN 847352-10-7 CAPLUS
CN Benzenepropanoic acid, 4-[2-[(5-chloro-3-phenoxy-2-pyridinyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
agonists)

RN 847345-22-6 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

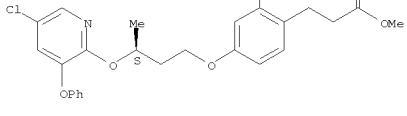


RN 847345-24-8 CAPLUS
CN Acetic acid, [[4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 847345-78-2 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

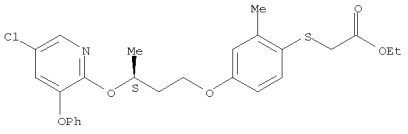
Absolute stereochemistry.



RN 847345-80-6 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

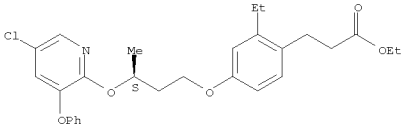
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



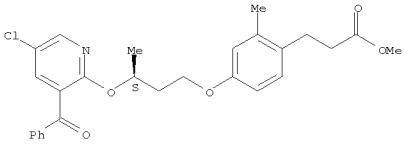
RN 847345-82-8 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(5-chloro-3-phenoxy-2-pyridinyl)oxy]butoxy]-2-ethyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-87-3 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[(3-benzoyl-5-chloro-2-pyridinyl)oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

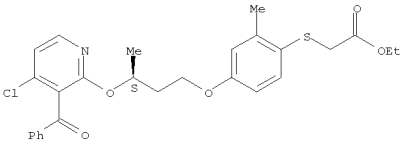
Absolute stereochemistry.



RN 847345-89-5 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[(3-benzoyl-4-chloro-2-pyridinyl)oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

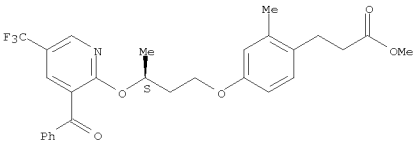
Absolute stereochemistry.

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



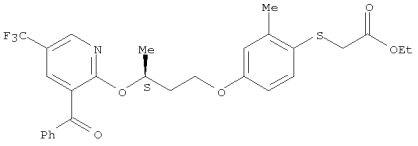
RN 847345-92-0 CAPLUS
CN Benzenepropanoic acid, 4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847345-94-2 CAPLUS
CN Acetic acid, [[4-[(3S)-3-[[3-benzoyl-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-2-methylphenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

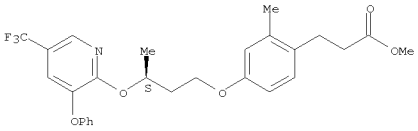
Absolute stereochemistry.



RN 847345-97-5 CAPLUS
CN Benzenepropanoic acid, 2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, methyl ester (CA INDEX NAME)

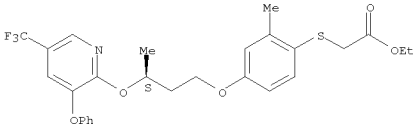
L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



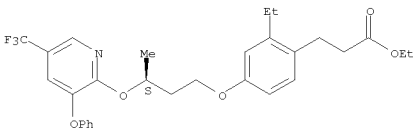
RN 847345-99-7 CAPLUS
CN Acetic acid, [[2-methyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



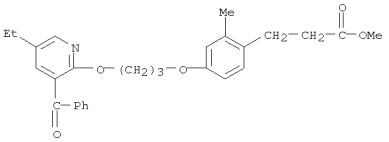
RN 847346-01-4 CAPLUS
CN Benzenepropanoic acid, 2-ethyl-4-[(3S)-3-[[3-phenoxy-5-(trifluoromethyl)-2-pyridinyl]oxy]butoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 847346-06-9 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(3-benzoyl-5-ethyl-2-pyridinyl)oxy]propoxy]-2-methyl-, methyl ester (CA INDEX NAME)

L4 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:931675 CAPLUS
DOCUMENT NUMBER: 141:396841
TITLE: Pyrrolofuranone-based Leuco pigments with good coloring sensitivity and concentration
INVENTOR(S): Taniguchi, Masatoshi
PATENT ASSIGNEE(S): Yamada Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

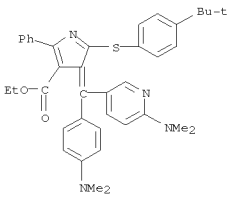
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004307792	A	20041104	JP 2003-136577	20030407

<--
PRIORITY APPLN. INFO.: JP 2003-136577 20030407
<--
OTHER SOURCE(S): MARPAT 141:396841
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to pyrrolo furanones I, wherein R = H or alkyl; Y = alkyl, fluoro-substituted alkyl, or aryl (if Y is an aryl group, it may be substituted with alkyl, alkoxy, cyano, dialkylamino, or halogen atom); Z = chlorine atom, alkylthio, or (alkyl, fluoro-substituted alkyl, alkoxy, fluoro-substituted alkoxy, cyano, nitro, aryl, or halogen atom substituted) arylthio, pyridylthio, imidazolylthio, triazolylthio, thiazolylthio, benzoimidazolylthio, or benzothiazolylthio; Q1 = substituent II; Q2 = substituent II, III, IV, V, or VI; R1, R2 = alkyl or (alkyl or alkoxy substituted) aryl (R1, R2 may be connected each other with nitrogen atom to form a pyridine or piperidine ring); R3, R4, R5, R6 = H, halogen atom, alkyl, or alkoxy; R7 = alkyl; R8, R9 = alkyl or aryl; Q3 = H or (alkyl, alkoxy, dialkylamino-substituted) phenyl; and R10, R11 = alkyl. Thus, 1.0 g 3-[bis[4-(diethylamino)phenyl]methylene]-2-chloro-5-phenyl-3H-pyrrole-4-carboxylate was heated with 18 g tert-butanol in the presence of 0.80 g sodium tert-butoxide to give 0.48 g pyrrolo furanone type compound with λmax 608 nm and extinction coefficient 114,000 in methanol.
IT 786698-37-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolo furanone-based Leuco pigments)
RN 786698-37-1 CAPLUS
CN 3H-Pyrrole-4-carboxylic acid, 3-[[4-(dimethylamino)phenyl][6-(dimethylamino)-3-pyridinyl]methylene]-2-[[4-(1,1-dimethylethyl)phenyl]thio]-5-phenyl-, ethyl ester (CA INDEX NAME)

L4 ANSWER 11 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:823190 CAPLUS
DOCUMENT NUMBER: 141:332056
TITLE: Preparation of 3-phenyl- and 3-pyridylpropenohydroxamic acid derivatives as new matrix metalloprotease (MMP-3) inhibitors
INVENTOR(S): Hirata, Akikage; Nishimura, Hiroshi; Katayama, Kimiko; Tamura, Koichi; Amano, Hirotaka; Sugimoto, Kaori
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004277311	A	20041007	JP 2003-69128	20030314

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PRIORITY APPLN. INFO.: JP 2003-69128 20030314
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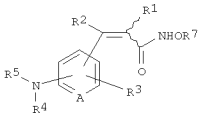
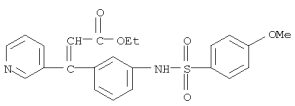
OTHER SOURCE(S): MARPAT 141:332056
GI



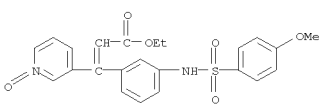
I

AB Disclosed are matrix metalloprotease (MMP-3) inhibitors containing 3-phenyl- and 3-pyridylacrylohydroxamic acid derivs. (I) or salts thereof [wherein R1 = H, alkyl, halo; R2 = aryl, cycloalkylaryl, (un)substituted heteroaryl; R3 = H, halo; R4 = H, each (un)substituted alkyl or alkenyl; R5 = R6CO, R6SO2, R6NHCO, R6NHC(S); wherein R6 = cycloalkyl, cyclic amino, each (un)substituted alkyl, aryl, or heteroaryl; R7 = H, protecting group; A = CH, N, N(:O)] as active ingredients. These compds. are useful for the prevention and/or treatment of chronic rheumatoid arthritis, osteoarthritis (arthrosis deformans), jaw arthritis, slipped disk, venous ulcer, diabetic ulcer, bedsore, ulcerative colitis, Crohn's disease, duodenum ulcer, dystrophic blister, herpes dermatitis, yellow ligament calcareous deposition, cancer, heart attack, and stroke. Thus, 270 mg (E/Z)-3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(N-oxidopyridin-3-yl)propenoic acid Et ester (preparation given) was dissolved 3 mL dioxane, treated with 2 mL 5% aqueous NaOH solution, stirred at room temperature for 1 h 40 min, distd. under reduced pressure to remove dioxane, dild. with H2O, adjusted to pH 5-6 with 55 aq. HCl soln., and extd. with EtOAc to give, after workup, an oil (0.19 g). The oil was dissolved in 1.5 mL DMF, successively treated with 1-hydroxybenzotriazole 76, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 114, N-methylmorpholine 50, and O-(tert-butyldimethylsilyl)hydroxylamine 123 mg and stirred for 22 h, treated with 5 mL, and extd. with CHCl3/THF (4:1) to give, after workup and silica gel chromatog., (E)-3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(N-oxidopyridin-3-yl)propenohydroxamic acid. (E)-3-[3-[N-(4-methoxybenzenesulfonyl)-N-isopropylamino]phenyl]-3-(2-pyridyl)propenohydroxamic acid showed IC50 of μg/mL against 0.030 μM against MMP-3.
IT 402949-56-8P 402949-57-9P 402949-58-0P
402949-59-1P 768403-15-2P 768403-26-5P
768403-44-7P 768403-50-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-phenyl- and 3-pyridylpropenohydroxamic acid derivs. as new matrix metalloprotease (MMP-3) inhibitors as preventives or remedies for diseases)
RN 402949-56-8 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)

L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

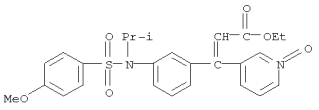


RN 402949-57-9 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

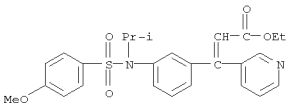


RN 402949-58-0 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

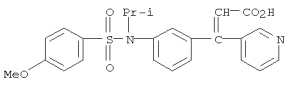
L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 402949-59-1 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



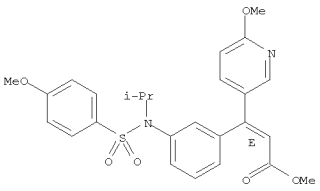
RN 768403-15-2 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)- (CA INDEX NAME)



RN 768403-26-5 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(6-methoxy-3-pyridinyl)-, methyl ester, (2E)- (CA INDEX NAME)

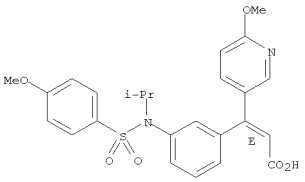
Double bond geometry as shown.

L4 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

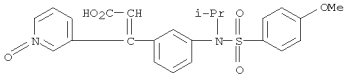


RN 768403-44-7 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(6-methoxy-3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 768403-50-5 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(1-oxido-3-pyridinyl)- (CA INDEX NAME)

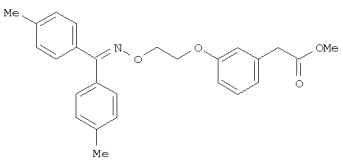


L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:780653 CAPLUS
DOCUMENT NUMBER: 141:277245
TITLE: Preparation of imino ether derivatives as PPARδ agonists
INVENTOR(S): Ishida, Akiharu; Kusuda, Shinya; Nakayama, Yoshisuke; Tajima, Hisao; Kido, Tomoyuki; Kitamine, Tetsuya
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080947	A1	20040923	WO 2004-JP3323	20040312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004220221	A1	20040923	AU 2004-220221	20040312
CA 2518986	A1	20040923	CA 2004-2518986	20040312
EP 1602642	A1	20051207	EP 2004-720259	20040312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008325	A	20060321	BR 2004-8325	20040312
CN 1787989	A	20060614	CN 2004-80012942	20040312
NO 2005004214	A	20051213	NO 2005-4214	20050912
US 2007167490	A1	20070719	US 2005-548650	20050913
PRIORITY APPLN. INFO.:			JP 2003-68932 A	20030313
			WO 2004-JP3323 W	20040312

OTHER SOURCE(S): MARPAT 141:277245
GI

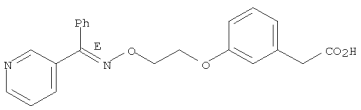
L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

AB The title compds. with general formula of R1R2C=N-O-W-X-Ar-Y-Z [wherein R1 and R2 = independently H, (un)substituted hydrocarbyl, or cyclyl; or R1 and R2 together form a ring with the adjacent carbon atom; W = a spacer; X = a single bond, O, S, SO, SO2, or (un)substituted NH; ring Ar = (un)substituted cyclyl; Y = a single bond or a spacer; Z = an acid], or salts, solvates, N-oxides, or prodrugs thereof are prepared as peroxisome proliferator-activated receptors (PPAR) δ agonists. For example, the compound I was prepared in a four-step synthesis starting from bis(4-methylphenyl)methanone and 3-hydroxybenzeneacetic acid. The title compds. showed excellent agonistic activity towards human PPARδ, and lowered triglyceride and LDL in rat. The title compds. are useful for the treatment of diseases caused by PPARδ, such as hyperlipemia and obesity. Formulations containing the title compds. as an active ingredient were also described.
IT 760984-43-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of imino ether derivs. as PPARδ agonists)
RN 760984-43-8 CAPLUS
CN Benzeneacetic acid, 3-[2-[[(E)-(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy]- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 14 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:758809 CAPLUS
DOCUMENT NUMBER: 141:260773
TITLE: Preparation of [N-(phenylethylidene)aminooxy]acetic acid derivatives as protein tyrosine phosphatase inhibitors
INVENTOR(S): Amanomiya, Yoshiya; Motoizuji, Masatoshi; Taniuchi, Makoto; Hasegawa, Toru
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 113 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004256443	A	20040916	JP 2003-49085	20030226

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PRIORITY APPLN. INFO.: JP 2003-49085 20030226
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OTHER SOURCE(S): MARPAT 141:260773
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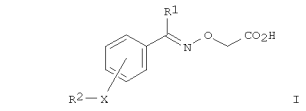
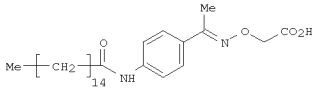


I

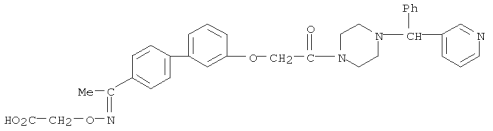


II

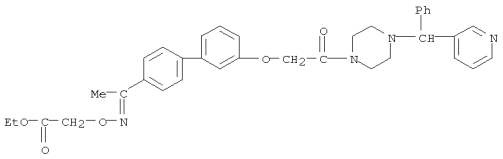
AB The title compds. having piperazine subunit with general formula of I [wherein X = a single bond, O, or CONH; R1 = (un)substituted alkyl or aryl; R2 = alkyl or aryl] or esters or pharmaceutically acceptable salts thereof are prepared as protein tyrosine phosphatase (PTP) inhibitors. For example, the compound II was prepared in a multi-step synthesis in good yield. II inhibited 98% human PTP-1B enzyme at 100 μM. Formulations containing I as an active ingredient were also described.

IT 756483-81-5P

L4 ANSWER 14 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of [N-(phenylethylidene)aminooxy]acetic acid derivs. as protein tyrosine phosphatase inhibitors)
RN 756483-81-5 CAPLUS
CN Acetic acid, [[[1-[3'-[2-oxo-2-[4-(phenyl-3-pyridinylmethyl)-1-piperazinyl]ethoxy][1,1'-biphenyl]-4-yl]ethylidene]amino]oxy]- (9CI) (CA INDEX NAME)



IT 756484-80-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [N-(phenylethylidene)aminooxy]acetic acid
derivs. as protein tyrosine phosphatase inhibitors)
RN 756484-80-7 CAPLUS
CN Acetic acid, [[[1-[3'-[2-oxo-2-[4-(phenyl-3-pyridinylmethyl)-1-piperazinyl]ethoxy][1,1'-biphenyl]-4-yl]ethylidene]amino]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:467860 CAPLUS
DOCUMENT NUMBER: 141:38526
TITLE: Preparation of arylalcanoic acid derivatives as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity
INVENTOR(S): Li, Zhibin; Lu, Xian-Ping; Liao, Chenzhong; Shi, Leming; Liu, Zhende; Ma, Baoshun; Ning, Zhiqiang; Shan, Song; Deng, Tuo
PATENT ASSIGNEE(S): Shenzhen Chipscreen Biosciences Ltd., Peop. Rep. China
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048333	A1	20040610	WO 2003-IB5371	20031121

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004142921 A1 20040722 US 2003-715622 20031118
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US 7268157 B2 20070911
CA 2504718 A1 20040610 CA 2003-2504718 20031121
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AU 2003280154 A1 20040618 AU 2003-280154 20031121
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JP 2006519171 T 20060824 JP 2005-510266 20031121
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IN 2005KN00792 A 20060707 IN 2005-KN792 20050503
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US 2008051321 A1 20080228 US 2007-882417 20070801
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PRIORITY APPLN. INFO.:
US 2002-429221P P 20021126
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US 2003-469368P P 20030509
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US 2003-715622 A 20031118
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WO 2003-IB5371 W 20031121
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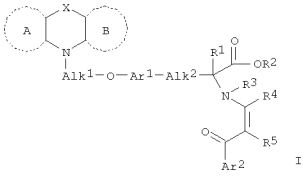
OTHER SOURCE(S): MARPAT 141:38526

L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
GI



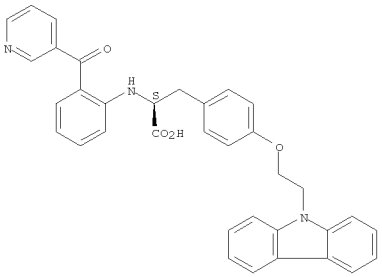
I

AB Title compds. I [wherein ring A, B = (un)substituted 5-6 membered (hetero)cyclic ring; X = a valence bond, CH2CH2, CH:CH, O, S, (un)substituted amino; R1 = H, (heteroaryl)alkyl, alkenyl, heterocyclyl, etc.; R2 = H, (heteroaryl)alkyl, alkenynyl, (hetero)aryl, etc.; R3 = H, alkyl, aralkyl, aryl, etc.; R4, R5 = independently H, alkyl, alkenyl, alkenynyl, heteroaryloxy, etc.; Alk1 = C1-6 alkylene; Alk2 = C1-2 alkylene; Ar1 = (hetero)arylene or (un)substituted divalent heterocyclic group; Ar2 = (un)substituted (hetero)aryl; and stereoisomers, enantiomers, diastereomers, hydrates or pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator-activated receptors (PPAR) pan agonist that activates RXR/PPAR-α, RXR/PPAR-γ, and RXR/PPAR-δ heterodimers. For example, condensation of 1-benzoylacetone with L-tyrosine Me ester (98%), followed by O-alkylation with 1,2-dibromoethane (17%) and N-alkylation with carbazole (20%), gave 2-[(1-methyl-3-oxo-3-phenylpropenyl)amino]-3-[4-[2-(carbazol-9-yl)ethoxy]phenyl]propionic acid (CS 023). I showed comparative activation of RXR/PPAR α, δ, and γ, and illustrated in vivo glucose-lowering effect, etc. Thus, I and their pharmaceutical compns. are useful for as selective agonists activating PPAR, in particularly the RXR/PPAR-α, RXR/PPAR-γ, and RXR/PPAR-δ heterodimers, in the treatment and/or prevention of type 2 diabetes and associated metabolic syndrome such as hypertension, obesity, insulin resistance, hyperlipidemia, hyperglycemia, hypercholesterolemia, atherosclerosis, coronary artery disease, and other cardiovascular disorders with improved side effects profile commonly associated with conventional PPAR-γ agonists.

IT 866218-00-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylalcanoic acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)

L4 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 866218-00-0 CAPLUS
CN L-Tyrosine, O-[2-(9H-carbazol-9-yl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

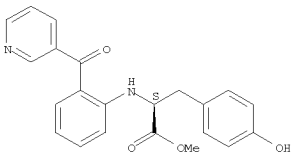
Absolute stereochemistry.



IT 702639-94-9P 702639-97-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylalcanoic acid derivs. as PPAR pan agonists with potent antihyperglycemic and antihyperlipidemic activity)

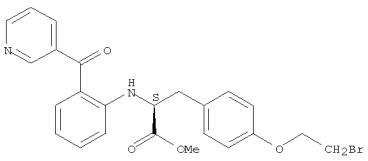
RN 702639-94-9 CAPLUS
CN L-Tyrosine, N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 702639-97-2 CAPLUS
CN L-Tyrosine, O-(2-bromoethyl)-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:453170 CAPLUS
DOCUMENT NUMBER: 141:38531
TITLE: Preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor antagonists.
INVENTOR(S): Ugashe, Solomon; Zheng, Wei; Wright, J. J.; Pennell, Andrew
PATENT ASSIGNEE(S): Chemocentryx, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046092	A2	20040603	WO 2003-US36766	20031117
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WO 2004046092	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003298661	A1	20040615	AU 2003-298661	20031117
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EP 1562940	A2	20050817	EP 2003-796416	20031117
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JP 2006506438	T	20060223	JP 2004-553842	20031117
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L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

WO 2004085384 A3 20050203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003303942 A1 20041018 AU 2003-303942 20031118
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AU 2003303942 B2 20080103
EP 1567486 A2 20050831 EP 2003-816012 20031118
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EP 1567486 B1 20080116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
CN 1738796 A 20060222 CN 2003-80108668 20031118
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JP 2006510724 T 20060330 JP 2004-569975 20031118
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IN 2005CN00545 A 20070907 IN 2005-CN545 20050404
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AU 2007205711 A1 20070830 AU 2007-205711 20070809
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CN 2003-80103335 A3 20031117
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EP 2003-796416 A3 20031117
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JP 2004-553842 A3 20031117
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L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

WO 2003-US36766 W 20031117
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WO 2003-US37035 W 20031118
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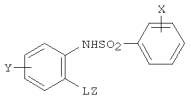
IN 2005-CN545 A3 20050404

OTHER SOURCE(S): MARPAT 141:38531
GI



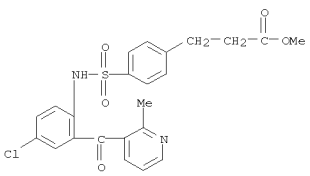
I

AB Title compds. [I; X = 1-4 of halo, cyano, NO2, OH, OR1, COR1, CO2R1, SR1, NR1R2, NR1COR2, etc.; R1, R2 = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; Y = 1-3 of halo, cyano, NO2, OH, OR4, COR4, CO2R4, SR4, SOR4, SO2R4, (substituted) alkyl; R4 = H, (substituted) haloalkyl, alkyl, cycloalkyl, alkenyl, alkynyl; L = CO, S, SO, SO2; Z = (substituted) mono- or bicyclic heteroaryl, heterocyclyl; with provisos], were prepared Thus, reaction of (2-amino-5-chlorophenyl) pyridin-4-yl methanone (preparation given) with 4-tert-butylbenzenesulfonyl chloride gave 4-tert-butyl-N-[4-chloro-2-(pyridine-4-carbonyl)phenyl]benzenesulfonamide. The latter at 50 mg/kd s.c. twice a day in MDR1a knockout mice prevented IBD-associated growth retardation.

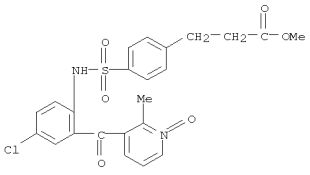
IT 698395-36-7P 698395-41-4P 698395-48-1P
698395-53-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor
antagonists)

RN 698395-36-7 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

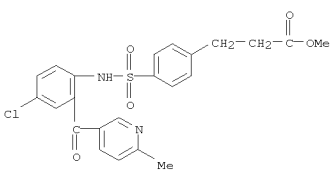
L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698395-41-4 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

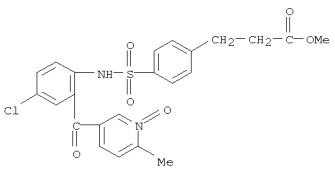


RN 698395-48-1 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



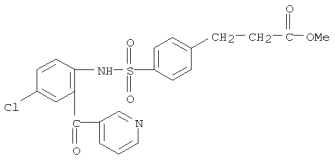
RN 698395-53-8 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-[(6-methyl-1-oxido-3-pyridinyl)carbonyl]phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

L4 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 698395-85-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of pyridinylcarbonylarylsulfonamides as chemokine CCR9 receptor
antagonists)

RN 698395-85-6 CAPLUS
CN Benzenepropanoic acid, 4-[[[4-chloro-2-(3-pyridinylcarbonyl)phenyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:267241 CAPLUS
DOCUMENT NUMBER: 140:303538
TITLE: Preparation of [[(aminoalkyl)aryl]oxy]nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions
INVENTOR(S): Blanco-Pillado, Maria-Jesus; Chappell, Mark Donald; Garcia De la Torre, Marta; Diaz Buezo, Nuria; Fritz, James Erwin; Holloway, William Glen; Matt, James Edward, Jr.; Mitch, Charles Howard; Pedregal-Tercero, Concepcion; Quimby, Steven James; Siegel, Miles Goodman; Smith, Dana Rae; Stucky, Russell Dean; Takeuchi, Kumiko; Thomas, Elizabeth Marie; Wolfe, Chad
Nolan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026305	A1	20040401	WO 2003-US26300	20030917
WO 2004026305	A9	20040513		
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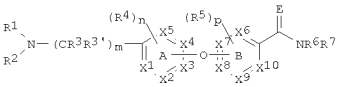
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2499690	A1	20040401	CA 2003-2499690	20030917
AU 2003269980	A1	20040408	AU 2003-269980	20030917
BR 2003014308	A	20050705	BR 2003-14308	20030917
EP 1562595	A1	20050817	EP 2003-751877	20030917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1681498	A	20051012	CN 2003-822241	20030917
JP 2006511474	T	20060406	JP 2004-537682	20030917
US 2006217372	A1	20060928	US 2005-526960	20050303
MX 2005PA03093	A	20050713	MX 2005-PA3093	20050318

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IN 2005KN00457	A	20060303	IN 2005-KN457	20050318
NO 2005001871	A	20050418	NO 2005-1871	20050418

PRIORITY APPLN. INFO.:
US 2002-412158P P 20020919
WO 2003-US26300 W 20030917
OTHER SOURCE(S): MARPAT 140:303538
GI



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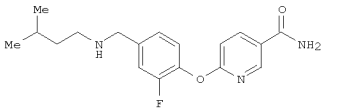
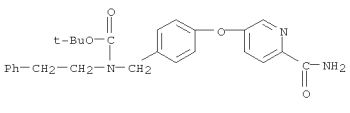


II

AB Title diaryl ethers I [wherein X1-X10 = independently C, CH, or N; provided that each of rings A or B has no more than 2 N atoms; E = O or NH; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (alkyl)aryl, (aryl)heterocyclyl, (cyclo)alkylheterocyclyl, (cyclo)alkanoylalkyl, aroylalkyl, aryloxyalkyl, benzhydryl, bicyclyl(alkyl), benzoyl(alkyl), alkoxyalkyl, alkoxycarbonyl, (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, cycloalkylalkyl, carboxyalkyl, carbamoylalkyl, etc.; R3 and R3' = independently H, alkyl, alkenyl, alkynyl, (alkyl)aryl, or alkylcycloalkyl; R4 and R5 = independently H, (halo)alkyl, alkenyl, alkynyl, alkoxy(halo)alkyl, thioalkyl, halo, aryl(alkyl), alkanoyl, alkoxycarbonyl, aminoalkyl, cycloalkylalkyl, etc.; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkanoyl, OH, alkoxy, (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, aryl(alkyl), carbamoyl(alkyl), etc.; m = 1-3; n = 0-3; p = 0-3; or pharmaceutically acceptable salts, solvates, enantiomers, racemates, diastereomers, or mixts. thereof] were prepared as μ-, κ-, and δ-opioid receptor antagonists. For example, reductive amination of 6-(2-fluoro-4-formylphenoxy)nicotinamide and 3-methylbutylamine provided II (99%). The latter inhibited ex vivo binding of [3H]-diprenorphine in rat striatum/nucleus accumbens by >65% at

L4 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
a concn. of 7 mg/kg. In an acute feeding rat obesity assay, II suppressed opioid receptors at a dose of 0.3 μg/kg. In addn., diet-induced obese rats achieved an energy balance (caloric intake minus utilization) of -81 kcal/kg/day upon administration of 0.3 mg/kg p.o. of II in an indirect calorimetry assay. Thus, I and their pharmaceutical compns. are useful for the treatment, prevention, or amelioration of obesity and related diseases.
IT 676496-29-0P, [4-[(6-Carbamoylpyridin-3-yl)oxy]benzyl](phenethyl)carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (aryloxy)nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions)
RN 676496-29-0 CAPLUS
CN Carbamic acid, [[4-[[6-(aminocarbonyl)-3-pyridinyl]oxy]phenyl]methyl](2-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:100955 CAPLUS
DOCUMENT NUMBER: 140:157441
TITLE: Cyclooxygenase- 2 selective inhibitors, compositions and methods of use
INVENTOR(S): Garvey, David S.; Khanapure, Subhash P.; Ranatunge, Ramani R.; Richardson, Stewart K.; Schroeder, Joseph D.
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010945	A2	20040205	WO 2003-US23605	20030729
WO 2004010945	A3	20040422		
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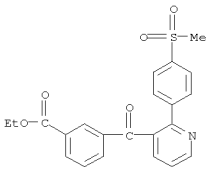
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493156	A1	20040205	CA 2003-2493156	20030729
AU 2003261281	A1	20040216	AU 2003-261281	20030729
US 2004072883	A1	20040415	US 2003-628375	20030729
US 7244753	B2	20070717		
EP 1542972	A2	20050622	EP 2003-772004	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538110	T	20051215	JP 2004-524981	20030729
US 2007238735	A1	20071011	US 2007-802161	20070521

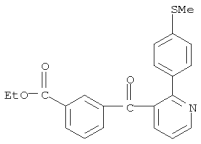
PRIORITY APPLN. INFO.:
US 2002-398829P P 20020729
US 2003-628375 A3 20030729
WO 2003-US23605 W 20030729
OTHER SOURCE(S): MARPAT 140:157441
AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors and novel compns. comprising at least one cyclooxygenase 2 (COX-2) selective inhibitor, and, optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
synthase, and/or at least one therapeutic agent. The invention also provides novel kits comprising at least one COX-2 selective inhibitor, optionally nitrosated and/or nitrosylated, and, optionally, at least one nitric oxide donor, and/or, optionally, at least one therapeutic agent. The novel cyclooxygenase 2 selective inhibitors of the invention can be optionally nitrosated and/or nitrosylated. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors.
IT 654058-76-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiinflammatory cyclooxygenase-2 selective inhibitors)
RN 654058-76-1 CAPLUS
CN Benzoic acid, 3-[[2-[4-(methylsulfonyl)phenyl]-3-pyridinyl]carbonyl]-, ethyl ester (CA INDEX NAME)



IT 654059-10-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antiinflammatory cyclooxygenase-2 selective inhibitors)
RN 654059-10-6 CAPLUS
CN Benzoic acid, 3-[[2-[4-(methylthio)phenyl]-3-pyridinyl]carbonyl]-, ethyl ester (CA INDEX NAME)

L4 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



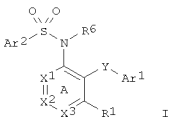
L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:950984 CAPLUS
DOCUMENT NUMBER: 140:5067
TITLE: Preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents
INVENTOR(S): Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099773	A1	20031204	WO 2003-US16090	20030521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485681	A1	20031204	CA 2003-2485681	20030521
AU 2003248549	A1	20031212	AU 2003-248549	20030521
US 2004038976	A1	20040226	US 2003-443155	20030521
US 7238717	B2	20070703		
EP 1507756	A1	20050223	EP 2003-755422	20030521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005526857	T	20050908	JP 2004-507431	20030521
ZA 2004009131	A	20050712	ZA 2004-9131	20041111
MX 2004PA11465	A	20050214	MX 2004-PA11465	20041118
US 2006167251	A1	20060727	US 2006-391633	20060328
US 7282502	B2	20071016		
JP 2006265259	A	20061005	JP 2006-124437	20060427
US 2007066823	A1	20070322	US 2006-601025	20061117

PRIORITY APPLN. INFO.: US 2002-383573P P 20020524
JP 2004-507431 A3 20030521
US 2003-443155 A3 20030521
WO 2003-US16090 W 20030521

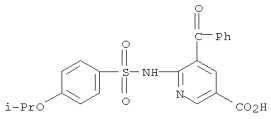
OTHER SOURCE(S): MARPAT 140:5067
GI



I

AB The title compds. [I; Y is C(O), O, S, S(O), or S(O)2; X1, X2, and X3 are each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring A is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0 μM.
IT 628300-74-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents)
RN 628300-74-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-benzoyl-6-[[[4-(1-methylethoxy)phenyl]sulfonyl]amino]- (CA INDEX NAME)

L4 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:784629 CAPLUS
DOCUMENT NUMBER: 139:292147
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren
PATENT ASSIGNEE(S): Genetics Institute LLC, USA
SOURCE: U.S., 81 pp., Cont.-in-part of U.S. Ser. No. 30,102. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6630496	B1	20031007	US 2000-645042	20000824
BR 9909242	A	20001114	BR 1999-9242	19990217
PRIORITY APPLN. INFO.:			US 1997-918400	B2 19970826
			US 1998-30102	B2 19980225
			WO 1999-IS3388	W 19990217

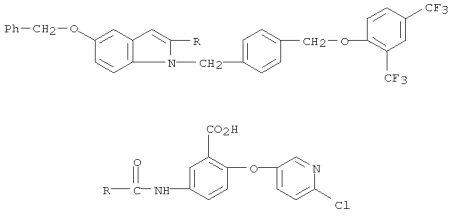
OTHER SOURCE(S): MARPAT 139:292147
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The indole derivs. (I), (II), and (III) [where A = CH2 or CH2CH2; B = (CH2)n, (CH2O)n, (CH2S)n, (OCH2)n, (SCH2)n, (CH=CH)n, (C.tplbond.C)n, CONR6, NR6CO, O, S, or NR6; R1 = H, OH, halo, etc.; R2, R3 = H, CO2H, alkyl, aryl, etc.; R4, R5 = H, OH, CN, CO2H, etc.; n = 0-4] and pharmaceutically acceptable salts thereof, were prepared Thus, 2,4-thiazolidinedione and K2CO3 followed by NaOH were added to 5-(benzyloxy)-1-(4-{[3,5-bis(trifluoromethyl)phenoxy]methyl}benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, especially cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions and pain, particularly where inhibition of production of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC50 values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA2 enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM. Pharmaceutical compn. comprising the compd. I was claimed.

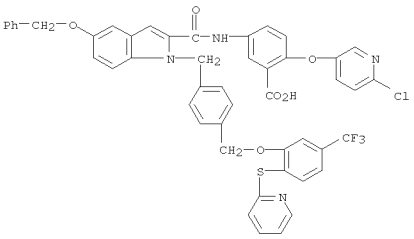
IT 204016-36-4P 204016-37-5P 241489-85-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

RN 204016-36-4 CAPLUS
CN Benzoic acid, 5-[[[1-[[4-[[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)

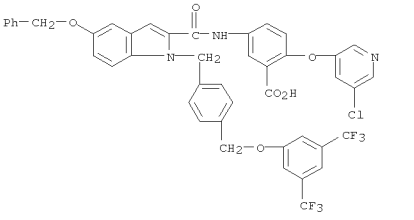


RN 204016-37-5 CAPLUS
CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[[5-(phenylmethoxy)-1-[[4-[[2-(2-pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

L4 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 241489-85-0 CAPLUS
CN Benzoic acid, 5-[[[1-[[4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:757329 CAPLUS
DOCUMENT NUMBER: 139:276918
TITLE: Preparation of omega-carboxyaryl substituted diphenyl ureas as raf kinase inhibitors
INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 61 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003181442	A1	20030925	US 2001-993647	20011127

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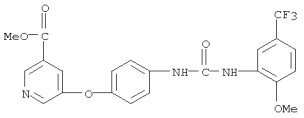
PRIORITY APPLN. INFO.: US 2001-993647 20011127
<--
OTHER SOURCE(S): MARPAT 139:276918
AB Aryl ureas of formula A-NHCONH-B [A = a substituted moiety of up to 40 carbon atoms of the formula: -L-(M-L1)q (where L = a 5 or 6 membered cyclic structure bound directly to D, L1 comprises a substituted cyclic moiety having at least 5 members; M = a bridging group having at least one atom; q = an integer of from 1-3; each cyclic structure of L and L1 contains 0-4 members of the group consisting of nitrogen, oxygen and sulfur); B = a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D containing 0-4 members of the group consisting of nitrogen, oxygen and sulfur] are prepared These urea derivs. are useful for treating raf mediated diseases, in particular cancerous cell growth mediated by raf kinase. Thus, N-[4-bromo-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea. Thus, a solution of 4-bromo-3-(trifluoromethyl)phenyl isocyanate (8.0 g, 30.1 mmol) in CH2Cl2 (80 mL) was added dropwise to a solution of 4-[2-(N-methylcarbamoyl)-4-pyridyloxy]aniline (7.0 g, 28.8 mmol) in CH2Cl2 (40 mL) at 0°, stirred at room temperature for 16 h, and filtered to give, after washing the yellow solids, washing with CH2Cl2 (2 + 50 mL), and drying under reduced pressure (approx. 1 mmHg) at 40° to give N-[4-bromo-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea. All compds. exemplified showed IC50 between 1 nM to 10 μM against raf kinase.
IT 573673-59-3P, N-[5-(Trifluoromethyl)-2-methoxyphenyl]-N'-[4-(5-methoxycarbonyl-3-pyridyloxy)phenyl]urea
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of omega-carboxyaryl substituted di-Ph ureas as

L4 ANSWER 21 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
raf kinase inhibitors and anticancer agents)
RN 573673-59-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (CA INDEX NAME)



L4 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:590832 CAPLUS
DOCUMENT NUMBER: 139:149528
TITLE: Preparation of diphenylureas as RAF kinase inhibitors
INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont. of U. S. Ser. No. 42,203.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003144278	A1	20030731	US 2002-283248	20021030

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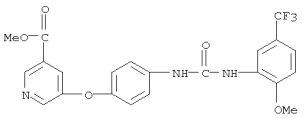
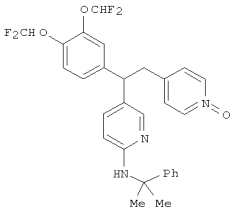
US 7235576 B1 20070626 US 2002-42203 20020111
<--
PRIORITY APPLN. INFO.: US 2001-367380P P 20010112
<--
US 2002-42203 A1 20020111
<--
OTHER SOURCE(S): MARPAT 139:149528
AB ADB [I; D = NHCONH; A = L(ML1)q; L = 5-6 membered cyclic structure bound directly to D; L1 = substituted cyclic moiety having ≥5 members, M = bridging group having ≥1 atom; q = 1-3; L, L1 contain 0-4 N, O, S; B = (substituted) up to tricyclic aryl, heteroaryl of ≤30 C atoms with ≥1 6-membered cyclic structure bound directly to D containing 0-4 N, O, S], were prepared Thus, 4-chloro-3-(trifluoromethyl)phenyl isocyanate in CH2Cl2 was added dropwise to a suspension of 4-[2-(N-methylcarbamoyl)-4-pyridyloxy]aniline (preparation given) in CH2Cl2 at 0°; the resulting mixture was stirred at room temperature for 22 h. to afford N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl]urea. I inhibited RAF kinase in the range 1 nM-1 μM. I pharmaceutical compns. are claimed.
IT 573673-59-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diphenylureas as RAF kinase inhibitors)
RN 573673-59-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (CA INDEX NAME)

L4 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



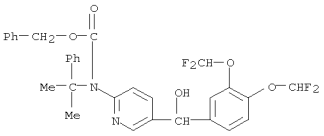
L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:189349 CAPLUS
DOCUMENT NUMBER: 139:52837
TITLE: Substituted aminopyridines as potent and selective phosphodiesterase-4 inhibitors
AUTHOR(S): Cote, Bernard; Frenette, Richard; Prescott, Sylvie; Blouin, Marc; Brideau, Christine; Ducharme, Yves; Friesen, Richard W.; Laliberte, France; Masson, Paul; Styhler, Angela; Girard, Yves
CORPORATE SOURCE: Merck Frosst Centre for Therapeutic Research, Pointe-Claire-Dorval, QC, 1005, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(4), 741-744
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:52837
GI



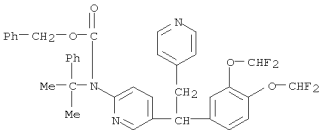
I

AB The synthesis and the biol. evaluation of new potent phosphodiesterase type 4 (PDE4) inhibitors are presented. This new series was elaborated by replacement of the metabolically resistant Ph hexafluorocarbinol of L-791,943 by a substituted aminopyridine residue. The structure-activity relationship of N-substitution led to the identification of (-)-I which exhibited a good PDE4 inhibitor activity (HWB-TNFα=0.12 μM) and an improved pharmacokinetic profile over L-791,943 (rat t1/2=2 h). (-)-I was well tolerated in ferret with an emetic threshold of 30 mg/kg (po) and was found to be active in the ovalbumin-induced bronchoconstriction model in guinea pig (54%, 0.1 mg/kg, i.p.) as well as the ascaris-induced bronchoconstriction model in sheep (64%/97%, early/late, 0.5 mg/kg, iv).
IT 306761-01-3P 306761-02-4P 306761-03-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(prepn. of substituted aminopyridines as phosphodiesterase-4 inhibitors)
RN 306761-01-3 CAPLUS
CN Carbamic acid, [5-[[3,4-bis(difluoromethoxy)phenyl]hydroxymethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

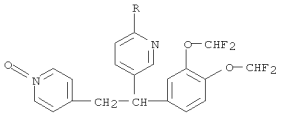


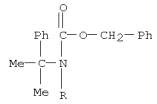
RN 306761-02-4 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 306761-03-5 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

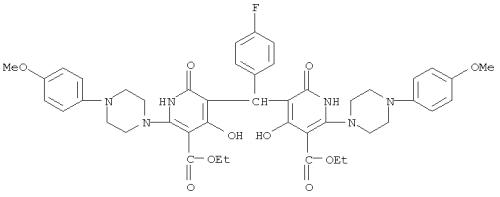
L4 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)





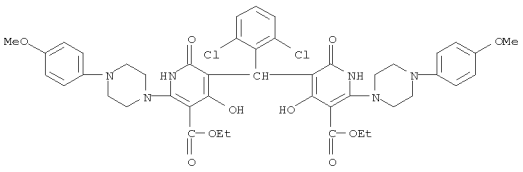
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:128942 CAPLUS
DOCUMENT NUMBER: 139:85208
TITLE: New bis(pyridyl)methane derivatives from 4-hydroxy-2-pyridones: synthesis and antitumoral activity
AUTHOR(S): Cocco, Maria Teresa; Congiu, Cenzo; Onnis, Valentina
CORPORATE SOURCE: Dipartimento di Tossicologia, Universita degli Studi di Cagliari, Cagliari, 09124, Italy
SOURCE: European Journal of Medicinal Chemistry (2003), 38(1), 37-47
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:85208
AB Bis(pyridyl)methane derivs. were obtained from the reaction of 4-hydroxy-2-pyridones with aldehydes. Bis(pyridyl)methane derivs. were evaluated for cytotoxic activity against a panel of 60 human cancer cell lines by the National Cancer Institute and some of them demonstrated inhibitory effects on the growth of a wide range of cancer cell lines generally at 10-5 M level and in some case at 10-7 M concns.
IT 556039-47-5P 556039-49-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of bis(pyridyl)methane derivs. as antitumor agents)
RN 556039-47-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 5,5'-[(4-fluorophenyl)methylene]bis[1,6-dihydro-4-hydroxy-2-[4-(4-methoxyphenyl)-1-piperazinyl]-6-oxo-, diethyl ester (9CI) (CA INDEX NAME)



RN 556039-49-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 5,5'-[(2,6-dichlorophenyl)methylene]bis[1,6-dihydro-4-hydroxy-2-[4-(4-methoxyphenyl)-1-piperazinyl]-6-oxo-, diethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

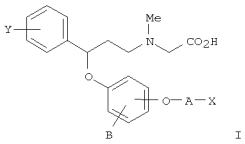


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:5918 CAPLUS
DOCUMENT NUMBER: 138:56244
TITLE: Preparation of sarcosine aromatic ether derivatives as
inhibitors of glycine transport
INVENTOR(S): Lowe, John Adams, III
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000646	A1	20030103	WO 2002-IB1513	20020412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003013887	A1	20030116	US 2002-86037	20020228
US 6566550	B2	20030520		
CA 2451673	A1	20030103	CA 2002-2451673	20020412
AU 2002307818	A1	20030108	AU 2002-307818	20020412
EP 1406861	A1	20040414	EP 2002-780847	20020412
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010548	A	20040622	BR 2002-10548	20020412
JP 2004534082	T	20041111	JP 2003-506850	20020412
US 2003208081	A1	20031106	US 2003-414736	20030416
US 6784299	B2	20040831		
MX 2003PA11596	A	20040405	MX 2003-PA11596	20031215
PRIORITY APPLN. INFO.:			US 2001-299827P	P 20010621
			US 2002-86037	A3 20020228
			WO 2002-IB1513	W 20020412
OTHER SOURCE(S):	MARPAT 138:56244			

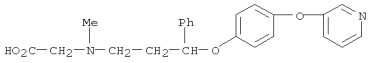
L4 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
GI



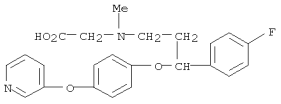
AB Substituted aromatic ethers I [A is a ring (Ph, naphthyl, benzothienyl, benzofuranyl, pyridyl, mono- or bicyclic (hetero)aryl not containing adjacent ring oxygen atoms); X, Y are alkyl, fluoroalkyl, alkoxy, fluoroalkoxy, carboxy, carbalkoxy, carboxamido, alkylthio, sulfoxyl, sulfonyl, halo, nitro, cyano, amino, alkylamino, or dialkylamino; B is alkyl, fluoroalkyl, alkoxy, fluoroalkoxy, or halo] or their pharmaceutically-acceptable salts were prepared as glycine transport inhibitors for use in the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Thus, [methyl[3-phenyl-3-[4-[3-(trifluoromethyl)phenoxy]phenoxy]propyl]amino]acetic acid was prepared by alkylation of sarcosine Et ester hydrochloride with 3-phenyl-3-[4-[3-(trifluoromethyl)phenoxy]phenoxy]-1-chloropropane (preparation given), followed by ester cleavage. Compds. I show significant activity in inhibiting glycine re-uptake in synaptosomes (IC50 values more potent than 10 μM).

IT 479629-64-6P 479629-66-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sarcosine aromatic ether derivs. as inhibitors of glycine transport)

RN 479629-64-6 CAPLUS
CN Glycine, N-methyl-N-[3-phenyl-3-[4-(3-pyridinyloxy)phenoxy]propyl]- (CA INDEX NAME)



RN 479629-66-8 CAPLUS
CN Glycine, N-[3-(4-fluorophenyl)-3-[4-(3-pyridinyloxy)phenoxy]propyl]-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

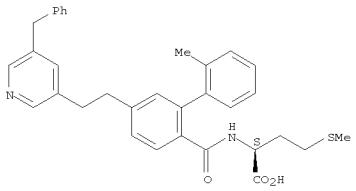
L4 ANSWER 26 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:965163 CAPLUS
DOCUMENT NUMBER: 138:39539
TITLE: Preparation of amino acid derivatives as inhibitors of protein isoprenyl transferases
INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Greg B.; Fakhoury, Stephen A.; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Szczepankiewicz, Bruce G.; Gunawardana, Indrani W.
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S. Pat. Appl. Publ., 499 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002193596	A1	20021219	US 2001-984411	20011030
US 6693123	B2	20040217		
PRIORITY APPLN. INFO.:			US 1995-7247P P	19951106
			US 1996-740909 B2	19961105
			US 1997-852858 B2	19970507

OTHER SOURCE(S): MARPAT 138:39539
AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4-NR5-L5, L4-O-L5, L4-S(O)m-L5, etc., where L4 and L5 are absent or alk(en)ylene, R5 is H, alkanoyl, alkoxy, alkoxyalkyl, etc.; m = 0-2; Z is a covalent bond, O, S(O)m, an imino group; R3 = (un)substituted pyridyl or imidazolyl; or L1, Z, and R3 together are aminoalkyl, haloalkyl, halo, carboxaldehyde, (carboxaldehyde)alkyl, or hydroxyalkyl (R1 ≠ H) or L1, Z, R3, and R4 together are an (un)substituted pyrrolidinone ring] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-(3-pyridylcarbonylamino)-2-phenylbenzoyl]methionine hydrochloride, prepared via amidation reaction, showed 93% inhibition of farnesyl transferase at 1x10-5 M.
IT 478908-31-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as inhibitors of protein isoprenyl transferases)
RN 478908-31-5 CAPLUS
CN L-Methionine, N-[[2'-methyl-5-[2-[5-(phenylmethyl)-3-pyridinyl]ethyl][1,1'-biphenyl]-2-yl]carbonyl]-, monolithium salt (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



● Li

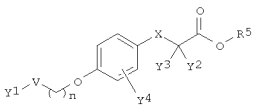
L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:964190 CAPLUS
DOCUMENT NUMBER: 138:39272
TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions
INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448552	A1	20021219	CA 2002-2448552	20020524
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 2004000268	A2	20040728	HU 2004-268	20020524
JP 2005502600	T	20050127	JP 2003-503224	20020524
CN 1578659	A	20050209	CN 2002-815453	20020524
AT 345128	T	20061215	AT 2002-746380	20020524
ES 2275887	T3	20070616	ES 2002-746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
US 7282501	B2	20071016		

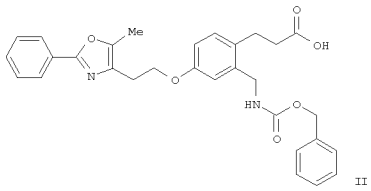
L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ZA 2003009059	A	20050810	ZA 2003-9059	20031120
MX 2003PA10903	A	20040217	MX 2003-PA10903	20031127
IN 2003KN01573	A	20060317	IN 2003-KN1573	20031203
PRIORITY APPLN. INFO.:			US 2001-296701P P	20010607
			WO 2002-US15143 W	20020524

OTHER SOURCE(S): MARPAT 138:39272
GI



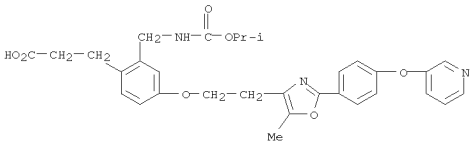
I



II

AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH2 or O; p = 0 or 1; m = 1-4; Y1 = (un)substituted (hetero)aryl; Y2 and Y3 = independently H, alkyl, or alkoxy; Y4 = (un)substituted alk(en/yn)ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R5 = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs2CO3 in DMF. Deprotection of the amine using NaBH4 in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as

L4 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
cardiovascular diseases (no data).
IT 478542-94-8P, 3-[2-(Isopropoxycarbonylaminomethyl)-4-[2-[5-methyl-2-[4-(pyridin-3-yloxy)phenyl]oxazol-4-yl]ethoxy]phenyl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids and
analogs as PPAR modulators for treatment of diabetes and related conditions)
RN 478542-94-8 CAPLUS
CN Benzenepropanoic acid, 2-[[[(1-methylethoxy)carbonyl]amino]methyl]-4-[2-[5-methyl-2-[4-(3-pyridinyloxy)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:658752 CAPLUS
DOCUMENT NUMBER: 137:201139
TITLE: Substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines useful for inhibiting cholesteryl ester transfer protein activity
INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Mischke, Deborah A.; Reinhard, Emily J.; Fobian, Yvette M.; Tollefson, Michael B.; Wang, Lijuan; Grapperhaus, Margaret L.; Hickory, Brian S.; Massa, Mark A.; Norton, Monica B.; Vernier, William F.; Parnas, Barry L.; Promo, Michele A.; Hamme, Ashton T.; Spangler, Dale P.; Rueppel, Melvin L.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA
SOURCE: U.S. Pat. Appl. Publ., 157 pp., Division of U.S. Ser. No. 405,524.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002120011	A1	20020829	US 2001-991174	20011114
US 6479552	B2	20021112		
US 6448295	B1	20020910	US 2001-991208	20011114
US 6451823	B1	20020917	US 2001-990645	20011114
US 6451830	B1	20020917	US 2001-991085	20011114
US 6458852	B1	20021001	US 2001-991210	20011114
US 6458849	B1	20021001	US 2001-991273	20011114
US 6462092	B1	20021008	US 2001-990811	20011114
US 6476057	B2	20021105	US 2001-990833	20011114
US 2002165232	A1	20021107		
US 6476075	B1	20021105	US 2001-991301	20011114
US 2002165231	A1	20021107	US 2001-991241	20011114
US 6586433	B2	20030701		
US 6455519	B1	20020924	US 2001-991116	20011115
US 6458803	B1	20021001	US 2001-991084	20011123
US 2003032644	A1	20030213	US 2002-71518	20020207
US 6723753	B2	20040420		
US 2003087905	A1	20030508	US 2002-154726	20020523

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

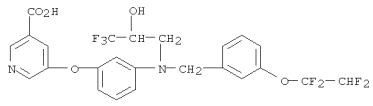
US 6677353	B2	20040113		
US 2003096818	A1	20030522	US 2002-155921	20020523
US 6765023	B2	20040720		
US 2003100559	A1	20030529	US 2002-155095	20020523
US 6677379	B2	20040113		
US 2003105100	A1	20030605	US 2002-155451	20020523
US 6683099	B2	20040127		
US 2003119833	A1	20030626	US 2002-154571	20020523
US 6677375	B2	20040113		
US 2003125328	A1	20030703	US 2002-154788	20020523
US 6696472	B2	20040224		
US 2003125329	A1	20030703	US 2002-155346	20020523
US 6677380	B2	20040113		
US 6677382	B1	20040113	US 2002-155410	20020523
PRIORITY APPLN. INFO.:			US 1999-405524	A3 19990923
			US 2001-990645	A1 20011114
			US 2001-990811	A1 20011114
			US 2001-990833	A1 20011114
			US 2001-991174	A1 20011114
			US 2001-991210	A1 20011114
			US 2001-991273	A1 20011114
			US 2001-991301	A1 20011114
			US 2001-991084	A1 20011123

OTHER SOURCE(S): MARPAT 137:201139
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = NH, N(OH), N-alkyl; R16 = hydrido; n = 1-2; R1 = haloalkyl, haloalkoxyalkyl; R2 = hydrido, hydroxyalkyl, aryl, aralkyl, alkyl, alkenyl, alkynyl, etc.; R3 = hydrido, alkyl, alkenyl, alkoxyalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkenyloxyalkyl, etc.; Y = bond, alkyl; Z = bond, alkyl; R4, R8-9, R13 = hydrido, halo, haloalkyl, alkyl; R5-7, R10-12 = hydrido, perhaloaryloxy, alkanoylalkyl, alkanoylalkoxy, alkanoyloxy, N-aryl-N-alkylamino, heterocyclylalkoxy, etc.; with provisions] were prepared for the treatment of atherosclerosis and other coronary artery diseases. I are useful as inhibitors of cholesteryl ester

L4 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
transfer protein (CETP; plasma lipid transfer protein-I). Examples include over 700 syntheses and data from two bioassays on CETP activity. For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-tetrafluoroethoxy)benzaldehyde in the presence of NaBH(OAc)3 and AcOH formed the secondary amine (96%). Addn. of 1,1,1-trifluoro-2,3-epoxypropane in CH2Cl2 and Yb(OTf)3 gave the alc. (99%), which was silylated with tert-butyldimethylsilyl trifluoromethanesulfonate (58%). Heating a soln. of the tertiary amine with 4-chloro-3-ethylphenol, Cs2CO3, copper triflate benzene complex, and 1-naphthoic acid in 2:1 toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited CETP activity with IC50 values of 0.034 μM and 0.88 μM, resp., in the reconstituted buffer and human plasma assays.
IT 263344-82-7P, 3-Pyridinecarboxylic acid, 5-[3-[[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl](3,3,3-trifluoro-2-hydroxypropyl)amino]phenoxy]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of substituted polycyclic aryl and heteroaryl
tertiary-heteroalkylamines as cholesteryl ester transfer protein inhibitors for the treatment of atherosclerosis and other coronary artery disease)
RN 263344-82-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-[3-[[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl](3,3,3-trifluoro-2-hydroxypropyl)amino]phenoxy]- (CA INDEX NAME)



L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:575041 CAPLUS
DOCUMENT NUMBER: 137:140338
TITLE: Preparation of aminoethanol derivatives as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia, etc.
INVENTOR(S): Kori, Masakuni; Hamamura, Kazumasa; Fuse, Hiromitsu; Yamamoto, Toshihiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 748 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059077	A1	20020801	WO 2002-JP532	20020125
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002228349	A1	20020806	AU 2002-228349	20020125
<--				
JP 2002293764	A	20021009	JP 2002-17487	20020125
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EP 1362846	A1	20031119	EP 2002-710345	20020125
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004127574	A1	20040701	US 2003-470351	20030725
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US 6982348	B2	20060103		

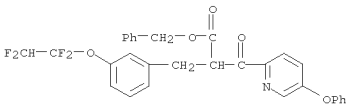
PRIORITY APPLN. INFO.: JP 2001-19280 A 20010126
<--
WO 2002-JP532 W 20020125
<--
OTHER SOURCE(S): MARPAT 137:140338

AB The title compds. Ar1CH(OR'')CH(CH2Ar2)NR'R [Ar1 represents an optionally substituted aromatic ring group; Ar2 represents a substituted aromatic ring group; OR'' represents optionally protected hydroxy; R represents acyl; and R' represents hydrogen or optionally substituted hydrocarbyl] are prepared Compds. of this invention in vitro showed IC50 values of 0.0084 μM to 0.4 μM against cholesteryl ester transfer protein. A process for preparing the title compds. is claimed.

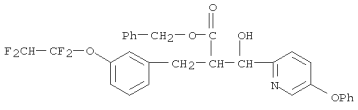
IT 444918-66-5P 444918-72-3P

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminoethanol derivs. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia)

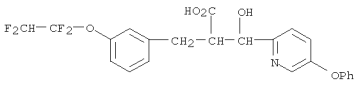
RN 444919-22-6 CAPLUS
CN 2-Pyridinepropanoic acid, β-oxo-5-phenoxy-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 444919-23-7 CAPLUS
CN 2-Pyridinepropanoic acid, β-hydroxy-5-phenoxy-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 444919-24-8 CAPLUS
CN 2-Pyridinepropanoic acid, β-hydroxy-5-phenoxy-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]- (CA INDEX NAME)



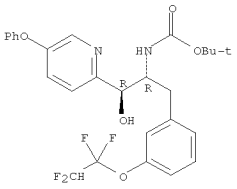
RN 444919-26-0 CAPLUS
CN 3-Oxazolidinecarboxylic acid, 2-oxo-5-(5-phenoxy-2-pyridinyl)-4-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, 1,1-dimethylethyl ester, (4R,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoethanol derivs. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia)

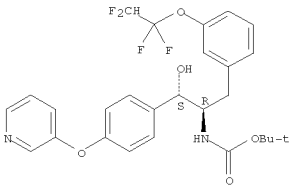
RN 444918-66-5 CAPLUS
CN Carbamic acid, [(1R,2R)-2-hydroxy-2-(5-phenoxy-2-pyridinyl)-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



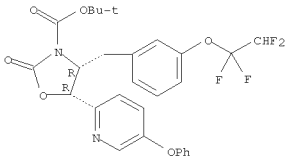
RN 444918-72-3 CAPLUS
CN Carbamic acid, [(1R,2S)-2-hydroxy-2-[4-(3-pyridinyloxy)phenyl]-1-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]ethyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

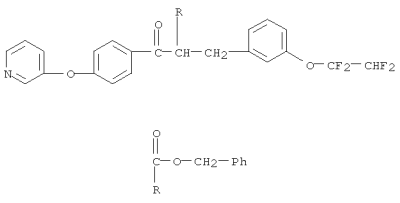


IT 444919-22-6P 444919-23-7P 444919-24-8P
444919-26-0P 444919-36-2P 444919-37-3P
444919-38-4P 444919-40-8P

L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

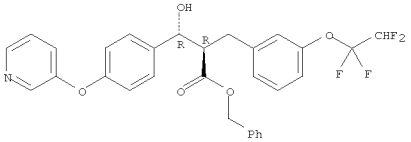


RN 444919-36-2 CAPLUS
CN Benzenepropanoic acid, β-oxo-4-(3-pyridinyloxy)-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester (CA INDEX NAME)



RN 444919-37-3 CAPLUS
CN Benzenepropanoic acid, β-hydroxy-4-(3-pyridinyloxy)-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, phenylmethyl ester, (αR,βR)-rel- (CA INDEX NAME)

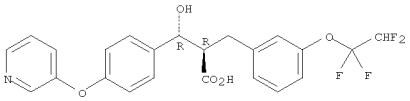
Relative stereochemistry.



RN 444919-38-4 CAPLUS
CN Benzenepropanoic acid, β-hydroxy-4-(3-pyridinyloxy)-α-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, (αR,βR)-rel- (CA INDEX NAME)

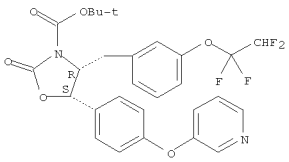
L4 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Relative stereochemistry.



RN 444919-40-8 CAPLUS
CN 3-Oxazolidinecarboxylic acid, 2-oxo-5-[4-(3-pyridinyloxy)phenyl]-4-[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl]-, 1,1-dimethylethyl ester, (4R,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.



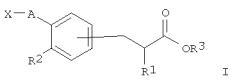
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:449653 CAPLUS
DOCUMENT NUMBER: 137:33307
TITLE: Preparation of phenylalkanoic acid derivatives as peroxisome proliferator activated receptor (PPAR) agonists
INVENTOR(S): Miyachi, Hiroyuki; Murakami, Kouji
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046161	A1	20020613	WO 2001-JP10564	20011204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2430846	A1	20020613	CA 2001-2430846	20011204
AU 2002022574	A	20020618	AU 2002-22574	20011204
EP 1348698	A1	20031001	EP 2001-999557	20011204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2005101521	A1	20050512	US 2003-433153	20030530
US 7176204	B2	20070213		

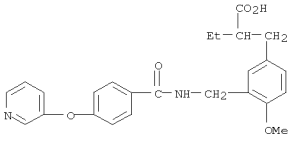
PRIORITY APPLN. INFO.: JP 2000-369370 A 20001205
JP 2001-257390 A 20010828
WO 2001-JP10564 W 20011204
OTHER SOURCE(S): MARPAT 137:33307
GI

L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

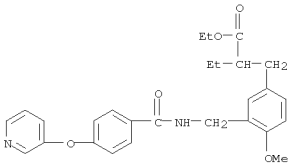


AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkoxy; R3 = H, alkyl; A = NHCO, etc.; X = (un)substituted pyridyl, etc.] are prepared I are useful for the treatment of hyperlipidemia, diabetes, etc. For example,

2-[[4-ethoxy-3-[[[4-(2-pyridyloxy)phenyl]carbonylamino]methyl]phenyl]methyl]butyric (II) was prepared The effects of II on PPAR α, PPAR γ, PPAR δ were demonstrated.
IT 437383-88-5P 437384-02-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalkanoic acid derivs. as peroxisome proliferator activated receptor agonists)
RN 437383-88-5 CAPLUS
CN Benzenepropanoic acid, α-ethyl-4-methoxy-3-[[[4-(3-pyridinyloxy)benzoyl]amino]methyl]- (CA INDEX NAME)



RN 437384-02-6 CAPLUS
CN Benzenepropanoic acid, α-ethyl-4-methoxy-3-[[[4-(3-pyridinyloxy)benzoyl]amino]methyl]-, ethyl ester (CA INDEX NAME)

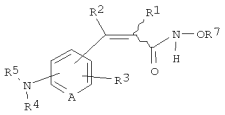


L4 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:171844 CAPLUS
DOCUMENT NUMBER: 136:232200
TITLE: Preparation of propenohydroxamic acid derivatives as TACE inhibitors for treatment of sepsis, infectious and autoimmune diseases, etc.
INVENTOR(S): Hirata, Terukage; Misumi, Keiji; Ito, Kenji; Inokuma, Kenichi; Katayama, Kimiko
PATENT ASSIGNEE(S): Wakunaga Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

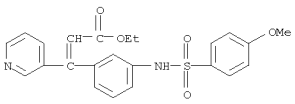
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018326	A1	20020307	WO 2001-JP7292	20010827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080167	A5	20020313	AU 2001-80167	20010827
CA 2423733	A1	20030214	CA 2001-2423733	20010827
EP 1314721	A1	20030528	EP 2001-958495	20010827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004029928	A1	20040212	US 2003-344898	20030226

PRIORITY APPLN. INFO.: JP 2000-263094 A 20000831
WO 2001-JP7292 W 20010827
OTHER SOURCE(S): MARPAT 136:232200
GI

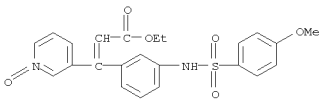


I

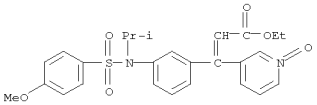
AB The title compds. I [R1 represents hydrogen, alkyl or halogeno; R2 represents cycloalkyl, aryl, heteroaryl, etc.; R3 represents hydrogen, alkenyl, etc.; R4 represents H, (un)substituted alkyl, etc.; R5 represents R6CO, R6SO2, R6NHCO or R6NHCS (wherein R6 represents alkyl, cycloalkyl, cyclic amino, aryl, heteroaryl, etc.); R7 represents hydrogen or a protective group; and A represents CH, nitrogen, etc.] are prepared I are useful as drugs for preventing and/or treating diseases such as sepsis, rheumatoid arthritis, infectious diseases, autoimmune diseases, malignant neoplasm, collagen disease, etc. E-3-[3-[N-(4-methoxybenzenesulfonyl)-N-methylaminophenyl]-3-(3-pyridyl)]propenohydroxamic acid (II) in vitro showed IC50 of 7 nM against TACE. II in vitro showed IC50 of > 10000 nM against MMP-1.
IT 402949-56-8P 402949-57-9P 402949-58-0P
402949-59-1P 402949-60-4P 402949-62-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of propenohydroxamic acid derivs. as TACE inhibitors for treatment of sepsis and infectious and autoimmune diseases)
RN 402949-56-8 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



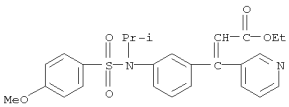
RN 402949-57-9 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)



RN 402949-58-0 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester (CA INDEX NAME)

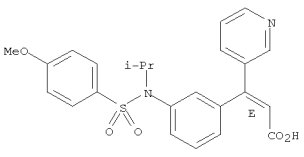


RN 402949-59-1 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)-, ethyl ester (CA INDEX NAME)



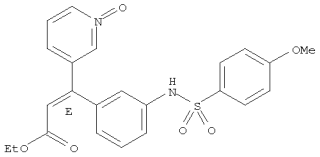
RN 402949-60-4 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl](1-methylethyl)amino]phenyl]-3-(3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 402949-62-6 CAPLUS
CN 2-Propenoic acid, 3-[3-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-3-(1-oxido-3-pyridinyl)-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:72091 CAPLUS
DOCUMENT NUMBER: 136:134566
TITLE: Synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compounds as β3-adrenergic agonists
INVENTOR(S): Evers, Britta; Jesudason, Cynthia Darshini; Karanjawala, Rushad Eruch; Remick, David Michael; Ruehter, Gerd; Sall, Daniel Jon; Schotten, Theo; Siegel, Miles Goodman; Stenzel, Wolfgang; Stucky, Russell Dean; Werner, John Arnold
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006276	A1	20020124	WO 2001-US16519	20010709
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2415331	A1	20020124	CA 2001-2415331	20010709
AU 2001072917	A	20020130	AU 2001-72917	20010709
EP 1303509	A1	20030423	EP 2001-952125	20010709
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012409	A	20030722	BR 2001-12409	20010709
HU 2003001329	A2	20030828	HU 2003-1329	20010709
JP 2004504320	T	20040212	JP 2002-512179	20010709
IN 2002KN01338	A	20040501	IN 2002-KN1338	20021025
ZA 2002008741	A	20040216	ZA 2002-8741	20021029
US 2003191156	A1	20031009	US 2002-311112	20021213
US 6730792	B2	20040504		
NO 2003000098	A	20030109	NO 2003-98	20030109
MX 2003PA00308	A	20030606	MX 2003-PA308	20030110
HR 2003000018	A1	20030430	HR 2003-18	20030113
US 2004242633	A1	20041202	US 2004-838904	20040504

PRIORITY APPLN. INFO.:
US 2000-217965P P 20000713
US 2000-241614P P 20001019
US 2001-292988P P 20010523
WO 2001-US16519 W 20010709
US 2002-311112 A1 20021213

OTHER SOURCE(S): MARPAT 136:134566
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A1-3 = C, N provided that only one of A1-3 can be nitrogen; Het = (un)substituted, optionally benzofused 5 or 6 membered heterocyclic ring; R1,1a,1b = H, halo, OH, alkyl, alkoxy, haloalkyl, SO2-alkyl; R2 = H, alkyl; R3 = H alkyl; R4 = H, alkyl; or R3 and R4 combine with the carbon to which both are attached to form a C3-C6 cyclic ring; or R4 and X1 combine with the carbon to which both are attached to form a C3-C8 cyclic ring; or R4 combines with X1, the carbon to which both are attached, and the Ph group to which X1 is attached to form a benzofused cycloalkyl radical; X is OCH2, SCH2, bond; X1 = bond, divalent hydrocarbon moiety; X2 = O, S, NH, NHSO2, SO2NH, CH2, bond; X3 = (un)substituted Ph, 5 or 6 membered heterocyclic ring] were prepared For instance, 2-(1-methylpyrazol-3-yl)phenol was reacted with (2S)-glycidyl 3-nitrobenzenesulfonate (THF, t-BuOK, reflux, 16 h) to give epoxide II. This was reacted with the amine derived from 4-(2-amino-2-methylpropyl)phenol and 2-chloro-3-cyanopyridine (alc. solvent, 80°C, 2-72 h) to give III. The intrinsic activity (Emax) of representative compds. of the invention was assessed relative to isoproterenol (a nonselective β3-agonist); III had Emax = 55.0%. I are used in the treatment of diabetes, obesity, etc.

IT 391924-79-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compds. as β3-adrenergic agonists)

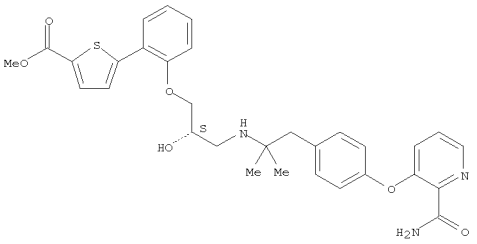
RN 391924-79-1 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[2-[(2S)-3-[[2-[4-[[2-(aminocarbonyl)-3-pyridinyl]oxy]phenyl]-1,1-dimethylethyl]amino]-2-hydroxypropoxy]phenyl]-, methyl ester, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 1

CRN 391924-78-0
CMF C31 H33 N3 O6 S

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

IT 391926-14-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis and use of heteroaryl-substituted-aryloxyalkylaryl compds. as β3-adrenergic agonists)

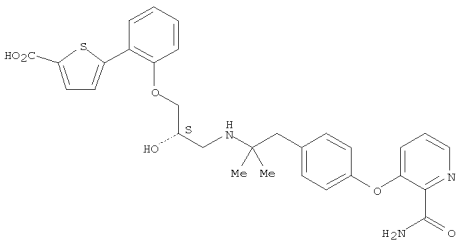
RN 391926-14-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[2-[(2S)-3-[[2-[4-[[2-(aminocarbonyl)-3-pyridinyl]oxy]phenyl]-1,1-dimethylethyl]amino]-2-hydroxypropoxy]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 391926-13-9
CMF C30 H31 N3 O6 S

Absolute stereochemistry.

L4 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



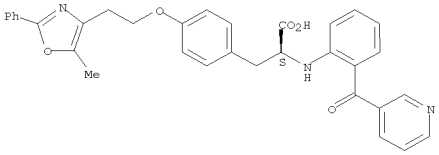
CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:425733 CAPLUS
DOCUMENT NUMBER: 136:241064
TITLE: Study on 3D-QSAR of PPARγ agonists with thiazolidinedione and arylketo-acid moieties
AUTHOR(S): Yi, Xiang; Guo, Zongru
CORPORATE SOURCE: Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China
SOURCE: Yaoxue Xuebao (2001), 36(4), 262-268
CODEN: YHHPAL; ISSN: 0513-4870
PUBLISHER: Yaoxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB A model of two series of peroxidase proliferator-activated receptor-γ (PPARγ) agonists-thiazolidinedione and arylketo-acid derivs. was established by 3D-QSAR method, and the structural features affecting the binding activity to PPARγ, which were related to antihyperglycemic and antihyperlipidemic activities, were studied. 48 Agonists with selective activity for PPARγ were analyzed by using CoMRA. Based upon the active conformation rosiglitazone (BRL) extracted from its complex with PPARγ all agonists were aligned. The model from CoMFA showed a high ability to explain and predict the activity of PPARγ agonists with cross-validation correlation coefficient R2 = 0.656, that of non-cross-validation R2 = 0.982, F10.37 = 201.1, and SE = 0.115. The CoMFA contour map showed that the steric fields may affect the binding effect, preferably a bulky group in the arylketo-acid series may be more helpful for increasing affinity for PPARγ, than thiazolidinedione.
IT 196808-91-0
RL: PAC (Pharmacological activity); BIOL (Biological study)
(study on 3D-QSAR of PPARγ agonists with thiazolidinedione and arylketo-acid moieties)
RN 196808-91-0 CAPLUS
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:101134 CAPLUS
DOCUMENT NUMBER: 134:163045
TITLE: Preparation of benzoxazinecarboxamide derivatives as inhibitors of farnesyltransferase for the treatment of cancer
INVENTOR(S): Achard, Daniel; Jimonet, Patrick; Mailliet, Patrick; Sabuco, Jean-Francois
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001009127	A1	20010208	WO 2000-FR2190	20000728

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
FR 2796943 A1 20010202 FR 1999-9894 19990730
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PRIORITY APPLN. INFO.: FR 1999-9894 A 19990730
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OTHER SOURCE(S): MARPAT 134:163045
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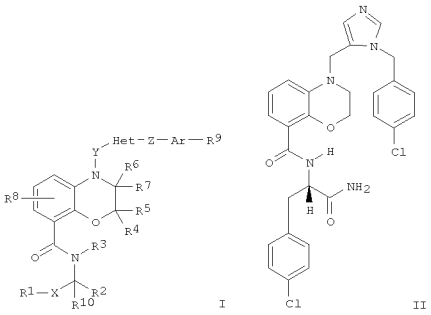
L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

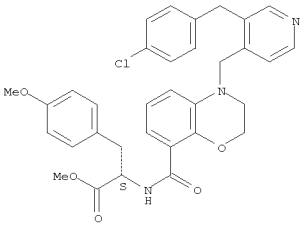


I II

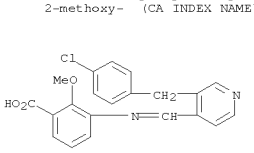
AB The invention concerns novel dihydro-8-benzoxazinecarboxamide derivs. I [R1 = alkyl, OH, alkoxy, hydroxyalkyl, (un)substituted (hetero)aryl; R2 = H, CO2H or derived radicals; R3 = H, (un)substituted alkyl; R4, R5 = H, alkyl; R6, R7 = H; or R6R7 = O; R8 = H, halo; R9 = H, halo, alkyl, (un)substituted (hetero)aryl, cycloalkyl, etc.; R10 = H, alkyl, alkoxy; X = (CH2)0-3; Y, Z = alkylene; Het = imidazole or pyridine nucleus; Ar = benzene nucleus] and their stereoisomers and salts. The compds. are inhibitors of farnesyltransferase, and are useful against proliferative diseases, particularly in the treatment of cancer. The invention also concerns their preparation and their use as therapeutic agents. For instance, Me 3-aminosalicylate underwent reductive alkylation with 1-(4-chlorobenzyl)-1H-imidazole-5-carboxaldehyde, followed by cyclization of the hydroxy amine with BrCH2CH2Br to form the benzoxazine ring. The ester function was hydrolyzed, the resultant acid then amidated with Me (L)-4-chlorophenylalaninate hydrochloride, and the reintroduced ester subjected to ammonolysis, to give title compound II, isolated as the oxalate. Compds. I inhibited growth of human colon carcinoma cells HCT116 in vitro, with IC50 values ranging from 0.1 nM to 100 μM.
IT 325162-21-8P, N-[1-(S)-Methoxycarbonyl-2-(4-methoxyphenyl)ethyl]-4-[[3-(4-chlorobenzyl)-4-pyridyl]methyl]-3,4-dihydro-2H-benzo[b][1,4]oxazine-8-carboxamide 325162-30-9P, 3-[[[3-(4-Chlorobenzyl)-4-pyridyl]methylene]amino]salicylic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzoxazinecarboxamide derivs. as inhibitors of farnesyltransferase for the treatment of cancer)
RN 325162-21-8 CAPLUS
CN L-Tyrosine, N-[[4-[[3-[(4-chlorophenyl)methyl]-4-pyridinyl]methyl]-3,4-dihydro-2H-1,4-benzoxazin-8-yl]carbonyl]-O-methyl-, methyl ester (CA INDEX NAME)

L4 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



RN 325162-30-9 CAPLUS
CN Benzoic acid, 3-[[[3-[(4-chlorophenyl)methyl]-4-pyridinyl]methylene]amino]-2-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:887668 CAPLUS
DOCUMENT NUMBER: 134:56664
TITLE: Preparation and effect of imidazole derivatives as insulin resistant improvement agents
INVENTOR(S): Fujita, Takashi; Wada, Kunio; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 169 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000351769	A	20001219	JP 2000-103824	20000405
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OTHER SOURCE(S):	MARPAT 134:56664			

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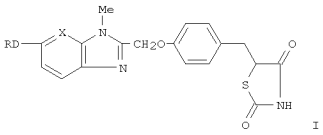


I

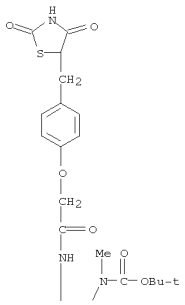
AB Title compds. [I; X = CH, N; D = S, O; R = 4-HOC6H4, 4-HO-2,3,5-(CH3)3C6H, 4-MeOCH2O-2,3,5-(CH3)3C6H, 4-HO-3,5-(CH3)2C6H2, 4-HO-2-Cl,3,5-(CH3)2C6H, 2-pyridyl, 3-pyridyl, 4-HO-3,5-((CH3)3C)2C6H, 4-HO-3,5-(CH3)2C6H, 2-(4-morpholinyl)phenyl, etc] and salts are prepared as active insulin resistant improvement agents, 5-lipoxygenase inhibitor, and peroxidized fat formation restrainer. Thus, the title compound I (X = N; D = O; R = 4-HO-2,3,5-(CH3)3C6) was prepared

IT 223132-86-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and effect of imidazole derivs. as insulin resistant improvement agents)

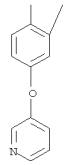
RN 223132-86-3 CAPLUS
CN Carbamic acid, [2-[[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]amino]-5-(3-pyridinyloxy)phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:814461 CAPLUS
DOCUMENT NUMBER: 133:362707
TITLE: Preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors.
INVENTOR(S): Cote, Bernard; Friesen, Richard; Frenette, Richard; Girard, Mario; Girard, Yves; Godbout, Cedrickx; Guay, Daniel; Hamel, Pierre; Blouin, Marc; Ducharme, Yves; Prescott, Sylvie
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000068198	A2	20001116	WO 2000-CA500	20000503
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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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CA 2369323	A1	20001116	CA 2000-2369323	20000503
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EP 1177175	A2	20020206	EP 2000-922400	20000503
<--				
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
AU 764258	B2	20030814	AU 2000-42829	20000503
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			WO 2000-CA500 W	20000503
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OTHER SOURCE(S):	MARPAT 133:362707			

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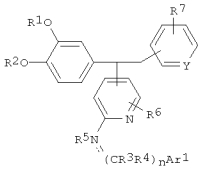
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

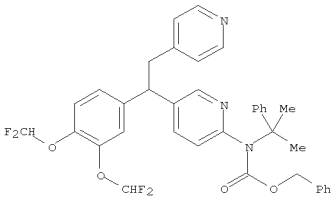
AB Title compds. [I; Y = N, NO; R1, R2 = H, alkyl, haloalkyl; R3, R4 = H, alkyl; R3R4 = O, atoms to form a 5-7 membered carbocyclic ring; R5 = null, H, (substituted) alkyl, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, O; R3R5 = atoms to form a 5-6 membered heterocyclic ring; dotted line = optional double bond; R6, R7 = H, halo, alkyl, haloalkyl, cyano; n = 0-6], were prepared Thus, 4-[2-[3,4-bis(difluoromethoxy)phenyl]-2-(6-bromo-3-pyridyl)ethyl]pyridine (preparation given) was heated with PhCH2NH2 and CuI to give 72% 4-[2-[3,4-bis(difluoromethoxy)phenyl]-2-[6-(benzylamino)-3-pyridyl]ethyl]pyridine. The latter inhibited PDE 4 with IC50 = 0.75 nM.

IT 306760-71-4P 306760-72-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)

RN 306760-71-4 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

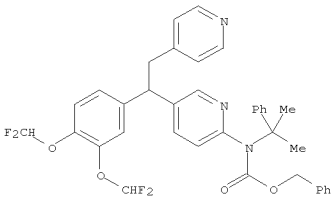
Rotation (+).

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

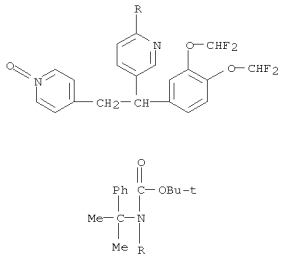


RN 306760-72-5 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

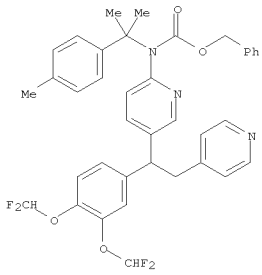


IT 306760-70-3P 306760-73-6P 306760-74-7P
306760-75-8P 306760-76-9P 306760-77-0P
306760-78-1P 306760-79-2P 306760-80-5P
306760-81-6P 306760-82-7P 306760-83-8P
306760-84-9P 306760-85-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)
RN 306760-70-3 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



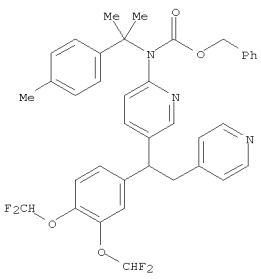
RN 306760-73-6 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(4-methylphenyl)ethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



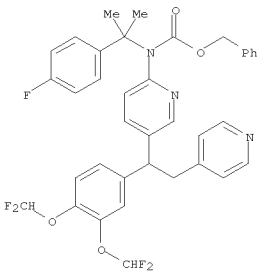
RN 306760-74-7 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(4-methylphenyl)ethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



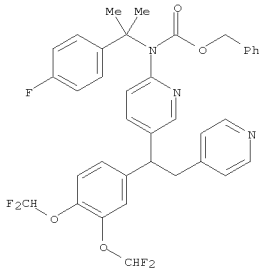
RN 306760-75-8 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



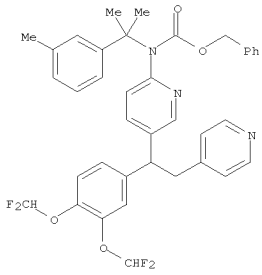
RN 306760-76-9 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 306760-77-0 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

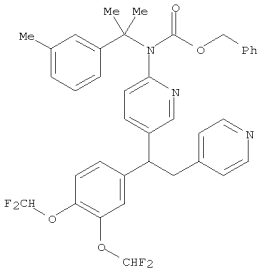
Rotation (+).



RN 306760-78-1 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

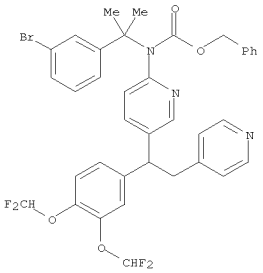
Rotation (-).

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



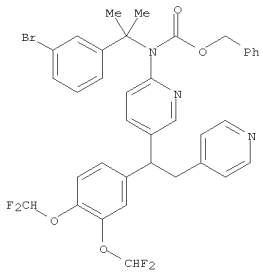
RN 306760-79-2 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-bromophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



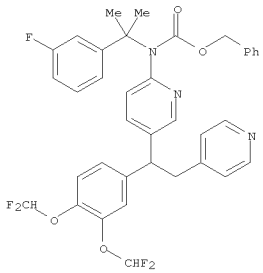
RN 306760-80-5 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-bromophenyl)-1-methylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Rotation (-).



RN 306760-81-6 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

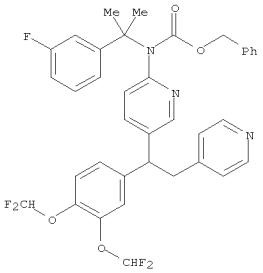
Rotation (+).



RN 306760-82-7 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-,

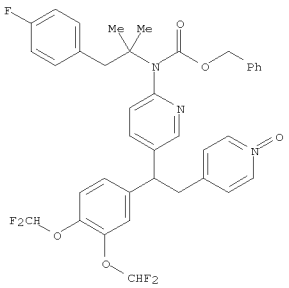
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 306760-83-8 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester, (+)- (9CI) (CA INDEX NAME)

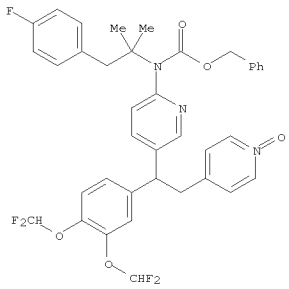
Rotation (+).



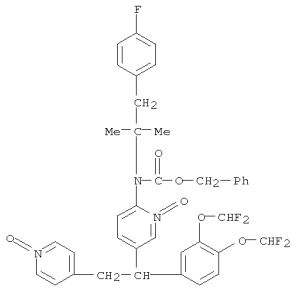
RN 306760-84-9 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester, (-)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Rotation (-).

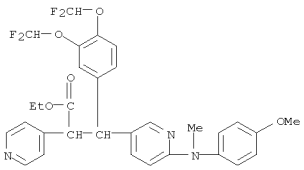


RN 306760-85-0 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-1-oxido-2-pyridinyl][2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

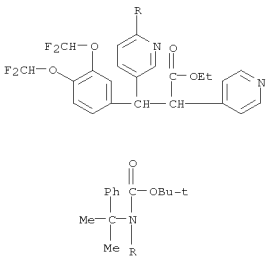


IT 306761-59-1 306761-61-5 306761-62-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)
RN 306761-59-1 CAPLUS

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 3-Pyridinepropanoic acid, β-[3,4-bis(difluoromethoxy)phenyl]-6-[(4-methoxyphenyl)methylamino]-α-4-pyridinyl-, ethyl ester (CA INDEX NAME)

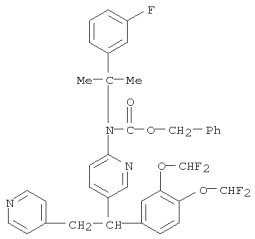


RN 306761-61-5 CAPLUS
CN 3-Pyridinepropanoic acid, β-[3,4-bis(difluoromethoxy)phenyl]-6-[[(1,1-dimethylethoxy)carbonyl](1-methyl-1-phenylethyl)amino]-α-4-pyridinyl-, ethyl ester (CA INDEX NAME)

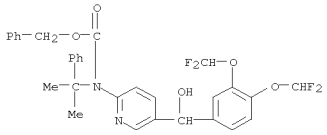


RN 306761-62-6 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-fluorophenyl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

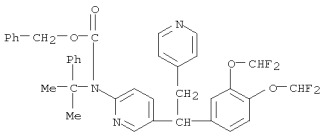


IT 306761-01-3P 306761-02-4P 306761-03-5P
306761-15-9P 306761-20-6P 306761-21-7P
306761-23-9P 306761-27-3P 306761-28-4P
306761-29-5P 306761-30-8P 306761-31-9P
306761-32-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylethylpyridines as phosphodiesterase 4 inhibitors)
RN 306761-01-3 CAPLUS
CN Carbamic acid, [5-[[3,4-bis(difluoromethoxy)phenyl]hydroxymethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

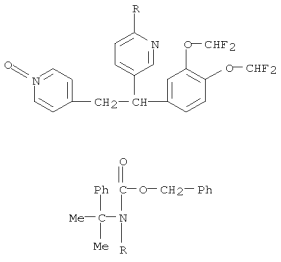


RN 306761-02-4 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester
(9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

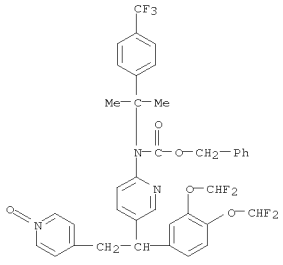


RN 306761-03-5 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, phenylmethyl ester
(9CI) (CA INDEX NAME)

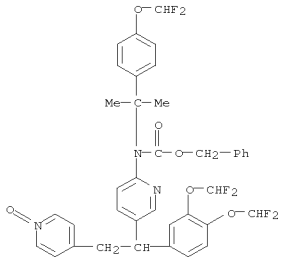


RN 306761-15-9 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-[4-(trifluoromethyl)phenyl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

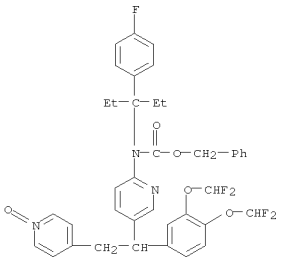


RN 306761-20-6 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-[4-(difluoromethoxy)phenyl]-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

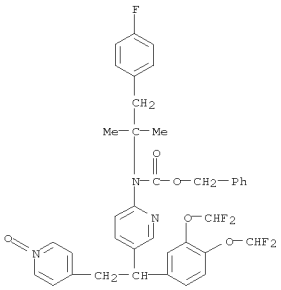


RN 306761-21-7 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][1-ethyl-1-(4-fluorophenyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

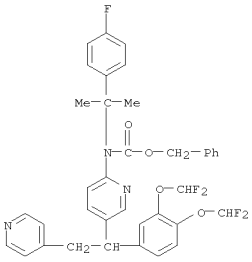


RN 306761-23-9 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(1-oxido-4-pyridinyl)ethyl]-2-pyridinyl][2-(4-fluorophenyl)-1,1-dimethylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

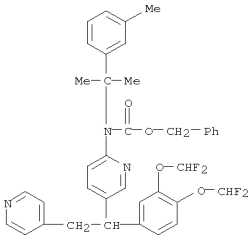


RN 306761-27-3 CAPLUS
CN Carbamic acid, [5-[3,4-bis(difluoromethoxy)benzoyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(4-fluorophenyl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

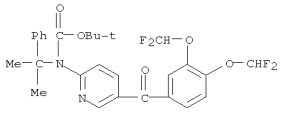


RN 306761-31-9 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(3-methylphenyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

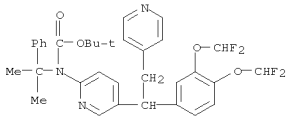


RN 306761-32-0 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-(3-bromophenyl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

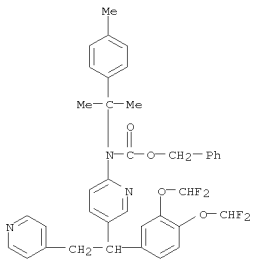
L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 306761-28-4 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl](1-methyl-1-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

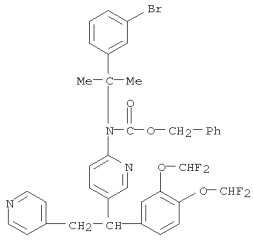


RN 306761-29-5 CAPLUS
CN Carbamic acid, [5-[1-[3,4-bis(difluoromethoxy)phenyl]-2-(4-pyridinyl)ethyl]-2-pyridinyl][1-methyl-1-(4-methylphenyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 306761-30-8 CAPLUS

L4 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

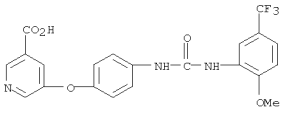


L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:493376 CAPLUS
DOCUMENT NUMBER: 133:120155
TITLE: Preparation of ω-carboxy aryl substituted diphenyl ureas as p38 kinase inhibitors
INVENTOR(S): Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000041698	A1	20000720	WO 2000-US768	20000113
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359244	A1	20000720	CA 2000-2359244	20000113
EP 1158985	A1	20011205	EP 2000-905597	20000113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
MX 2001PA07120	A	20011101	MX 2001-PA7120	20010712
US 2003139605	A1	20030724	US 2002-71248	20020211
US 2003105091	A1	20030605	US 2002-86417	20020304
AU 2004200566	A1	20040311	AU 2004-200566	20040213
AU 2004200722	A1	20040318	AU 2004-200722	20040224
AU 2004200722	B2	20080110		
US 2008027061	A1	20080131	US 2007-845597	20070827

PRIORITY APPLN. INFO.:
US 1999-115878P P 19990113
US 1999-257265 A2 19990225
US 1999-425229 A2 19991022
US 1999-115877P P 19990113

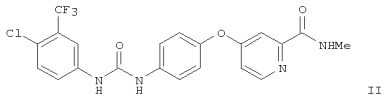
L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
US 1999-257266 B2 19990225
US 1999-425228 B1 19991022
AU 2000-25016 A3 20000112
AU 2000-27250 A3 20000113
WO 2000-US768 W 20000113
US 2001-948915 A1 20010910
US 2002-86417 B3 20020304

OTHER SOURCE(S): MARPAT 133:120155
GI



II

AB The title compds. ADB [I; D = NHCONH; A = substituted moiety of up to 40 carbon atoms of the formula L(ML1)q (wherein L = 5-6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having al least one atom; q = 1-3; each of L and L1 contains 0-4 members of the group consisting of N, O and S); B = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D containing 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepared E.g., a multi-step synthesis of the urea II which showed IC50 of 1-10 μM against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration).
IT 284462-90-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ω-carboxy aryl substituted di-Ph ureas as p38 kinase inhibitors)
RN 284462-90-4 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]- (CA INDEX NAME)

L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:227617 CAPLUS
DOCUMENT NUMBER: 132:264953
TITLE: Substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines useful for inhibiting cholesteryl ester transfer protein activity
INVENTOR(S): Sikorski, James A.; Durley, Richard C.; Mischke, Deborah A.; Reinhard, Emily J.; Fobian, Yvette M.; Tollefson, Michael B.; Wang, Lijuan; Grapperhaus, Margaret L.; Hickory, Brian S.; Massa, Mark A.; Norton, Monica B.; Vernier, William F.; Parnas, Barry L.; Promo, Michele A.; Hamme, Ashton T.; Spangler, Dale P.; Rueppel, Melvin L.
PATENT ASSIGNEE(S): Monsanto Company, USA
SOURCE: PCT Int. Appl., 440 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018721	A1	20000406	WO 1999-US22119	19990923
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345118	A1	20000406	CA 1999-2345118	19990923
AU 9960594	A	20000417	AU 1999-60594	19990923
EP 1115693	A1	20010718	EP 1999-969710	19990923
EP 1115693	B1	20070502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2002525348	T	20020813	JP 2000-572183	19990923
JP 3924124	B2	20070606		
EP 1589000	A2	20051026	EP 2005-11025	19990923
EP 1589000	A3	20060315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PT 1115695	T	20051031	PT 1999-948429	19990923
ES 2244216	T3	20051201	ES 1999-948429	19990923
AT 361273	T	20070515	AT 1999-969710	19990923
ES 2286909	T3	20071201	ES 1999-969710	19990923
US 2003083331	A1	20030501	US 2002-154861	20020523

L4 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

US 6696435	B2	20040224			
US 2003109528	A1	20030612	US 2002-155002		20020523
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US 6699898	B2	20040302			
US 2003114454	A1	20030619	US 2002-155311		20020523
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US 6710089	B2	20040323			
JP 2007112804	A	20070510	JP 2006-305077		20061110
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JP 2007126460	A	20070524	JP 2006-305973		20061110
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PRIORITY APPLN. INFO.:			US 1998-101663P	P	19980925
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			EP 1999-948429	A3	19990923
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			JP 2000-572183	A3	19990923
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			JP 2000-572186	A3	19990923
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			US 1999-405524	B3	19990923
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			WO 1999-US22119	W	19990923
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			US 2001-991085	A1	20011114
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			US 2001-991208	A1	20011114
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			US 2001-991116	A1	20011115
<--					

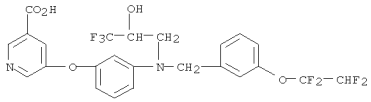
OTHER SOURCE(S): MARPAT 132:264953
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I) [wherein R1 = haloakyl, haloalkenyl, haloalkoxyalkyl, or haloalkenyloxyalkyl; R2 = H, OH, (alkyl)amino, dialkylamino, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, (cyclo)alkoxy, (cyclo)alkenyloxy, or (hetero)aryl, alkylsulfinyl, arylsulfonyl, carboxy, carboxamido, phosphono, etc.; R3, R14, and R15 = independently H, OH, halo, CN, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, aryloxy, (alkyl)amino, dialkylamino, (hetero)arylthio, acylamido, alkylsufinyl, arylsufonyl, carboxy, phosphono, etc.; or R2 and R3 taken together may form a 3- to 8-membered cycloalkyl, a 5- to 8-membered cycloalkenyl, or a 4- to 8-membered heterocyclyl ring; R4-R13 = independently (un)substituted aryloxy, alkyl(oxy), acyl(oxy), carboxamido, (cyclo)alkylsulfinyl, aralkylsulfonyl, amino, phosphono, etc.; R16 = H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (hetero)aryl, acyl, (hetero)aroyl, trialkylsilyl, or a spacer; D1, D2, D3, D4, J1, J2, J3, J4, K1, and K2 = independently C, N, O, S, or a covalent bond; X = H, F, O, S, S(O), NH, N(OH), N(alkyl), or N(alkoxy); Y and Z = independently single bond or (un)substituted (hetero)alkylene; n = 0-5] where prepd. for the treatment of atherosclerosis and other coronary artery diseases. I are useful as inhibitors of cholesteryl ester transfer protein (CETP; plasma lipid transfer protein-I). Examples include over 700 syntheses and data from two bioassays on CETP activity. For instance, reaction of 3-bromoaniline with 3-(1,1,2,2-tetrafluoroethoxy)benzaldehyde in the presence of NaB(OAc)3H and AcOH formed the secondary amine (96%). Addn. of 1,1,1-trifluoro-2,3-epoxypropane in CH2Cl2 and YB(OTf)3 gave the alc. (99%), which was silylated with tert-butyldimethylsilyl trifluoromethanesulfonate (58%). Heating a soln. of the tertiary amine with 4-chloro-3-ethylphenol, Cs2CO3, copper triflate benzene complex, and 1-naphthoic acid in 2:1 toluene:dimethylacetamide for 96 h gave II (23%). The latter inhibited CETP activity with IC50 values of 0.034 μM and 0.88 μM, resp., in the reconstituted buffer and human plasma assays.

IT 263344-82-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of substituted polycyclic aryl and heteroaryl tertiary-heteroalkylamines as cholesteryl ester transfer protein inhibitors for the treatment of atherosclerosis and other coronary artery disease)

RN 263344-82-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-[3-[[[3-(1,1,2,2-tetrafluoroethoxy)phenyl]methyl](3,3,3-trifluoro-2-hydroxypropyl)amino]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2000:191066 CAPLUS
DOCUMENT NUMBER:	132:236989
TITLE:	Preparation of fungicidal 2-methoxyimino-2-[(pyridinyloxymethyl)phenyl]acetamides
INVENTOR(S):	Canada, Emily Jane; Gajewski, Robert Peter; Galka, Christopher Stanley; Kirby, Neil Vincent; Morrison, Irene Mae; Phillips, Jeannie Rachel; Pieczko, Mary Elizabeth; Rieder, Brent Jeffrey; Carson, Chrislyn Marie; Huang, Zhengyu
PATENT ASSIGNEE(S):	Dow Agrosciences Llc, USA
SOURCE:	PCT Int. Appl., 61 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015616	A1	20000323	WO 1999-US21593	19990916
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W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9960491	A1	20000403	AU 1999-60491	19990916
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US 6306839	B1	20011023	US 1999-397566	19990916
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US 2002035259	A1	20020321	US 2001-943253	20010830
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US 6432951	B1	20020813		
US 2002035257	A1	20020321	US 2001-943263	20010830
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US 6436963	B2	20020820		
PRIORITY APPLN. INFO.:			US 1998-100601P	P 19980916
<--				
			US 1999-397566	A3 19990916
<--				
			WO 1999-US21593	W 19990916
<--				

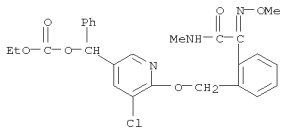
OTHER SOURCE(S): MARPAT 132:236989
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

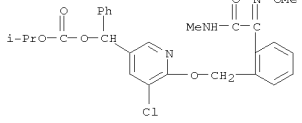
AB The title compds. [I; m = 0-3; L = O, CH2, SOn, etc.; n = 0-2; X, Y, Z = H, alkyl, alkoxy, etc.; W = H, halo, alkyl, etc.; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R1 and R2 form a link of 1-3 atoms which form (un)substituted heterocyclyl containing one or more O atoms; R2 and R3 together form (un)substituted cycloalkyl, heterocyclyl], useful in fungicidal compns. as the active ingredients, were prepd. Thus, treatment of ketone II with NaBH4 in EtOH/CH2Cl2 afforded 99% III which showed 75-100% control of plant diseases such as mildew of wheat, brown rust, glume blotch of wheat, and late blight of tomatoes.

IT 261720-59-6P 261720-81-4P 261720-95-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fungicidal 2-methoxyimino-2-[(pyridinyloxymethyl)phenyl]acetamides)

RN 261720-59-6 CAPLUS
CN Carbonic acid, [5-chloro-6-[[2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl]methoxy]-3-pyridinyl]phenylmethyl ethyl ester (CA INDEX NAME)

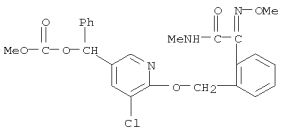


RN 261720-81-4 CAPLUS
CN Carbonic acid, [5-chloro-6-[[2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl]methoxy]-3-pyridinyl]phenylmethyl 1-methylethyl ester (CA INDEX NAME)



RN 261720-95-0 CAPLUS
CN Carbonic acid, [5-chloro-6-[[2-[1-(methoxyimino)-2-(methylamino)-2-oxoethyl]phenyl]methoxy]-3-pyridinyl]phenylmethyl methyl ester (CA INDEX NAME)

L4 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:698077 CAPLUS
DOCUMENT NUMBER: 131:327524
TITLE: Pyridylmethylphenyl derivatives as fungicides and pharmaceutical compositions containing the fungicides
INVENTOR(S): Takagi, Masae; Seibu, Tadayuki; Sano, Shinsuke
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11302172	A	19991102	JP 1998-120018	19980414

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PRIORITY APPLN. INFO.: JP 1998-120018 19980414
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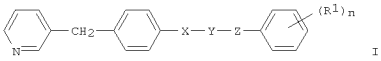
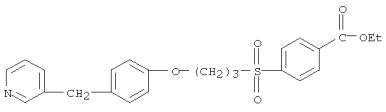
OTHER SOURCE(S): MARPAT 131:327524
GI



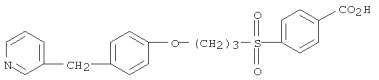
I

AB Pyridylmethylphenyl derivs. (I) [X = O, C(:O), etc.; Y = 4-piperidylalkylene, 1-piperazinylalkylene, etc.; Z = O, SO2, etc.; R1 = halo, C1-6 alkyl, C1-6 alkoxy; n = 0-3] as fungicides and pharmaceutical compns. containing the fungicides are claimed. Tablets were formulated containing
I 50, lactose 29, corn starch 10, sodium gluconate 5, PVP 3, talc 2, and magnesium stearate 1 parts. I also can be used as agrochems.
IT 188128-29-2 248244-44-2 248244-45-3
248244-73-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(pyridylmethylphenyl derivs. as fungicides and pharmaceutical compns. containing the fungicides)
RN 188128-29-2 CAPLUS
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]-, ethyl
ester (CA INDEX NAME)

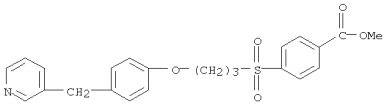
L4 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



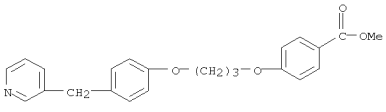
RN 248244-44-2 CAPLUS
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]- (CA INDEX NAME)



RN 248244-45-3 CAPLUS
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 248244-73-7 CAPLUS
CN Benzoic acid, 4-[3-[4-(3-pyridinylmethyl)phenoxy]propoxy]-, methyl ester (CA INDEX NAME)



L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:566043 CAPLUS
DOCUMENT NUMBER: 131:199620
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Seehra, Jasbir S.; Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu; Chen, Lihren
PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943672	A1	19990902	WO 1999-US3388	19990217

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W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2322163 A1 19990902 CA 1999-2322163 19990217
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AU 9932970 A 19990915 AU 1999-32970 19990217
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BR 9909242 A 20001114 BR 1999-9242 19990217
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TR 200002445 T2 20001221 TR 2000-2445 19990217
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EP 1062216 A1 20001227 EP 1999-936073 19990217
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
HU 2001000156 A2 20010730 HU 2001-156 19990217
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JP 2002504551 T 20020212 JP 2000-533428 19990217
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EE 200000522 A 20020215 EE 2000-522 19990217
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HR 2000000513 A1 20011231 HR 2000-513 20000731
<--
NO 2000004217 A 20001023 NO 2000-4217 20000823
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MX 2000PA08294 A 20020327 MX 2000-PA8294 20000824
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BG 104781 A 20011031 BG 2000-104781 20000919
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PRIORITY APPLN. INFO.: US 1998-30102 A 19980225
<--
WO 1999-IS3388 W 19990217
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WO 1999-US3388 W 19990217
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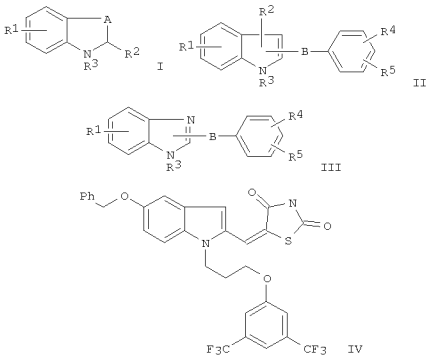
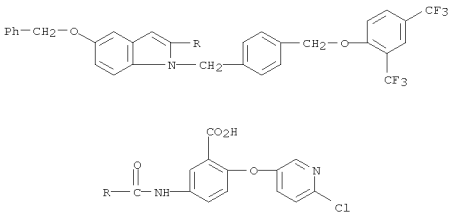
L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 131:199620
GI



AB Indole derivs. (I), (II), and (III) [where A = CH2 or CH2CH2; B = (CH2)n, (CH2O)n, (CH2S)n, (OCH2)n, (SCH2)n, (CH=CH)n, (C.tplbond.C)n, CON(R6), N(R6)CO, O, S, or N(R6); R1 and R5 = independently H, OH, halogen, CN, NO2, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl, etc.; R2 and R3 = independently H, CO2H, COR5, CONR5R6, (CH2)nW(CH2)mZR5, (CH2)nWR5, ZR5, C1-10 alkyl, alkenyl, or substituted aryl; R4 = H, OH, OR6, SR6, CN, COR6, NHR6, CO2H, COR6R7, NO2, (un)substituted sulfamidocarbonyl, C1-5 alkyl, alkenyl, or substituted aryl; R6, R7 = H, C1-5 alkyl, alkenyl, alkynyl, or (un)substituted aryl; W = O, S, CH2, CH=CH, C.tplbond.C, or N(R6); X = O, S, N(R6); Z = CH2, O, S, N(R6), CO, CON(R6), N(R6)CO; m and n = independently 0-4] and pharmaceutically acceptable salts thereof, were prepared Thus, 2,4-thiazolidinedione and K2CO3 followed by NaOH were added to 5-(benzyloxyl)-1-(4-{[3,5-bis(trifluoromethyl)phenoxy]methyl}benzyl)-1H-indole-2-carboxaldehyde in EtOH to form the 2,4-thiazolidinedion-4-ylidene derivative The ylidene was dissolved in a solution of DMF and NaH, reacted with an alkyl ester of 4-(bromomethyl)benzoic acid, and deesterified with HF to yield the acid, (E)-(IV). The title compds. are useful as phospholipase enzyme inhibitors, esp. cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of prodn. of prostaglandins, leukotrienes, and PAF are all desired. Eighty-seven compds. of the invention were tested for phospholipase enzyme inhibiting activity in the LysoPC and/or Coumarine assay. IC50 values ranged from 0.081 μM to >50 μM for the LysoPC assay and from 2.5 μM to >64 μM for the Coumarine assay. Selected compds. were tested for in vivo activity in the carrageenan-induced rat paw edema test, and showed 4.2% to 34.2% inhibition. Forty-eight compds. of the invention were tested for cPLA2 enzyme activity, and exhibited 25% to 95% inhibition at concns. of 3 μM to 100 μM.

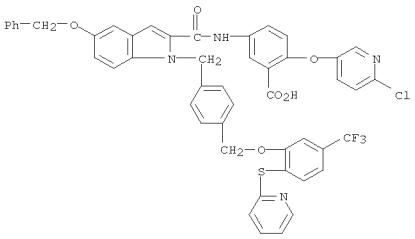
IT 204016-36-4P 204016-37-5P 241489-85-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as phospholipase enzyme inhibitors for treatment of inflammatory conditions)

RN 204016-36-4 CAPLUS
CN Benzoic acid, 5-[[[1-[[4-[[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)

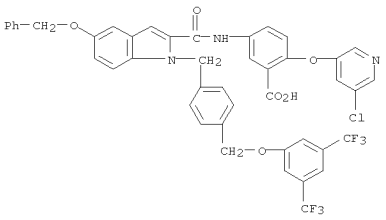


RN 204016-37-5 CAPLUS
CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[[5-(phenylmethoxy)-1-[[4-[[2-(2-pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

L4 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 241489-85-0 CAPLUS
CN Benzoic acid, 5-[[[1-[[4-[[3,5-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:495273 CAPLUS
DOCUMENT NUMBER: 131:144406
TITLE: Preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity
INVENTOR(S): De La Brouse-Elwood, Fabienne; Jaen, Juan C.; McGee, Lawrence R.; Miao, Shi-Chang; Rubenstein, Steven Marc; Chen, Jin-Long; Cushing, Timothy D.; Flygare, John A.; Houze, Jonathan B.; Kearney, Patrick C.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938845	A1	19990805	WO 1999-US1147	19990120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318731	A1	19990805	CA 1999-2318731	19990120
AU 9921176	A	19990816	AU 1999-21176	19990120
AU 759255	B2	20030410		
EP 1053227	A1	20001122	EP 1999-901492	19990120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6200995	B1	20010313	US 1999-234327	19990120
JP 2002501945	T	20020122	JP 2000-530082	19990120
US 2001027200	A1	20011004	US 2000-741415	20001219
US 6620827	B2	20030916		
US 2002169185	A1	20021114	US 2001-894980	20010627
US 6583157	B2	20030624		
US 2003088103	A1	20030508	US 2002-123298	20020415
PRIORITY APPLN. INFO.:			US 1998-73042P	P 19980129
			US 1999-234327	A1 19990120
			WO 1999-US1147	W 19990120
			US 2000-214810P	P 20000628

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
US 2000-741415 A1 20001219
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OTHER SOURCE(S): MARPAT 131:144406
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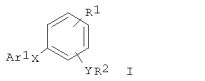

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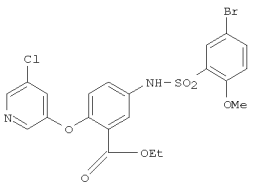
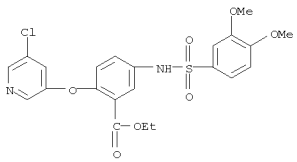


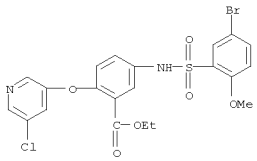
II

AB Title compds. [I; Ar1 is aryl; X is a divalent linkage of alkylene, alkylenoxy, -O-, -C(O)-, -N(R11)-, -N(R11)C(O)-, -S(O)k- and a single bond, in which R11 is hydrogen, alkyl, heteroalkyl, and arylalkyl and the subscript k is an integer of from 0 to 2; Y is a divalent linkage selected from alkylene, -O-, -C(O)-, -N(R12)-S(O)m-, -N(R13)-S(O)m-N(R13)-, -N(R12)C(O)-, -S(O)n-, a single bond, and combinations thereof in which R12 and R13 are members independently selected from the group consisting of hydrogen, alkyl, heteroalkyl and arylalkyl; and the subscripts m and n independently integers of from 0 to 2; R1 represents a member selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl, arylalkyl, -CO2R14, -CO(R)14, -C(O)NR15R16, -S(O)p-R14, -S(O)q-NR15R16, -O-C(O)-OR17, -O-C(O)-R17, -O-C(O)-NR15R16, -N(R14)-C(O)-NR15R16, -N(R14)-C(O)-R17 and -N(R14)-C(O)-OR17, in which R14 is hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, and R15 and R16 are independently of hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, or taken together with the nitrogen to which each is attached from a 5-, 6- or 7-membered ring; R17 R2 are independently of alkyl, heteroalkyl, aryl, arylalkyl; p = 0-3; q = 1-2] and pharmaceutical compns. containing the compds. described above for the treatment of conditions such as type II diabetes and obesity. Thus, the title compound II was prepared
IT 235427-89-1P

L4 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of PPAR-GAMMA modulators on treatment of type II diabetes and obesity)
RN 235427-89-1 CAPLUS
CN Benzoic acid, 2-[(5-chloro-3-pyridinyl)oxy]-5-[[(3,4-dimethoxyphenyl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



IT 235426-95-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of PPAR-GAMMA modulators on treatment of type II diabetes and obesity)
RN 235426-95-6 CAPLUS
CN Benzoic acid, 5-[[(5-bromo-2-methoxyphenyl)sulfonyl]amino]-2-[(5-chloro-3-pyridinyl)oxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:325961 CAPLUS
DOCUMENT NUMBER: 130:352553
TITLE: Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins
INVENTOR(S): Altmann, Eva; Betschart, Claudia; Gohda, Keigo; Horiuchi, Miyuki; Lattmann, Rene; Missbach, Martin; Sakaki, Junichi; Takai, Michihiro; Teno, Naoki; Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924460	A2	19990520	WO 1998-EP6937	19981103
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WO 9924460	A3	19990902		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306313	A1	19990520	CA 1998-2306313	19981103
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AU 9914873	A	19990531	AU 1999-14873	19981103
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AU 751669	B2	20020822		
EP 1028942	A2	20000823	EP 1998-958887	19981103
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813197	A	20000829	BR 1998-13197	19981103
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TR 200001189	T2	20000921	TR 2000-1189	19981103
<--				
JP 2001522862	T	20011120	JP 2000-520468	19981103
<--				
HU 2000004400	A2	20020429	HU 2000-4400	19981103
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RU 2201420	C2	20030327	RU 2000-114821	19981103
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ZA 9810073	A	19990505	ZA 1998-10073	19981104
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TW 527362	B	20030411	TW 1998-87118553	19981105
<--				
NO 2000002320	A	20000704	NO 2000-2320	20000502
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L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

MX 2000PA04375	A	20001211	MX 2000-PA4375		20000504
US 6353017	B1	20020305	US 2000-643639		20000822
US 2004029814	A1	20040212	US 2003-342872		20030115
US 2004110806	A1	20040610	US 2003-694672		20031028
US 2006235220	A1	20061019	US 2006-374995		20060315
US 2008027060	A1	20080131	US 2007-835134		20070807
PRIORITY APPLN. INFO.:			GB 1997-23407	A	19971105
			US 1997-108160P	P	19971205
			US 1997-985973	A	19971205
			WO 1998-EP6937	W	19981103
			US 1998-186223	B1	19981104
			US 2000-643639	A1	20000822
			US 2002-54590	B1	20020122
			US 2003-342872	A1	20030115
			US 2003-694672	B1	20031028
			US 2006-374995	B1	20060315

OTHER SOURCE(S): MARPAT 130:352553

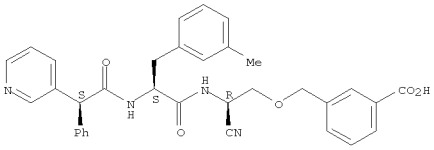
AB N-terminal substituted dipeptide nitriles R(L)xX1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl; R2, R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, CO2R7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(O)OR6; Y = O, S: L is optionally substituted Het, Het-CH2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepared as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. Thus, N-[2-[(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-Nα-(2,2-diphenylacetyl)-L-phenylalaninamide was prepared and shown to have IC50 ≈ 5 nM for inhibition of cathepsin B.

L4 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 225121-18-6P 225121-19-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)

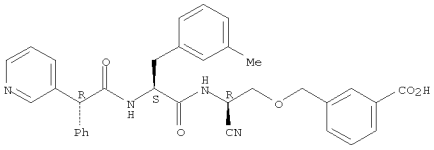
RN 225121-18-6 CAPLUS
CN Benzoic acid, 3-[[(2R)-2-cyano-2-[[(2S)-3-(3-methylphenyl)-1-oxo-2-[[(2S)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 225121-19-7 CAPLUS
CN Benzoic acid, 3-[[(2R)-2-cyano-2-[[(2S)-3-(3-methylphenyl)-1-oxo-2-[[(2R)-phenyl-3-pyridinylacetyl]amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:244641 CAPLUS
DOCUMENT NUMBER: 130:296681
TITLE: Preparation of substituted fused heterocyclic compounds as pharmaceuticals
INVENTOR(S): Fujita, Takashi; Wada, Kunio; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 398 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

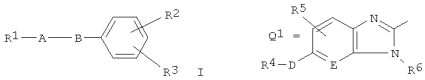
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918081	A1	19990415	WO 1998-JP4548	19981008
W: AU, BR, CA, CN, CZ, HU, ID, IL, JP, KR, MX, NO, NZ, PL, RU, TR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 11193276	A	19990721	JP 1998-284926	19981007
JP 3488099	B2	20040119		
CA 2305807	A1	19990415	CA 1998-2305807	19981008
CA 2305807	C	20080122		
AU 9894587	A	19990427	AU 1998-94587	19981008
AU 740704	B2	20011115		
TR 200000946	T2	20000721	TR 2000-946	19981008
EP 1022272	A1	20000726	EP 1998-947789	19981008
EP 1022272	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813848	A	20001003	BR 1998-13848	19981008
HU 2000003859	A2	20010828	HU 2000-3859	19981008
HU 2000003859	A3	20050928		
TW 475931	B	20020211	TW 1998-87116711	19981008
NZ 503794	A	20020927	NZ 1998-503794	19981008
RU 2196141	C2	20030110	RU 2000-109326	19981008
AT 267814	T	20040615	AT 1998-947789	19981008
PT 1022272	T	20040831	PT 1998-947789	19981008
ES 2221203	T3	20041216	ES 1998-947789	19981008
NO 2000001816	A	20000607	NO 2000-1816	20000407
NO 318070	B1	20050131		
US 6432993	B1	20020813	US 2000-543667	20000407

L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

MX 200003546	A	20020806	MX 2000-3546		20000411
HK 1027354	A1	20040924	HK 2000-106421		20001010
PRIORITY APPLN. INFO.:			JP 1997-276063	A	19971008
			WO 1998-JP4548	W	19981008

OTHER SOURCE(S): MARPAT 130:296681

GI



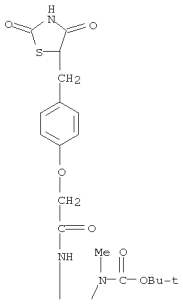
AB The title compds. I [R1 represents general formula Q1 wherein R4 represents substituted Ph or optionally substituted pyridyl; R5 represents hydrogen, etc.; R6 represents hydrogen, C1-C6 alkyl, etc.; D represents oxygen or sulfur; and E represents a group bearing CH or nitrogen; R2 represents hydrogen, etc.; R3 represents 2,4-dioxothiazolidin-5-ylmethyl, etc.; A represents C1-C6 alkylene; and B represents oxygen or sulfur] are prepared I ameliorate insulin resistance, inhibit 5-lipoxygenase, and suppress lipid peroxide formation. Mice fed feed containing 0.01% 5-[4-[6-(4-hydroxyphenoxy)-1-methyl-1H-benzimidazol-2-ylmethoxy]benzyl]thiazolidine-2,4-dione showed 60.1% decrease in blood sugar.

IT 223132-86-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted fused heterocyclic compds. as pharmaceuticals)

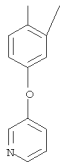
RN 223132-86-3 CAPLUS
CN Carbamic acid, [2-[[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]amino]-5-(3-pyridinyloxy)phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A

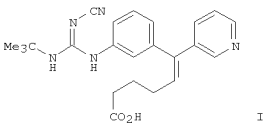


PAGE 2-A



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:190050 CAPLUS
DOCUMENT NUMBER: 130:325071
TITLE: Guanidine Derivatives as Combined Thromboxane A2 Receptor Antagonists and Synthase Inhibitors
AUTHOR(S): Soyka, Rainer; Guth, Brian D.; Weisenberger, Hans M.; Luger, Peter; Mueller, Thomas H.
CORPORATE SOURCE: Research and Development, Boehringer Ingelheim Pharma KG, Biberach, 88397, Germany
SOURCE: Journal of Medicinal Chemistry (1999), 42(7), 1235-1249
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

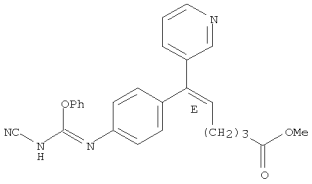
AB A new series of ω-disubstituted alkenoic acid derivs. derived from samixogrel were designed and synthesized as combined thromboxane A2 receptor antagonists/thromboxane A2 synthase inhibitors with improved solubility and reduced protein binding. Hexenoic acid derivs. with a 3-pyridyl group and a 3-[RR1NC(:NCN)NH]C6H4 substituent (R = H, Me, Me2CH, Me2CHCH2, Me2CHCH2CH2, Me3C, cyclopropyl, cyclopentyl, cyclohexyl, PhCH2, 3-pyridylmethyl, Me2NCH2CH2; R1 = H, Me) were found to be optimal with regard to this dual mode of action. The most potent compound, E-guanidinophenylpyridylhexenoic acid I, "terbogrel" inhibits the thromboxane A2 synthase in human gel-filtered platelets with an IC50 value of 4.0 ± 0.5 nM (n = 4). Radioligand binding studies with 3H-SQ 29,548 revealed that I blocks the thromboxane A2/endoperoxide receptor on washed human platelets with an IC50 of 11 ± 6 nM (n = 2) and with an IC50 of 38 ± 1 nM (n = 15) in platelet-rich plasma. I inhibits the collagen-induced platelet aggregation in human platelet-rich plasma and whole blood with an IC50 of 310 ± 18 nM (n = 8) and 52 ± 20 nM (n = 6), resp. This was shown to translate into a potent antithrombotic effect in vivo as demonstrated in studies using a model of arterial thrombosis in rabbits (ED50 = 0.19 ± 0.07 mg/kg; n = 20). I is the first compound with a guanidino moiety demonstrating both a potent TXA2 synthase inhibition and a potent TXA2 receptor antagonism and has been selected for further clin. development.

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

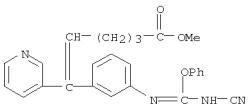
IT 149980-36-9P 149980-39-2P 149980-52-9P
150760-40-0P 150760-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of alkylguanidinophenylpyridylalkenoic acids as antithrombotics and inhibitors of TXA2 synthase and antagonists of the TXA2 receptor)

RN 149980-36-9 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[(cyanoamino)phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



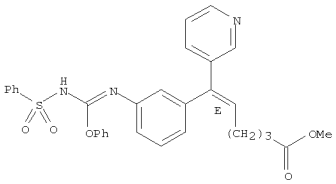
RN 149980-39-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)



RN 149980-52-9 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[phenoxy[(phenylsulfonyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

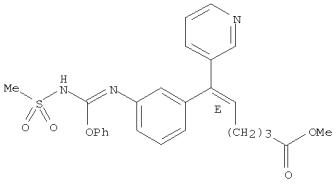
Double bond geometry as shown.

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 150760-40-0 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[[(methylsulfonyl)amino]phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

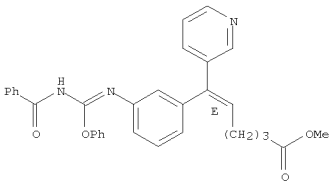
Double bond geometry as shown.



RN 150760-42-2 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[(benzoylamino)phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

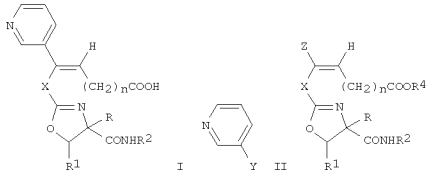


REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:3310 CAPLUS
DOCUMENT NUMBER: 130:52408
TITLE: Processes for the preparation of ω-(3-pyridinyl)-ω-[(carbamoyloxazolyl)phenyl] alkenoic acids with thromboxane receptor antagonism activity
INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 32 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849922	A	19981215	US 1997-862710	19970523
US 5990308	A	19991123	US 1998-151122	19980910
US 6031095	A	20000229	US 1998-150996	19980910
PRIORITY APPLN. INFO.:			US 1996-18749P	P 19960531
			US 1997-862710	A3 19970523

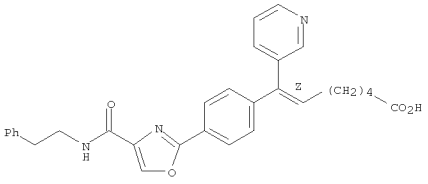
OTHER SOURCE(S): CASREACT 130:52408; MARPAT 130:52408
GI



AB The title compds. I [n = 2-5; X = 1,2-C6H4, 1,3-C6H4, 1,4-C6H4; R = R1 = H, RR1 = double bond; R2 = alkyl, alkenyl, alkynyl, 2-phenylcyclopropyl, C-4 substituted Ph, C-4 substituted cyclohexyl, R3-substituted alkyl or oxaalkyl [R3 = (un)substituted cycloalkyl, Ph, tetrahydropyranyl, morpholino, piperidino, pyrrolidino, etc.]] and their salts, which possess thromboxane receptor antagonism activity, inhibited thromboxane synthase, inhibited induced blood platelet aggregation, and demonstrated an absence of TXA2 agonist activity, were prepared by Stille coupling reactions of

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridines II and alkenes III (Y, Z = Br, iodo, F3CSO3, trialkylstannyl; R4 = carboxy protecting group) in the presence of a Stille palladium coupling catalyst. Alternatively, I were prepd. by Wittig olefination reactions of appropriate 3-pyridinyl oxazolylphenyl ketones.
IT 200400-82-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 200400-82-4 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

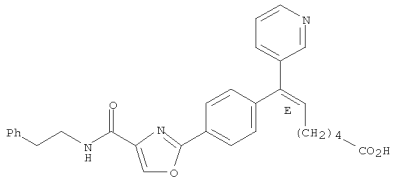
Double bond geometry as shown.



IT 200399-87-7P 200399-98-0P 200399-99-1P
200400-00-6P 200400-02-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (pyridinyl)[(carbamoyloxazolyl)phenyl] alkenoic acids with thromboxane receptor antagonism and thromboxane synthase inhibiting activity)
RN 200399-87-7 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

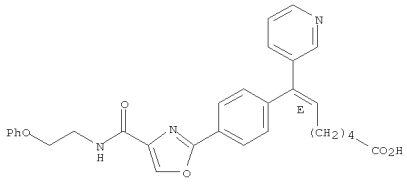
Double bond geometry as shown.

L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



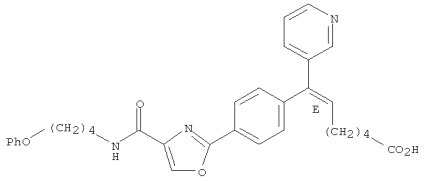
RN 200399-98-0 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



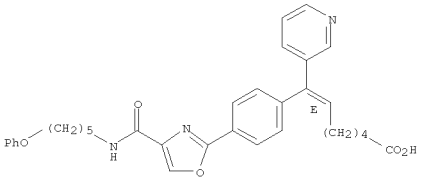
RN 200399-99-1 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



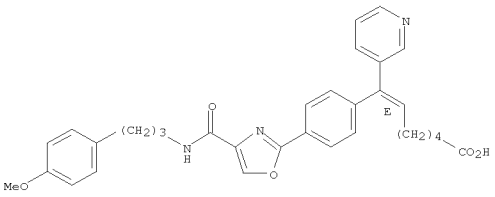
L4 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 200400-00-6 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200400-02-8 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

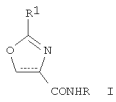


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:816109 CAPLUS
DOCUMENT NUMBER: 130:66485
TITLE: Preparation of ω-[(carbamoyl-2-oxazolyl)phenyl-ω-(3-pyridyl)alkenoates as thromboxane A2 antagonists
INVENTOR(S): Jakubowski, Joseph Anothony; Mais, Dale Eugene; Takeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849766	A	19981215	US 1997-862505	19970523
US 6075147	A	20000613	US 1998-148288	19980904
US 6114534	A	20000905	US 1998-148461	19980904
PRIORITY APPLN. INFO.:			US 1996-18595P	P 19960531
			US 1997-862505	A3 19970523

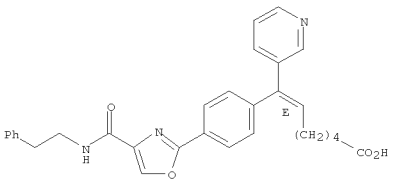
OTHER SOURCE(S): MARPAT 130:66485
GI



AB Title compds. [I; R = alk(en)yl, phenylalkyl, heterocyclylalkyl, etc.; R1 = ZCR2:CH(CH2)nCO2H; R2 = 3-pyridyl throughout; Z = phenylene; n = 2-5; dashed line = optional bond] were prepared as thromboxane receptor and synthase antagonists. Thus, Me (E)-7-(4-carboxyphenyl)-7-(3-pyridyl)-6-heptenoate was amidated by N-(4-cyclohexylbutyl)-O-(tert-butyldimethylsilyl)-L-serinamide (preparation each given) and the deprotected
product cyclized to give, after dehydrogenation and saponification, I [R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]-4, dashed line = bond].
Data for biol. activity of I were given.
IT 200399-87-7P 200399-98-0P 200399-99-1P

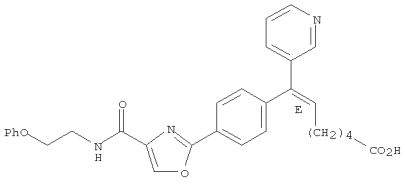
L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
200400-00-6P 200400-02-8P 200400-82-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ω-[(carbamoyl-2-oxazolyl)phenyl-ω-(3-pyridyl)alkenoates as thromboxane A2 antagonists)
RN 200399-87-7 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

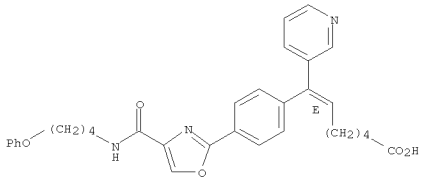
Double bond geometry as shown.



RN 200399-99-1 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

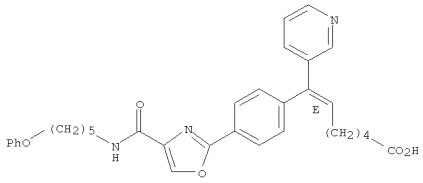
Double bond geometry as shown.

L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



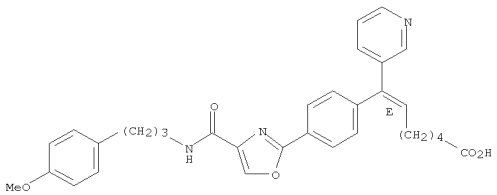
RN 200400-00-6 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



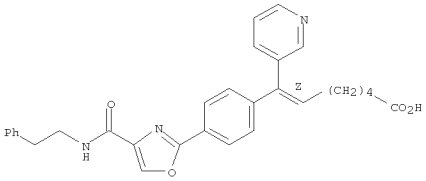
RN 200400-02-8 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 200400-82-4 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.

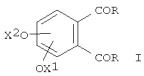


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:768050 CAPLUS
DOCUMENT NUMBER: 130:52236
TITLE: Preparation of dihydroxyphthalic acid diethers as squalene synthase inhibitors, their pharmaceutical uses, and their intermediates
INVENTOR(S): Ichikawa, Yuichiro; Niizuma, Setsuko; Abe, Masatoshi; Takahashi, Wataru; Ikeda, Tatsuji; Takashio, Kazutoshi
PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

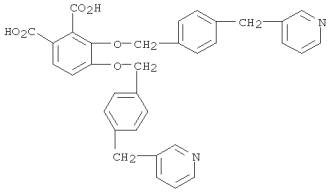
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316617	A	19981202	JP 1997-141169	19970516

PRIORITY APPLN. INFO.: JP 1997-141169 19970516
OTHER SOURCE(S): MARPAT 130:52236
GI

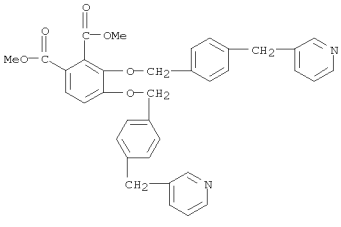


AB The title derivs. I [R = OH: X1, X2 = (un)substituted linear or branched C1-20 (un)saturated aliphatic hydrocarbyl, (un)substituted C2-8 alkyloxyalkyl, alkenyloxyalkyl, YZ [Y = (un)substituted C1-8 (hydroxy)alkyl, (un)substituted C2-8 alkyloxyalkyl, (un)substituted C2-8 alkylaminoalkyl; Z = (un)substituted aryl] (II); except the case where X1 = X2 = C1-3 alkyl, benzyl] and/or their pharmaceutically acceptable salts are prepared by hydrolyzing I [R = OR1, NR2R3; R1-3 = C1-6 alkyl, (un)substituted C7-10 aralkyl; X1, X2 = same as in II]. II and their salts are useful for treatment of infection, hypercholesterolemia, hyperlipemia, or atherosclerosis. IC50 of 3-farnesyloxy-4-[4-(3-phenoxyphenyl)butoxy]phthalic acid (preparation given) against Aspergillus fumigatus squalene synthase was 0.41 μg/mL. Antifungal activity against A. fumigatus and Candida albicans, and cholesterol formation-inhibiting action of II were also shown.
IT 217098-16-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

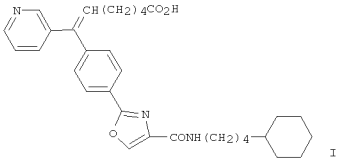
L4 ANSWER 48 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydroxyphthalic acid diethers as squalene synthase inhibitors and pharmaceutical uses and intermediates)
RN 217098-16-3 CAPLUS
CN 1,2-Benzenedicarboxylic acid, 3,4-bis[[4-(3-pyridinylmethyl)phenyl]methoxy]- (CA INDEX NAME)



IT 217098-15-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydroxyphthalic acid diethers as squalene synthase inhibitors and pharmaceutical uses and intermediates)
RN 217098-15-2 CAPLUS
CN 1,2-Benzenedicarboxylic acid, 3,4-bis[[4-(3-pyridinylmethyl)phenyl]methoxy]-, dimethyl ester (9CI) (CA INDEX NAME)



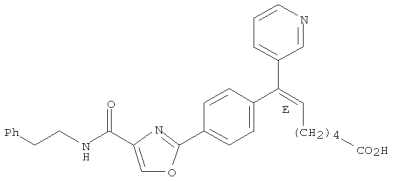
L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:756609 CAPLUS
DOCUMENT NUMBER: 130:110182
TITLE: Development of Dual-Acting Agents for Thromboxane Receptor Antagonism and Thromboxane Synthase Inhibition. 3. Synthesis and Biological Activities of Oxazolecarboxamide-Substituted ω-Phenyl-ω-(3-pyridyl)alkenoic Acid Derivatives and Related Compounds
AUTHOR(S): Takeuchi, Kumiko; Kohn, Todd J.; True, Timothy A.; Mais, Dale E.; Wikel, James H.; Utterback, Barbara G.; Wyss, Virginia L.; Jakubowski, Joseph A.
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(27), 5362-5374
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A novel series of oxazolecarboxamide-substituted ω-phenyl-ω-(3-pyridyl)alkenoic acid derivs. was discovered as potent dual-acting agents to block the TXA2 receptor and to inhibit the thromboxane synthase (TRA/TSI). Synthesis, structure-activity relationship (SAR), and in vitro and in vivo pharmacol. of this series of compds. are described. Modification of the series revolved around the oxazole moiety to increase the hydrophilicity of the compds. and to correlate the biol. activity with lipophilicity of the compds. The most potent in the series was (E)-7-[4-[4-[[(4-cyclohexylbutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridyl)hept-6-enoic acid (I) with Kd = 9.9 ± 0.4 nM for thromboxane receptor antagonism and IC50 = 55.0 ± 17.9 nM for thromboxane synthase inhibition. I was a selective TRA/TSI which exhibited desirable characteristics for oral activity, shunt effect to elevate PGI2 level, and absence of agonist activity.
IT 200399-87-7P 200399-98-0P 200399-99-1P 200400-00-6P 200400-02-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

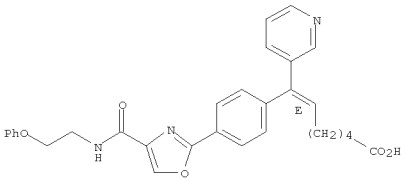
L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and thromboxane receptor antagonist and thromboxane synthase inhibitor activity of carbamoyloxazolylphenyl(pyridyl)heptenoic acids)
RN 200399-87-7 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

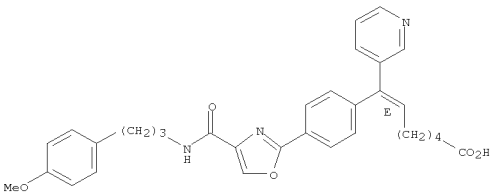
Double bond geometry as shown.



RN 200399-99-1 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

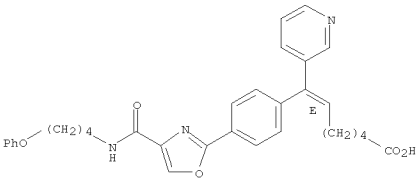
Double bond geometry as shown.

L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



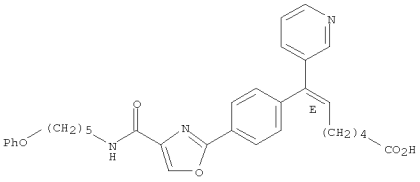
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 200400-00-6 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200400-02-8 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

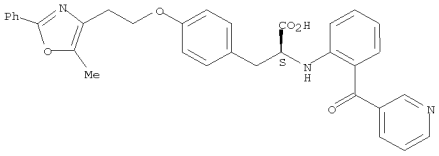
L4 ANSWER 50 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:713390 CAPLUS
DOCUMENT NUMBER: 130:104775
TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPARγ Agonists. 3. Structure-Activity Relationship and Optimization of
the N-Aryl Substituent
AUTHOR(S): Cobb, Jeff E.; Blanchard, Steven G.; Boswell, Evan G.;
Brown, Kathleen K.; Charifson, Paul S.; Cooper, Joel P.; Collins, Jon L.; Dezube, Milana; Henke, Brad R.; Hull-Ryde, Emily A.; Lake, Debra H.; Lenhard, James M.; Oliver, William, Jr.; Oplinger, Jeffery; Pentti, Mila; Parks, Derek J.; Plunket, Kelli D.; Tong, Wei-Qin
CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(25), 5055-5069
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 3-{4-[2-(Benzoxazol-2-ylmethylamino)ethoxy]phenyl}-(2S)-((2-benzoylphenyl)amino)propionic acid (I) and (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl}propionic acid (II) are peroxisome proliferator-activated receptor γ (PPARγ) agonists and have antidiabetic activity in rodent models of type 2 diabetes. As part of an effort to develop the SAR of the N-2-benzoylphenyl moiety of I and II, a series of novel carboxylic acid analogs, modified only in the N-2-benzoylphenyl moiety were synthesized from L-tyrosine and evaluated as
PPARγ agonists. In general, only modest changes in the N-2-benzoylphenyl moiety of I and II are tolerated. More specifically, the best changes involve bioisosteric replacement of one of the two Ph rings of this moiety. Addition of substituents to this moiety generally produced compds. that are less active in the cell-based functional assays of PPARγ activity although binding affinity to PPARγ may be maintained. A particularly promising set of analogs is the anthranilic acid esters in which the Ph ring in the 2-benzoyl group of I and II has been replaced by an alkoxy group. In particular, (S)-2-(1-carboxy-2-{4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl}ethylamino)benzoic acid Me ester (III) has a pKi of 8.43 in the binding assay using human PPARγ ligand binding domain and a pEC50 of 9.21 in the in vitro murine lipogenesis functional assay of PPARγ activity. Finally, III was found to normalize glycemia when dosed at 3 mg/kg bid po in the Zucker diabetic fatty rat model of type 2 diabetes.
IT 196808-91-0P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological

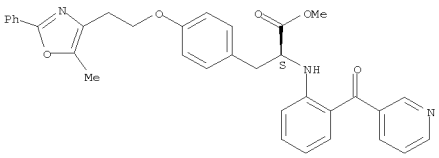
L4 ANSWER 50 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(prepn. and structure activity relations of N-(2-benzoylphenyl)-L-tyrosine PPARγ agonists)
RN 196808-91-0 CAPLUS
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 196810-77-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and structure activity relations of N-(2-benzoylphenyl)-L-tyrosine PPARγ agonists)
RN 196810-77-2 CAPLUS
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



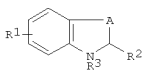
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:163566 CAPLUS
DOCUMENT NUMBER: 128:204806
TITLE: Preparation of indole derivatives as phospholipase enzyme inhibitors
INVENTOR(S): Xiang, Yibin; Bemis, Jean; McKew, John; Kaila, Neelu
PATENT ASSIGNEE(S): Genetics Institute, Inc., USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

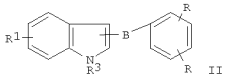
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808818	A1	19980305	WO 1997-US14943	19970826
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2264020	A1	19980305	CA 1997-2264020	19970826
AU 9740882	A	19980319	AU 1997-40882	19970826
AU 717430	B2	20000323		
EP 922028	A1	19990616	EP 1997-938589	19970826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000516958	T	20001219	JP 1998-511798	19970826

PRIORITY APPLN. INFO.: US 1996-703115 A 19960826
WO 1997-US14943 W 19970826
OTHER SOURCE(S): MARPAT 128:204806
GI

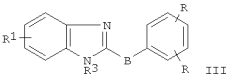
L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



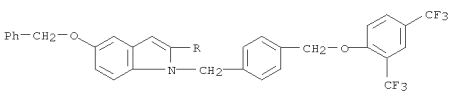
II

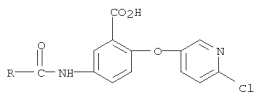


III

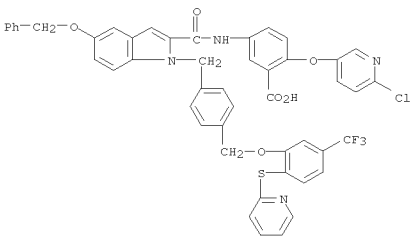
AB Title compds. I, II, III (A is independent of any other group and is selected from the group consisting of -CH2- and -CH2-CH2-; B is independent of any other group and is selected from the group consisting of -(CH2)n-, -(CH2O)n-, -(CH2S)n-, -(OCH2)n-, -(SCH2)n-, -(CH=CH)n-, -(C.tplbond.C)n-, -CON(R6)-, -N(R6)CO-, -O-, -S- and -N(R6)-; R2 is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR5, -CONR5R6, -(CH2)n-W-(CH2)m-Z-R5, -(CH2)n-W-R5, -Z-R5, C1-C10 alkyl, alkenyl and substituted aryl; R3 is independent of any other R group and is selected from the group consisting of -H, -COOH, -COR5, -CONR5R6, -(CH2)n-W-(CH2)m-Z-R5, -(CH2)n-W-R5, -Z-R5 wherein:, C1-C10 alkyl, alkenyl and substituted aryl) and a pharmaceutically acceptable salt thereof; which inhibit the activity of phospholipase enzymes, particularly cytosolic phospholipase A2 were prepared Pharmaceutical compns. comprising such compds. and methods of treatment using such compns. are also disclosed.
IT 204016-36-4P 204016-37-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as phospholipase enzyme inhibitors)
RN 204016-36-4 CAPLUS
CN Benzoic acid, 5-[[[1-[[4-[[2,4-bis(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-2-[(6-chloro-3-pyridinyl)oxy]- (CA INDEX NAME)

L4 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)





RN 204016-37-5 CAPLUS
CN Benzoic acid, 2-[(6-chloro-3-pyridinyl)oxy]-5-[[[5-(phenylmethoxy)-1-[[4-[[2-(2-pyridinylthio)-5-(trifluoromethyl)phenoxy]methyl]phenyl]methyl]-1H-indol-2-yl]carbonyl]amino]- (CA INDEX NAME)

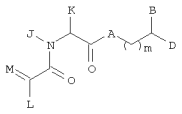


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

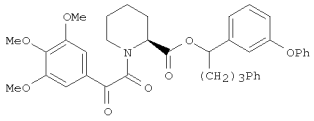
L4 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:157415 CAPLUS
DOCUMENT NUMBER: 128:205136
TITLE: Preparation of acylated amino acid derivatives for multi-drug resistance therapies and immune suppression.
INVENTOR(S): Armistead, David M.; Harding, Matthew W.; Saunders, Jeffrey O.; Boger, Joshua S.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: U.S., 34 pp., Cont.-in-part of U.S. 5,620,971.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5723459	A	19980303	US 1995-377315	19950124
<--				
US 5620971	A	19970415	US 1994-217982	19940325
<--				
PRIORITY APPLN. INFO.:			US 1991-697785 B2	19910509
<--				
			US 1992-881152 B2	19920511
<--				
			US 1992-952299 B2	19920928
<--				
			US 1993-127814 B2	19930928
<--				
			US 1994-217982 A2	19940325
<--				

OTHER SOURCE(S): MARPAT 128:205136
GI



I

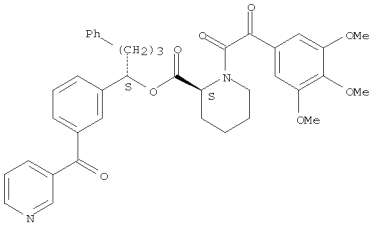


III

AB The present invention relates to novel acylated amino acid esters I [A = CH2, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH2Ph; K = alkyl, CH2Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them, which possess a broad range of useful biol. activities. These compds. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported, including both single and mixed diastereomers. Thus, 3-PhOC6H4CH2OH underwent oxidation to the aldehyde and reaction with Ph(CH2)3MgBr to give the racemic alc. 3-PhOC6H4CH(OH)(CH2)3Ph (II). Esterification of II with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecolic acid (preparation given) yielded ester III as a mixture of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.
IT 159997-79-2P 159997-80-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

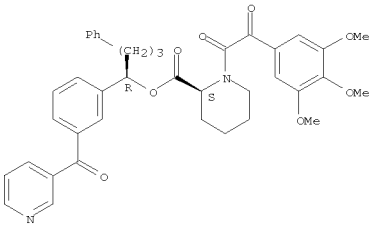
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)
RN 159997-79-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159997-80-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

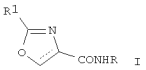


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:116096 CAPLUS
DOCUMENT NUMBER: 128:140692
TITLE: Preparation of ω-[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors
INVENTOR(S): Nelson, Katrina Ann; Nunes, Joseph John
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 52 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

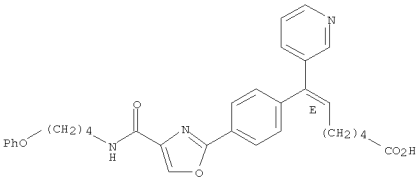
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 816361	A2	19980107	EP 1997-303656	19970529
EP 816361	A3	19980408		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CA 2206469	A1	19971130	CA 1997-2206469	19970528
JP 10059966	A	19980303	JP 1997-141619	19970530
PRIORITY APPLN. INFO.:			US 1996-18749P	P 19960531
			GB 1996-13219	A 19960625
OTHER SOURCE(S):	MARPAT 128:140692			

GI



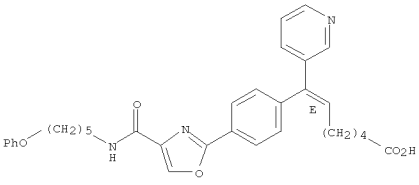
AB Title compds. [I; R = alk(en)yl, cycloalkylalkyl, phenylalkyl, etc.; R1 = ZCR2:CH(CH2)nCO2H; R2 = 3-pyridiyl; Z = phenylene; n = 2-5; dashed line = optional addnl. bond] were prepared Thus, 4-(Me3CMe2SiO)C6H4CHO was condensed with 3-bromopyridine and the oxidized product condensed with BrPh3P(CH2)5CO2H to give, in 2 addnl. steps, (E)-4-(HO2C)C6H4CR2:CH(CH2)4CO2Me (R2 = 3-pyridiyl) which was condensed with (S)-Me3CMe2SiOCH2CH(NH2)CONHR (R = 4-cyclohexylbutyl)(preparation given) to give, in 3 addnl. steps, I [R = 4-cyclohexylbutyl, R1 = (E)-C6H4[CR2:CH(CH2)4CO2H]-4, R2 = 3-pyridiyl, dashed line = addnl. bond].
Data for biol. activity of I were given.
IT 200399-87-7P 200399-98-0P 200399-99-1P

L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



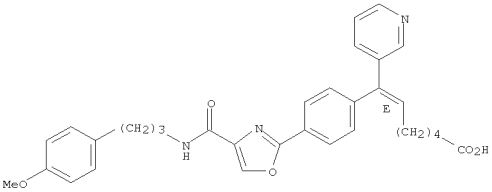
RN 200400-00-6 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



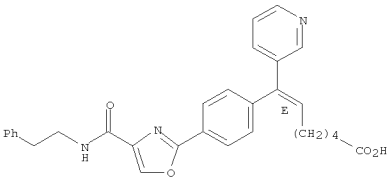
RN 200400-02-8 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



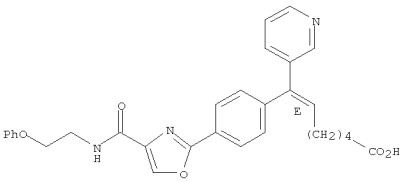
L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
200400-00-6P 200400-02-8P 201993-62-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ω-[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors)
RN 200399-87-7 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

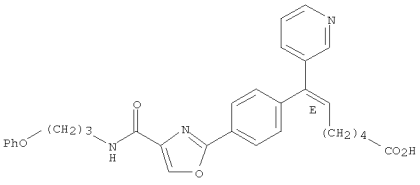


RN 200399-99-1 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.

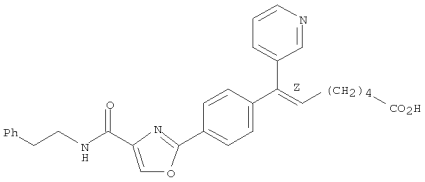
L4 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 201993-62-6 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(3-phenoxypropyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 200400-82-4P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of ω-[(carbamoyloxazolyl)phenyl]alkenoic acids as thromboxane receptor and synthase inhibitors)
RN 200400-82-4 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:801923 CAPLUS
DOCUMENT NUMBER: 128:61507
TITLE: Preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists
INVENTOR(S): Jakubowski, Joseph Anthony; Mais, Dale Eugene; Takeuchi, Kumiko
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 811621	A2	19971210	EP 1997-303662	19970529
EP 811621	A3	19980204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CA 2206466	A1	19971130	CA 1997-2206466	19970528
JP 10059965	A	19980303	JP 1997-141590	19970530
PRIORITY APPLN. INFO.:			US 1996-18595P	P 19960531
			GB 1996-13222	A 19960625

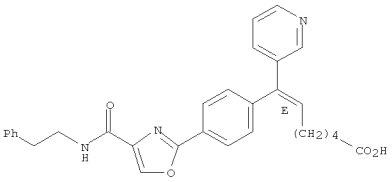
OTHER SOURCE(S): MARPAT 128:61507
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; n = 2-5; L = ortho-, meta- or para-phenylene; Ra = H; RaRa = a bond; R = C3-12 alkyl, C3-12 alkenyl, C3-12 alkynyl, etc.] in either the E-form, the Z-form or a mixture thereof, which are ω-phenyl-ω-(3-pyridyl)-ω-alkenoic acid derivs. bearing a carbamoyl substituted oxazolyl or oxazolinyl group on the Ph ring and which demonstrate utility for thromboxane receptor antagonism and/or thromboxane synthase inhibition, were prepared and formulated. Thus, reaction of the acid II with L-serinamide III in the presence of HOBT and DCC in THF followed by TBS-group removal, cyclization of the resulting hydroxybisamide IV in the presence of PPh3, iPr2NEt in CCl4/MeCN, and hydrolysis of the ester V afforded the acid (4S)-(E)-VI which showed IC50 of 82.1 nM against thromboxane synthase.
IT 200399-87-7P 200399-98-0P 200399-99-1P
200400-00-6P 200400-02-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

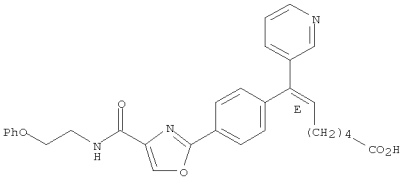
L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)
RN 200399-87-7 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 200399-98-0 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenoxyethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

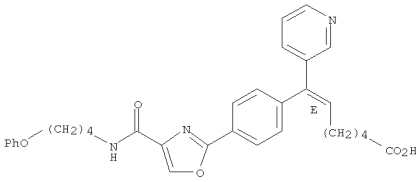
Double bond geometry as shown.



RN 200399-99-1 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(4-phenoxybutyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

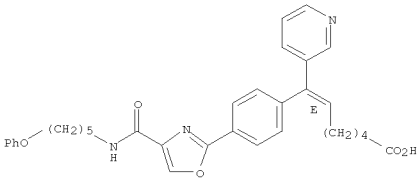
Double bond geometry as shown.

L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



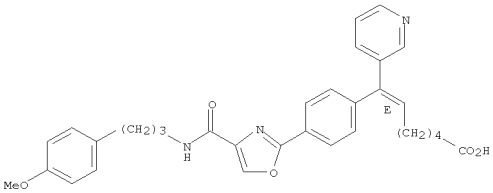
RN 200400-00-6 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(5-phenoxypentyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



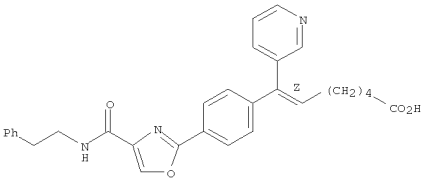
RN 200400-02-8 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[[3-(4-methoxyphenyl)propyl]amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



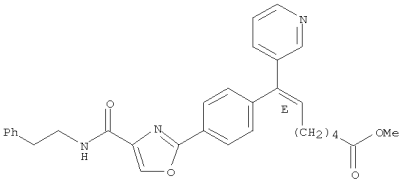
L4 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 200400-82-4P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)
RN 200400-82-4 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, (6Z)- (CA INDEX NAME)

Double bond geometry as shown.



IT 200400-60-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbamoyl-substituted oxazoles as thromboxane receptor antagonists)
RN 200400-60-8 CAPLUS
CN 6-Heptenoic acid, 7-[4-[4-[[(2-phenylethyl)amino]carbonyl]-2-oxazolyl]phenyl]-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

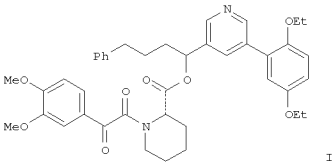


L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:679057 CAPLUS
DOCUMENT NUMBER: 127:346300
TITLE: Preparation of N-(aryloxalyl)piperidine-2-carboxylates and analogs as multidrug resistance inhibitors
INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736869	A1	19971009	WO 1997-US4916	19970324
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5717092	A	19980210	US 1996-626259	19960329
CA 2249369	A1	19971009	CA 1997-2249369	19970324
AU 9723465	A	19971022	AU 1997-23465	19970324
EP 891331	A1	19990120	EP 1997-916231	19970324
EP 891331	B1	20031001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000507578	T	20000620	JP 1997-535395	19970324
AT 251140	T	20031015	AT 1997-916231	19970324
PT 891331	T	20040227	PT 1997-916231	19970324
ES 2208890	T3	20040616	ES 1997-916231	19970324
US 5935954	A	19990810	US 1997-961551	19971030
PRIORITY APPLN. INFO.:			US 1996-626259 A	19960329
			WO 1997-US4916 W	19970324

OTHER SOURCE(S): MARPAT 127:346300
GI

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

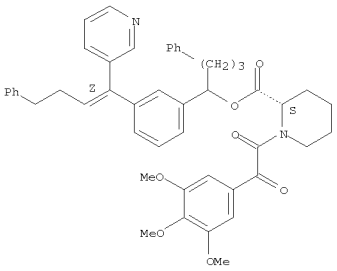


I

AB Title compds, e.g., RZ1Z2COZ3C(Z4R1)Z5R2 [R = 1-alkyl-4-piperidinyl, (4-alkyl)-1-piperazinyl, alk(en)yl, (hetero)aryl, etc.; R1 = 1-alkyl-4-piperidinyl, (4-alkyl)-1-piperazinyl, cycloalk(en)yl, (hetero)aryl, etc.; R2 = (hetero)aryl, halo, OH, etc.; Z1 = SO2, COCO; Z2 = pyrrolidine- or piperidine-1,2-diyl; Z3 = O, CH2, (alkyl)imino; Z4 = (heteroatom-interrupted) alk(en)ylene, alkynylene; Z5 = (hetero)arylene] were prepared Thus, 3-bromo-5-(4-phenylbutyryl)pyridine was condensed with 2,5-(EtO)2C6H3B(OH)2 (preparation each given) and the reduced product esterified by (S)-N-(2-trimethylsilylethoxycarbonyl)pipecolinic acid and the deprotected product N-acylated by 3,4-(MeO)2C6H3COCO2H to give title compound I. Data for biol. activity of title compds. were given.
IT 198130-60-8P 198130-61-9P 198130-62-0P
198130-65-3P 198130-72-2P 198130-73-3P
198130-82-4P 198130-83-5P 198130-84-6P
198130-85-7P 198130-86-8P 198130-87-9P
198130-90-4P 198130-91-5P 198130-92-6P
198130-93-7P 198130-94-8P 198130-95-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(aryloxalyl)piperidine-2-carboxylates and analogs as multidrug resistance inhibitors)
RN 198130-60-8 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [2S-[2R*(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

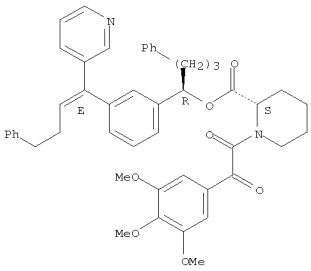
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-61-9 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [S-[R*,R*-(E)]]- (9CI) (CA INDEX NAME)

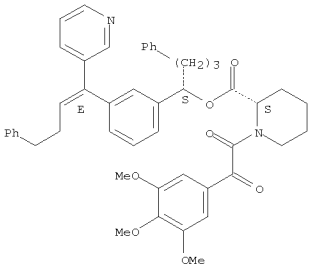
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



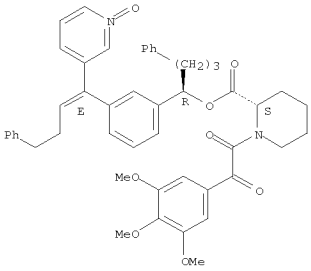
RN 198130-65-3 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 1-[3-[1-(1-oxido-3-pyridinyl)-4-phenyl-1-butenyl]phenyl]-4-phenylbutyl ester, [R-[R*,S*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-62-0 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[4-phenyl-1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [R-[R*,S*-(E)]]- (9CI) (CA INDEX NAME)

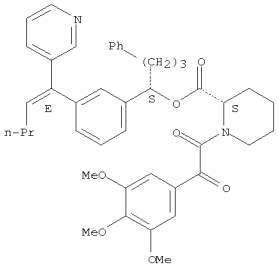
Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-72-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]butyl ester, [S-[R*,R*-(E)]]- (9CI) (CA INDEX NAME)

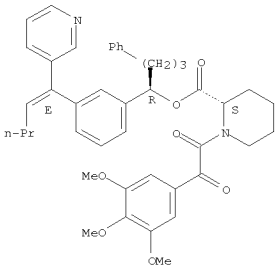
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



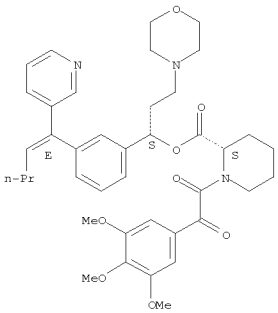
RN 198130-73-3 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]butyl ester, [R-[R*,S*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



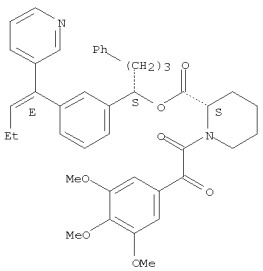
RN 198130-82-4 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester,

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-84-6 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [S-[R*,R*-(E)]]- (9CI) (CA INDEX NAME)

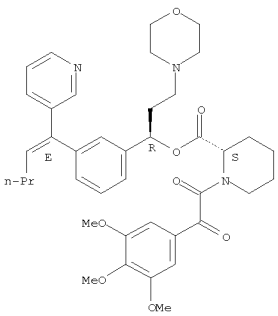
Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-85-7 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-butenyl]phenyl]butyl ester, [R-[R*,S*-(E)]]- (9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
[R-[R*,S*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

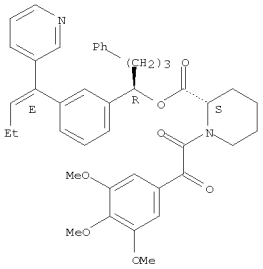


RN 198130-83-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [S-[R*,R*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

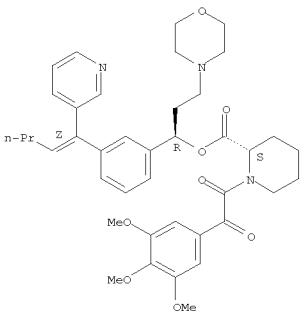
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-86-8 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [R-[R*,S*-(Z)]]- (9CI) (CA INDEX NAME)

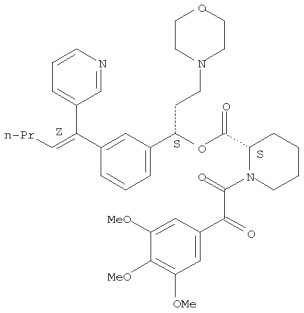
Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-87-9 CAPLUS

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-pentenyl]phenyl]propyl ester, [S-[R*,R*-(Z)]]- (9CI) (CA INDEX NAME)

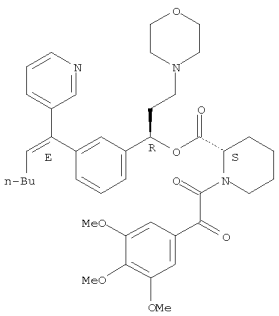
Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-90-4 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]propyl ester, [R-[R*,S*-(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

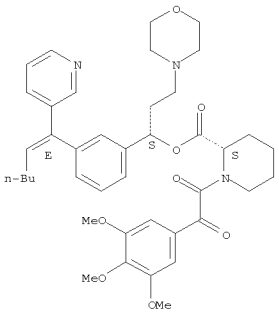
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-91-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 3-(4-morpholinyl)-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]propyl ester, [S-[R*,R*-(E)]]- (9CI) (CA INDEX NAME)

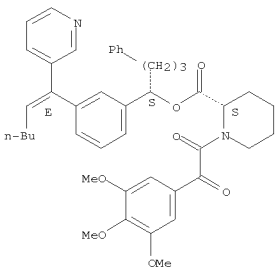
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-92-6 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]butyl ester, [S-[R*,R*-(E)]]- (9CI) (CA INDEX NAME)

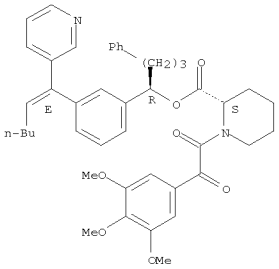
Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-93-7 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-[1-(3-pyridinyl)-1-hexenyl]phenyl]butyl ester, [R-[R*,S*-(E)]]- (9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

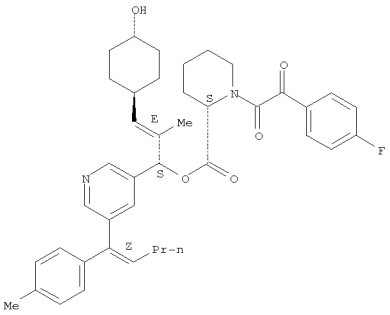
Absolute stereochemistry.
Double bond geometry as shown.



RN 198130-94-8 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[(4-fluorophenyl)oxoacetyl]-, 3-(4-hydroxycyclohexyl)-2-methyl-1-[5-[1-(4-methylphenyl)-1-pentenyl]-3-pyridinyl]-2-propenyl ester, [1(1S)-[1α[1R*(R*),1(Z),2E],4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

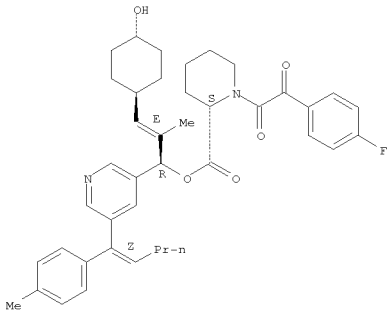
L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 198130-95-9 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[(4-fluorophenyl)oxoacetyl]-, 3-(4-hydroxycyclohexyl)-2-methyl-1-[5-[1-(4-methylphenyl)-1-pentenyl]-3-pyridinyl]-2-propenyl ester, [1(1R)-[1α[1R*(S*),1(Z),2E],4β]]- (9CI) (CA INDEX NAME)

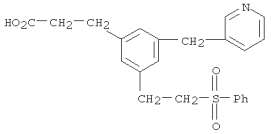
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



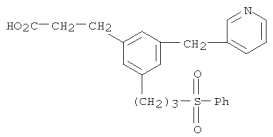
L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:631662 CAPLUS
DOCUMENT NUMBER: 127:234285
TITLE: Thromboxane Modulating Agents. 3. 1H-Imidazol-1-ylalkyl- and 3-Pyridinylalkyl-Substituted 3-[2-[(Arylsulfonyl)amino]ethyl]benzenepropanoic Acid Derivatives as Dual Thromboxane Synthase Inhibitor/Thromboxane Receptor Antagonists
AUTHOR(S): Dickinson, Roger P.; Dack, Kevin N.; Long, Clive J.; Steele, John
CORPORATE SOURCE: Pfizer Central Research, Sandwich/Kent, CT13 9NJ, UK
SOURCE: Journal of Medicinal Chemistry (1997), 40(21), 3442-3452
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The design of a series of dual thromboxane synthase inhibitor/thromboxane receptor antagonists based on a 3-[2-[(arylsulfonyl)amino]ethyl]benzenepropanoic acid thromboxane receptor antagonist template is described. Introduction of a 5-(1H-imidazol-1-ylmethyl), a 5-(3-pyridinylmethyl), or a 5-(3-pyridinyloxy) substituent leads to dual agents with thromboxane synthase inhibitory activity comparable with that of dazmegrel. In addition, 3-pyridinylalkyl substituents also make a significant contribution to thromboxane receptor binding. Oral administration of compound 74 (5 mg/kg) to conscious dogs produces long-lasting thromboxane synthase inhibition and thromboxane receptor blockade as measured by inhibition of U46619-induced platelet aggregation ex vivo.
IT 145692-11-1P 145692-12-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of imidazolyl- and pyridinyl-substituted [[(arylsulfonyl)amino]ethyl]benzenepropanoic acids as dual thromboxane synthase inhibitor/thromboxane receptor antagonists)
RN 145692-11-1 CAPLUS
CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)- (CA INDEX NAME)

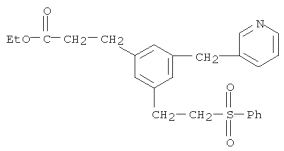


RN 145692-12-2 CAPLUS
CN Benzenepropanoic acid, 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)- (CA INDEX NAME)

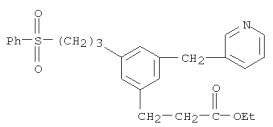
L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 145691-13-0P 145691-14-1P 145691-70-9P 145691-71-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazolyl- and pyridinyl-substituted [[(arylsulfonyl)amino]ethyl]benzenepropanoic acids as dual thromboxane synthase inhibitor/thromboxane receptor antagonists)
RN 145691-13-0 CAPLUS
CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-, ethyl ester (CA INDEX NAME)

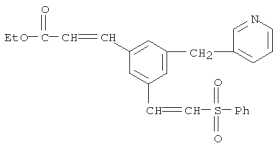


RN 145691-14-1 CAPLUS
CN Benzenepropanoic acid, 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-, ethyl ester (CA INDEX NAME)

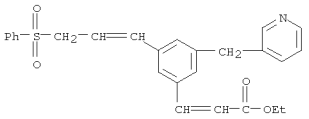


RN 145691-70-9 CAPLUS
CN 2-Propenoic acid, 3-[3-[2-(phenylsulfonyl)ethenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (CA INDEX NAME)

L4 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 145691-71-0 CAPLUS
CN 2-Propenoic acid, 3-[3-[3-(phenylsulfonyl)-1-propenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:594721 CAPLUS
DOCUMENT NUMBER: 127:278064
TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma
INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.; Kaldor, Istvan; Henke, Brad Richard; Deaton, David Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et al.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731907	A1	19970904	WO 1997-EP916	19970226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
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AU 717699	B2	20000330		
ZA 9701645	A	19971210	ZA 1997-1645	19970226
EP 888317	A1	19990107	EP 1997-906130	19970226
EP 888317	B1	20010912		
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CN 1093124	B	20021023		
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HU 2000004845	A3	20010730		
IL 125796	A	20010614	IL 1997-125796	19970226

L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AT 205485	T	20010915	AT 1997-906130	19970226
ES 2163125	T3	20020116	ES 1997-906130	19970226
PT 888317	T	20020328	PT 1997-906130	19970226
SK 282753	B6	20021203	SK 1998-1163	19970226
HR 970110	B1	20030630	HR 1997-110	19970226
IN 1997DE00491	A	20050311	IN 1997-DE491	19970226
CZ 295383	B6	20050713	CZ 1998-2750	19970226
PL 191118	B1	20060331	PL 1997-328871	19970226
TW 391958	B	20000601	TW 1997-86102826	19970307
US 6294580	B1	20010925	US 1998-125750	19980825
NO 9803940	A	19981027	NO 1998-3940	19980827
NO 311516	B1	20011203		
HK 1015369	A1	20020215	HK 1999-100498	19990205

PRIORITY APPLN. INFO.: GB 1996-4242 A 19960228
WO 1997-EP916 W 19970226

OTHER SOURCE(S): MARPAT 127:278064

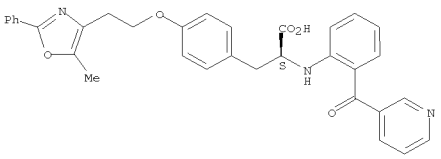
AB Compds. 4-(A-B-O)C6H4-Q-CHZCO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylenephenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 = alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

IT 196808-91-0P 196814-71-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196808-91-0 CAPLUS
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]- (CA INDEX NAME)

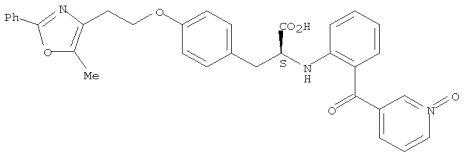
Absolute stereochemistry.

L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 196814-71-8 CAPLUS
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-[(1-oxido-3-pyridinyl)carbonyl]phenyl]- (CA INDEX NAME)

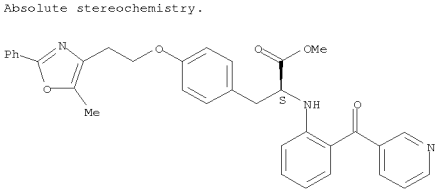
Absolute stereochemistry.



IT 196810-77-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196810-77-2 CAPLUS
CN L-Tyrosine, O-[2-(5-methyl-2-phenyl-4-oxazolyl)ethyl]-N-[2-(3-pyridinylcarbonyl)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 58 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:480406 CAPLUS
DOCUMENT NUMBER: 127:101723
TITLE: Developing agent for silver halide photographic material and processing method using it
INVENTOR(S): Komatsu, Hideki; Nishio, Shoji
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 73 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09152687	A	19970610	JP 1995-312247	19951130

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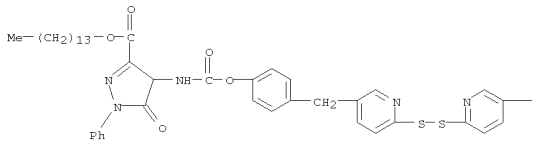
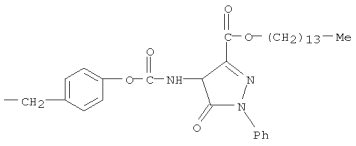
PRIORITY APPLN. INFO.: JP 1995-312247 19951130
<--
OTHER SOURCE(S): MARPAT 127:101723
AB The agent contains an ascorbic acid derivative R1C(OM1):C(OM2)XkR2 [R1-2 =
(un)substituted alkyl, amino, alkoxy, alkylthio; R1 and R2 may form ring; k = 0, 1; X = CO, CS if k = 1; M1-2 = H, alkali metal] and a redox compound releasing a development inhibitor by oxidation and does not contain hydroquinones. The material is processed by using the agent. The agent shows improved dot reproduction quality.
IT 191655-14-8
RL: TEM (Technical or engineered material use); USES (Uses)
(ascorbic acid developer containing redox compound for silver halide photog. material)
RN 191655-14-8 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4,4'-[dithiobis(2,5-pyridinediylmethylene-4,1-phenyleneoxycarbonylimino)]bis[4,5-dihydro-5-oxo-1-phenyl-, ditetradecyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 58 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:307496 CAPLUS
DOCUMENT NUMBER: 126:272378
TITLE: Methods and compositions for stimulating neurite growth using compounds with affinity for FKBP12 in combination with neurotrophic factors
INVENTOR(S): Armistead, David M.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: S. African, 54 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 9604852	A	19960729	ZA 1996-4852	19960607

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US 6037370 A 20000314 US 1995-486004 19950608
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CA 2222430 A1 19961227 CA 1996-2222430 19960606
<--
WO 9641609 A2 19961227 WO 1996-US10123 19960606
<--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
AU 9661119 A 19970109 AU 1996-61119 19960606
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EP 831812 A2 19980401 EP 1996-918469 19960606
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EP 831812 B1 20051207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
CN 1202104 A 19981216 CN 1996-195690 19960606
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BR 9609333 A 19991013 BR 1996-9333 19960606
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NZ 310339 A 20000327 NZ 1996-310339 19960606
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NZ 501709 A 20001027 NZ 1996-501709 19960606
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JP 2002502355 T 20020122 JP 1997-503275 19960606
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IL 122346 A 20020523 IL 1996-122346 19960606
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IL 136118 A 20021201 IL 1996-136118 19960606
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RU 2197240 C2 20030127 RU 1998-100456 19960606
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PL 185798 B1 20030731 PL 1996-328723 19960606
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AT 311875 T 20051215 AT 1996-918469 19960606
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EP 1666037 A2 20060607 EP 2005-26521 19960606
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L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

EP 1666037 A3 20060621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
ES 2255077 T3 20060616 ES 1996-918469 19960606
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US 6124328 A 20000926 US 1997-795956 19970228
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AU 2000043801 A 20000907 AU 2000-43801 20000703
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AU 757406 B2 20030220
US 6326387 B1 20011204 US 2000-616539 20000714
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JP 2007308517 A 20071129 JP 2007-227995 20070903
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PRIORITY APPLN. INFO.: US 1995-486004 A 19950608
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AU 1996-61119 A3 19960606
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EP 1996-918469 A3 19960606
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IL 1996-122346 A3 19960606
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JP 1997-503275 A3 19960606
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NZ 1996-310339 A1 19960606
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WO 1996-US10123 W 19960606
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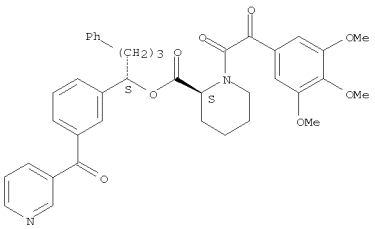
US 1997-795956 A3 19970228
<--
OTHER SOURCE(S): MARPAT 126:272378
AB A pharmaceutically acceptable composition is disclosed which comprises (a) a neurotrophic amount of a compound with affinity for FK-506-binding protein FKBP12 e.g. having the formula BAC(:O)CH(K)N(J)C(:O)C(:E)D [A = O, NH, N(C1-4 alkyl); B = H, C1-6 (branched) alkyl, C2-6 (branched) alkenyl, C5-7 cycloalkyl, etc.; D = U; E = O, CHU (if D = H, then E = CH-U; if E = O, then D is not H); U = H, O-(C1-4)-straight or branched alkyl, O-(C2-4)-straight or branched alkenyl, C1-6 (branched) alkyl, C2-6 (branched) alkenyl, (substituted) C5-7 cycloalkyl, (substituted) C5-7 cycloalkenyl, etc.; J = H, C1-2 alkyl; K = C1-4 (branched) alkyl, benzyl, cyclohexylmethyl, or J and K taken together form 5-7 membered heterocyclic ring which may contain O, S, SO, SO2; and the stereochem. at carbon to which K is bonded = R or S] and pharmaceutically acceptable derivs. thereof; (b) a neurotrophic factor; and (c) a pharmaceutically carrier. The neurotrophic factor may be e.g. nerve growth factor. The methodol. of the invention can be used to promote repair of neuronal damage caused by disease or phys. trauma.
IT 159997-79-2 159997-80-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

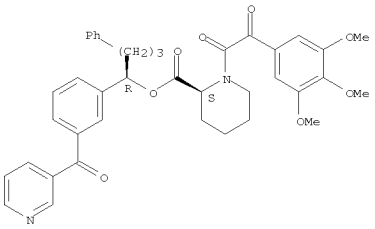
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(compds. with affinity for FKBP12 in combination with neurotrophic factors for stimulating neurite growth)
RN 159997-79-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159997-80-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:276774 CAPLUS
DOCUMENT NUMBER: 126:343875
TITLE: Preparation of acylated amino acid derivatives for multi-drug resistance therapies and immune suppression.
INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.; Boger, Joshua S.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: U.S., 35 pp., Cont.-in-part of U.S. Ser. No. 881,152, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620971	A	19970415	US 1994-217982	19940325
US 5723459	A	19980303	US 1995-377315	19950124

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PRIORITY APPLN. INFO.: US 1991-697785 B2 19910509
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US 1992-881152 B2 19920511
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US 1992-952299 B2 19920928
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US 1993-127814 B2 19930928
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US 1994-217982 A2 19940325
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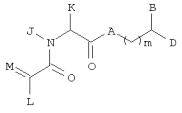
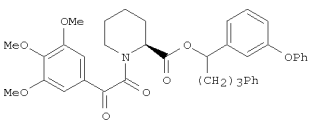
OTHER SOURCE(S): MARPAT 126:343875
GI



I



III

L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

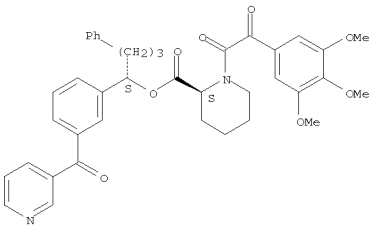
AB The present invention relates to novel acylated amino acid esters I [A = CH2, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH2Ph; K = alkyl, CH2Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them, which possess a broad range of useful biol. activities. These compds. can maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents. They can also suppress, modify, or significantly reduce an immune response, including an autoimmune response in a mammal. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well-suited for treatment of multi-drug resistant cells, for prevention of the development of multi-drug resistance, for use in multi-drug resistant cancer therapy, and for prevention or treatment of graft rejection and various autoimmune diseases. Over 100 I are reported, including both single and mixed diastereomers. Thus, 3-PhOC6H4CH2OH underwent oxidation to the aldehyde and reaction with Ph(CH2)3MgBr to give the racemic alc. 3-PhOC6H4CH(OH)(CH2)3Ph (II). Esterification of II with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecolic acid (preparation given) yielded ester III as a mixture of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

IT 159997-79-2P 159997-80-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated amino acid esters for multi-drug resistance therapies and immune suppression.)

RN 159997-79-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

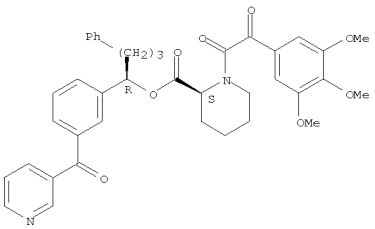
Absolute stereochemistry.

L4 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 159997-80-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 61 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:234340 CAPLUS
DOCUMENT NUMBER: 126:225224
TITLE: Preparation of pyridylmethylphenyl derivatives as antihyperlipemics
INVENTOR(S): Mochizuki, Nobuo; Ueda, Akiyoshi; Suzuki, Tatsumi; Hatano, Masami; Uchida, Seiichi; Umeda, Nobuhiro; Yamada, Hirokazu
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9706142	A1	19970220	WO 1996-JP2245	19960808

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W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

AU 9666692	A	19970305	AU 1996-66692	19960808

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PRIORITY APPLN. INFO.: JP 1995-225790 A 19950810
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JP 1996-197064 A 19960708
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WO 1996-JP2245 W 19960808
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OTHER SOURCE(S): MARPAT 126:225224
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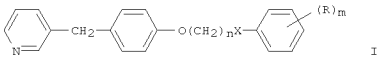


I

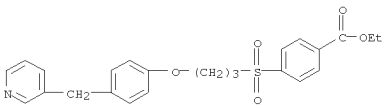
AB The title 3-(4-alkoxybenzyl)pyridine derivs. represented by general formula (I; X = NR1 (R1 = H or C1-6 alkyl), O, S, SO, SO2, CH2, CHMe or NHSO2; n = 0 or an integer of 1 to 9; R = C1-6 alkyl, halo, C1-6 alkoxy, HO, CO2R2 (R2 = H or C1-6 alkyl); m = 0, 1, 2, 3) or medicinally acceptable salts thereof, which inhibit the biosynthesis of cholesterol owing to the inhibitory activity on squalene-2,3-oxide cyclase and show low toxicity, are prepared Thus, 0.7 g 4-(3-pyridylmethyl)phenol and 0.66 g K2CO3 were added to 30 mL DMF, followed by adding 1.1 g 3-chloro-1-(4-chlorophenylsulfonyl)propane, and the resulting mixture was stirred at 100° for 16 h to give [(benzenesulfonylpropoxy)benzyl]py

L4 ANSWER 61 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ridine I [n = 3, X = SO2, (R)m = p-Cl]. This compd. in vitro showed IC50 of 0.38 μM for inhibiting the biosynthesis of cholesterol (Biophysica Acta, 486,70-81, 1977) and in vivo at 10 mg/kg p.o. inhibited 80% the biosynthesis of cholesterol in mice.

IT 188128-29-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylmethylphenyl derivs. as antihyperlipemics)

RN 188128-29-2 CAPLUS
CN Benzoic acid, 4-[[3-[4-(3-pyridinylmethyl)phenoxy]propyl]sulfonyl]-, ethyl ester (CA INDEX NAME)



L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:2234 CAPLUS
DOCUMENT NUMBER: 126:31271
TITLE: Preparation of pyridine moiety-containing sulfonamide compounds as pharmaceuticals
INVENTOR(S): Tatsugami, Shinichi; Oonishi, Hiroyuki; Morimoto, Katsumi
PATENT ASSIGNEE(S): Terumo Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590	A	19960924	JP 1995-49789	19950309

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PRIORITY APPLN. INFO.: JP 1995-49789 19950309
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OTHER SOURCE(S): MARPAT 126:31271
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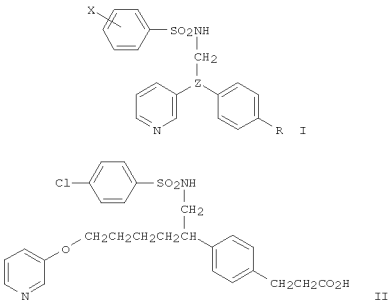
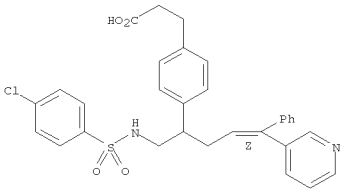


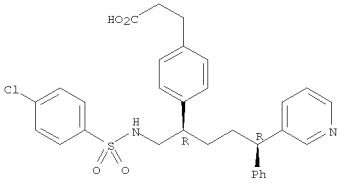
AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH, etc.; R = (CH2)nCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound II in vitro showed IC50 of 0.039 x 10-6 M against U-46619-induced platelet aggregation.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



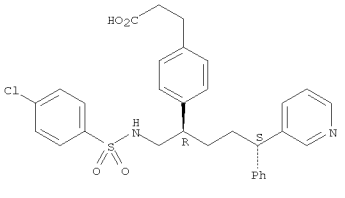
RN 184419-32-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

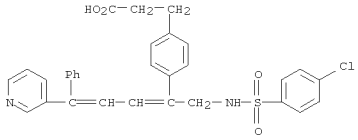


RN 184653-31-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)butyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

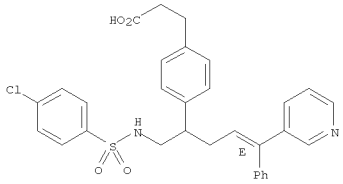


L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 184419-26-9P 184419-28-1P 184419-30-5P
184419-32-7P 184653-31-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)
RN 184419-26-9 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl]- (9CI) (CA INDEX NAME)



RN 184419-28-1 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-3-butenyl]-, (E)- (9CI) (CA INDEX NAME)

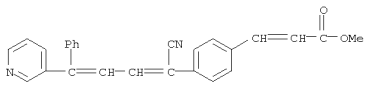
Double bond geometry as shown.



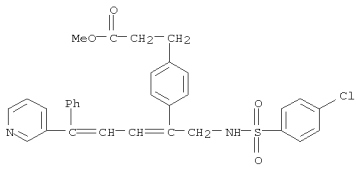
RN 184419-30-5 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-3-butenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

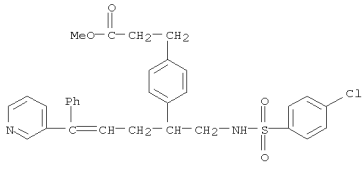
L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 184419-57-6P 184419-58-7P 184419-59-8P
184419-60-1P 184419-61-2P 184419-62-3P
184419-63-4P 184653-33-6P 184653-34-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)
RN 184419-57-6 CAPLUS
CN 2-Propenoic acid, 3-[4-[1-cyano-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 184419-58-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-1,3-butadienyl]-, methyl ester (9CI) (CA INDEX NAME)

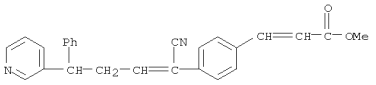


RN 184419-59-8 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-phenyl-4-(3-pyridinyl)-3-butenyl]-, methyl ester (9CI) (CA INDEX NAME)

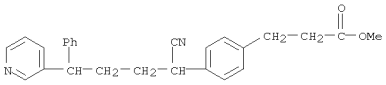


L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 184419-60-1 CAPLUS
CN 2-Propenoic acid,
3-[4-[1-cyano-4-phenyl-4-(3-pyridinyl)-1-butenyl]phenyl]-
, methyl ester (9CI) (CA INDEX NAME)

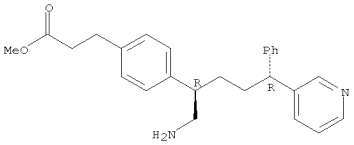


RN 184419-61-2 CAPLUS
CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)



RN 184419-62-3 CAPLUS
CN Benzenepropanoic acid,
4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,
methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

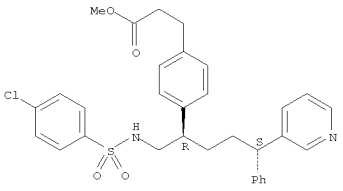
Relative stereochemistry.



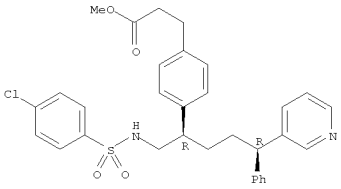
RN 184419-63-4 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-
phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

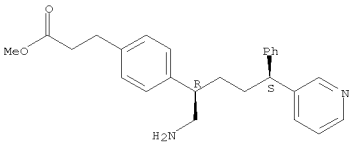


L4 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 184653-33-6 CAPLUS
CN Benzenepropanoic acid,
4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-,
methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 184653-34-7 CAPLUS
CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4-
phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:629551 CAPLUS
DOCUMENT NUMBER: 126:25565
TITLE: Ferroelectric and antiferroelectric liquid crystalline
phases in some pyridine carboxylic acid derivatives
AUTHOR(S): Kasthuraiah, N.; Sadashiva, B. K.; Krishnaprasad, S.; Nair, Geetha G.
CORPORATE SOURCE: Raman Research Institute, Bangalore, 560 080, India
SOURCE: Journal of Materials Chemistry (1996), 6(10), 1619-1625
CODEN: JMACEP; ISSN: 0959-9428
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

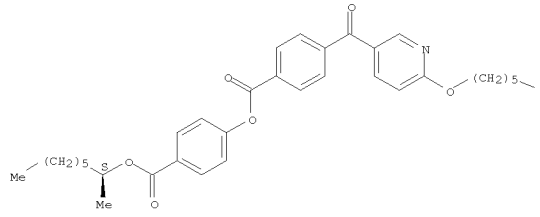
AB The synthesis and mesomorphic properties of two series of compds. viz. (S)-(+)-4-(1-methylheptyloxy)phenyl 4'-(6"-alkoxypyridine-3-carbonyloxy)benzoates and (S)-(+)-1-methylheptyl 4-[4'-(6"-alkoxypyridine-3-carbonyloxy)benzoyloxy]-benzoates are reported. The homologs of the former series exhibit smectic A and smectic C* phases while the derivs. of the latter series show rich polymesomorphism including the antiferroelec. phase. The mesophases were characterized by using optical polarizing microscopy and differential scanning calorimetric methods. Some phys. properties such as the spontaneous polarization, helical pitch, tilt angle and relative permittivity of two derivs. also were studied.

IT 184343-53-1P 184343-55-3P 184343-58-6P
184343-59-7P 184343-60-0P 184343-61-1P
184343-62-2P
RL: PRP (Properties); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(in pyridine carboxylic acid derivative liquid crystal preparation)

RN 184343-53-1 CAPLUS
CN Benzoic acid, 4-[[6-(hexyloxy)-3-pyridinyl]carbonyl]-,
4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

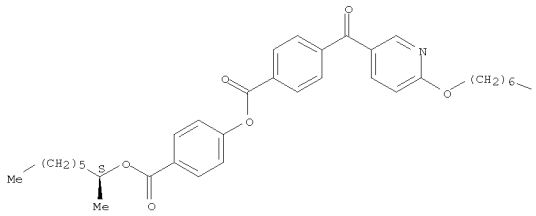
PAGE 1-B

Me

RN 184343-55-3 CAPLUS
CN Benzoic acid, 4-[[6-(heptyloxy)-3-pyridinyl]carbonyl]-, 4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



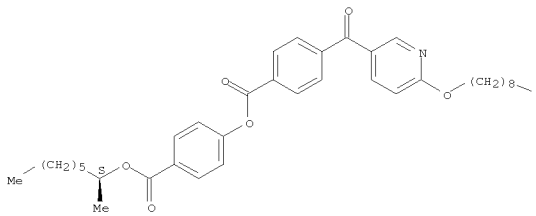
PAGE 1-B

Me

RN 184343-58-6 CAPLUS

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



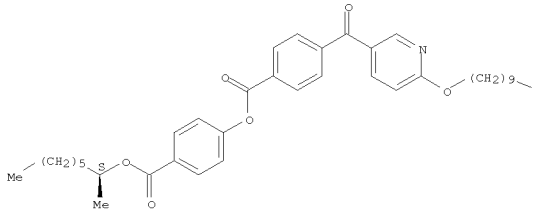
PAGE 1-B

Me

RN 184343-60-0 CAPLUS
CN Benzoic acid, 4-[[6-(decyloxy)-3-pyridinyl]carbonyl]-, 4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

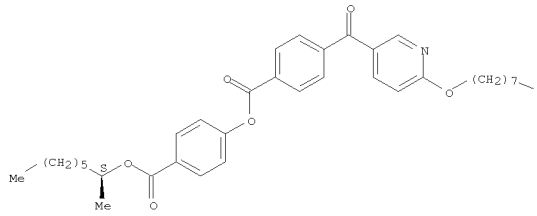


L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 184343-62-2 CAPLUS
CN Benzoic acid, 4-[[6-(dodecyloxy)-3-pyridinyl]carbonyl]-, 4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

RN 184343-59-7 CAPLUS
CN Benzoic acid, 4-[[6-(nonyloxy)-3-pyridinyl]carbonyl]-, 4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

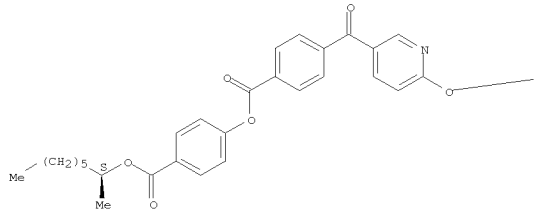
PAGE 1-B

Me

RN 184343-61-1 CAPLUS
CN Benzoic acid, 4-[[6-(undecyloxy)-3-pyridinyl]carbonyl]-, 4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



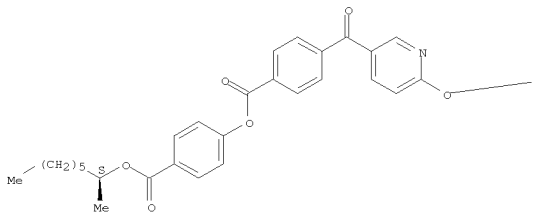
PAGE 1-B

(CH2)10
Me

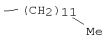
RN 184343-62-2 CAPLUS
CN Benzoic acid, 4-[[6-(dodecyloxy)-3-pyridinyl]carbonyl]-, 4-[[(1-methylheptyl)oxy]carbonyl]phenyl ester, (S)- (9CI) (CA INDEX NAME)

L4 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.

PAGE 1-A



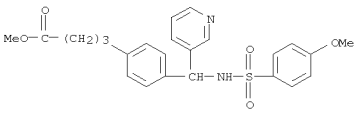
PAGE 1-B



L4 ANSWER 64 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:542084 CAPLUS
DOCUMENT NUMBER: 125:237586
TITLE: Synthesis of [[(benzenesulfonamido)alkyl]phenyl]alkanoic acid derivatives containing pyridyl or imidazolyl groups and their thromboxane A2 receptor antagonistic and thromboxane A2 synthase inhibitory activities
AUTHOR(S): Sakurai, Shunichiro; Ogawa, Nobuo; Suzuki, Tomio; Kato, Ken-ichi; Ohashi, Tetsuo; Yasuda, Shingo; Kato, Hideo
CORPORATE SOURCE: Res. Dev. Div., Hokuriku Seiyaku Co., Ltd., Fukui, 911, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(8), 1510-1520
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

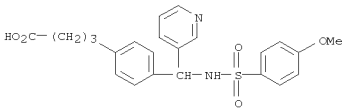
AB As part of our search for a dual inhibitor possessing both thromboxane A2 (TXA2) receptor antagonistic and TXA2 synthase inhibitory activities, some [[(benzenesulfonamido)alkyl]phenyl]alkanoic acid derivs. possessing a pyridyl or imidazolyl group were synthesized. Their TXA2 receptor antagonistic and TXA2 synthase inhibitory activities were evaluated in terms of the inhibitory effects on U-46619-induced guinea-pig platelet aggregation and on thromboxane B2 (TXB2) production in human platelets, resp. It was found that 3-[4-[2-(1-imidazolyl)-1-(4-chlorobenzenesulfonamido)ethyl]phenyl]propionic acid, containing an imidazolyl group, is a well-balanced dual inhibitor having both TXA2 receptor antagonistic activity (IC50 = 0.31 μM) and TXA2 synthase inhibitory activity (IC50 = 0.39 μM).

IT 181763-01-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of pyridyl and imidazolyl benzenesulfonamidoalkanoic acid derivs. and their thromboxane A2 receptor antagonistic and thromboxane A2 synthase inhibitory activities)
RN 181763-01-9 CAPLUS
CN Benzenebutanoic acid, 4-[[[(4-methoxyphenyl)sulfonyl]amino]-3-pyridinylmethyl]-, methyl ester (CA INDEX NAME)



L4 ANSWER 64 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 181762-33-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of pyridyl and imidazolyl benzenesulfonamidoalkanoic acid derivs. and their thromboxane A2 receptor antagonistic and thromboxane A2 synthase inhibitory activities)
RN 181762-33-4 CAPLUS
CN Benzenebutanoic acid, 4-[[[(4-methoxyphenyl)sulfonyl]amino]-3-pyridinylmethyl]- (CA INDEX NAME)



L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:509477 CAPLUS
DOCUMENT NUMBER: 125:141807
TITLE: Preparation of aryl- and hetarylsulfonamide derivatives and their use as endothelin antagonists
INVENTOR(S): Breu, Volker; Burri, Kaspar; Cassal, Jean-Marie; Clozel, Martine; Hirth, Georges; Loeffler, Bernd-Michael; Mueller, Marcel; Neidhart, Werner; Ramuz, Henri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619455	A1	19960627	WO 1995-EP4762	19951204
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2208011	A1	19960627	CA 1995-2208011	19951204
AU 9643016	A	19960710	AU 1996-43016	19951204
AU 695255	B2	19980813		
EP 799206	A1	19971008	EP 1995-941660	19951204
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CN 1170405	A	19980114	CN 1995-196959	19951204
CN 1136191	B	20040128		
JP 10500997	T	19980127	JP 1995-519459	19951204
JP 2930731	B2	19990803		
HU 77307	A2	19980330	HU 1997-1811	19951204
BR 9510533	A	19980714	BR 1995-10533	19951204
RU 2163598	C2	20010227	RU 1997-112144	19951204
CZ 289090	B6	20011017	CZ 1997-1873	19951204
AT 223899	T	20020915	AT 1995-941660	19951204
PT 799206	T	20030131	PT 1995-941660	19951204
ES 2180664	T3	20030216	ES 1995-941660	19951204
TW 474920	B	20020201	TW 1995-84113009	19951206

L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

	IN 1995MA01619	A	20050225	IN 1995-MA1619		19951208
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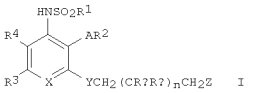


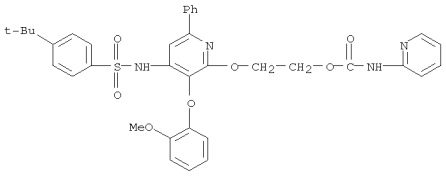
AB I [R1 = Ph, substituted Ph or heterocyclyl; R2 = Ph or substituted phenyl;
R3 = H, lower alkyl, cyano, carboxy, esterified carboxy, Ph, substituted Ph, heterocyclyl, CONR5R6, NR5COR7; R4 = H, lower alkyl; R5 = H, R7; R6 = (CH2)mR7; NR5R6 = heterocyclic residue; R7 = Ph, substituted Ph, cycloalkyl, heterocyclyl, lower alkyl, cyanoalkyl, hydroxyalkyl, dialkylaminoalkyl, carboxyalkyl, alkoxycarbonylalkyl, alkoxycarbonylaminoalkyl, phenylalkoxycarbonyl; Ra = H, lower alkyl, hydroxy; Rb = H, lower alkyl; Z = hydroxy, amino, OR8, OC(O)NHR8, -OC(O)OR8, NHC(O)NHR8, NHC(O)OR8; R8 = heterocyclyl, Ph, substituted Ph, lower alkyl; A and Y each independently signify O, S; X = N, CH; m = 0, 1 or 2; n = 0, 1 or 2; and pharmaceutically usable salts thereof] are prepared
as inhibitors of endothelin receptors. E.g., reaction of Et 4-[3-(2-hydroxyethoxy)-5-(5-isopropylpyridine-2-sulfonylamino)-4-(2-methoxyphenoxy)benzoyl]piperazine-1-carboxylate and 2-pyridylcarboxylic acid azide gave Et 4-{3-(5-isopropylpyridine-2-sulfonylamino)-4-(2-methoxyphenoxy)-5-[2-(pyridin-2-ylcarbamoyloxy)ethoxy]benzoyl}piperazine-1-

L4 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
carboxylate. Some examples of I exhibited selective inhibitory action on endothelin receptors A and B (ETA and ETB).

IT 180030-72-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aryl- and hetarylsulfonamide derivs. and their use as endothelin antagonists)

RN 180030-72-2 CAPLUS

CN Carbamic acid, 2-pyridinyl-, 2-[[4-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-3-(2-methoxyphenoxy)-6-phenyl-2-pyridinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 66 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1996:457812 CAPLUS
DOCUMENT NUMBER:	125:114577
TITLE:	Preparation of bisarylcarbinol cinnamic acids as inhibitors of leukotriene biosynthesis
INVENTOR(S):	Delorme, Daniel; Ducharme, Yves; Friesen, Richard; Grimm, Erich L.; Lepine, Carole
PATENT ASSIGNEE(S):	Merck Frosst Canada Inc., Can.
SOURCE:	PCT Int. Appl., 88 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613491	A1	19960509	WO 1995-CA607	19951025
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W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5527827	A	19960618	US 1994-329815	19941027
<--				
CA 2203412	A1	19960509	CA 1995-2203412	19951025
<--				
CA 2203412	C	20070417		
AU 9536956	A	19960523	AU 1995-36956	19951025
<--				
AU 695376	B2	19980813		
EP 788490	A1	19970813	EP 1995-944790	19951025
<--				
EP 788490	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 10508587	T	19980825	JP 1995-514208	19951025
<--				
AT 194337	T	20000715	AT 1995-944790	19951025
<--				
ES 2147624	T3	20000916	ES 1995-944790	19951025
<--				
PRIORITY APPLN. INFO.:			US 1994-329815	A1 19941027
<--				
			WO 1995-CA607	W 19951025
<--				
OTHER SOURCE(S):	CASREACT 125:114577; MARPAT 125:114577			

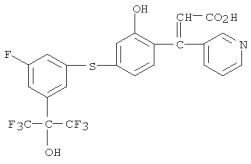
AB Title compds. R1R2C(OR3)-Ar1-X-Ar2-C(Ar3):CHCO2H [Ar1 = 6-membered aromatic
ring containing 0-3 N, substituted with 1-2 same or different R4; Ar2 = Ph(OH), substituted with 1-2 same or different R5; Ar3, Ar4 = 5-membered aromatic ring containing 1 O or S and 0-3 N, 5-membered aromatic ring containing 1-4 N,
or 6-membered aromatic ring containing 0-3 N substituted with 1-2 same or different R6; X = OCH2, CH2O, O, S, SO, SO2; R1 = H, lower alkyl, lower perfluoroalkyl, Ar4; R2 = H, lower alkyl, lower perfluoroalkyl; R3 = H, lower alkyl; R4, R5 = H, lower alkyl, lower alkoxy, lower alkylthio, CN, CF3, NO2, CF3O, halo; R6 = R4, lower alkyl sulfinyl, lower alkylsulfonyl,

L4 ANSWER 66 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CO2R7; R7 = H, lower alkyl] or their pharmaceutically acceptable salts, useful as inhibitors of leukotriene biosynthesis (no data), are claimed. These compds. are useful as anti-asthmatic, anti-allergic, antiinflammatory, and cytoprotective agents (no data).

IT 179113-16-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of bisarylcarbinol cinnamic acids as inhibitors of leukotriene
biosynthesis and intermediate coumarins, phenols, thiophenols, and bromopyridines)

RN 179113-16-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[[3-fluoro-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]thio]-2-hydroxyphenyl]-3-(3-pyridinyl)-, disodium salt (9CI) (CA INDEX NAME)

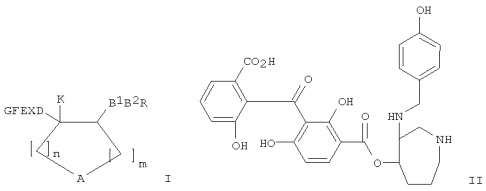


L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:794873 CAPLUS
DOCUMENT NUMBER: 123:198645
TITLE: Preparation of balanoids as protein kinase C inhibitors
INVENTOR(S): Hall, Steven Edward; Ballas, Lawrence M.; Kulanthaivel, Palaniappan; Boros, Christie; Jiang, Jack B.; Jagdmann, Gunnar Erik, Jr.; Lai, Yen-Shi; Biggers, Christopher K.; Hu, Hong; et al.
PATENT ASSIGNEE(S): Nichols, Gina M., USA; Sphinx Pharmaceuticals Corporation
SOURCE: PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420062	A2	19940915	WO 1994-US2283	19940302
WO 9420062	A3	19960815		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2157412	A1	19940915	CA 1994-2157412	19940302
AU 9462527	A	19940926	AU 1994-62527	19940302
EP 687249	A1	19951220	EP 1994-909847	19940302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09503994	T	19970422	JP 1994-520148	19940302
ZA 9401478	A	19950905	ZA 1994-1478	19940303
PRIORITY APPLN. INFO.:			US 1993-25846 A	19930303
			WO 1994-US2283 W	19940302

OTHER SOURCE(S): MARPAT 123:198645
GI

L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

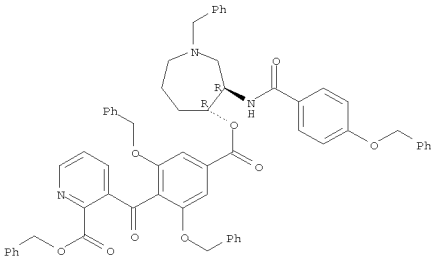


I II

AB Title compds. [I; A = CH2, NR1, O, S, SO2; B1 = NR2, CH2, O; B2 = CO, CS, SO2; D = NR3 = O, CH2; E = R5, (un)substituted (hetero)arylene; F = CO or CH2; G = R7, cycloalkyl, (un)substituted (hetero)aryl; K = H, alkyl; R = R4, (un)substituted Ph, (hetero)aryl; R1-R4, R7 = H, alkyl, aryl, etc.; R5 = alkyl, aryl; X = CO, CS, CH2, etc.; m,n = 1-4] were prepared Thus, title compound (-)-trans-II (preparation given) gave 100% inhibition of protein kinase C β2 at 0.5μM.
IT 167831-44-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of balanoids as protein kinase C inhibitors)
RN 167831-44-9 CAPLUS
CN 2-Pyridinecarboxylic acid, 3-[4-[[[hexahydro-3-[[4-(phenylmethoxy)benzoyl]amino]-1-(phenylmethyl)-1H-azepin-4-yl]oxy]carbonyl]-2,6-bis(phenylmethoxy)benzoyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

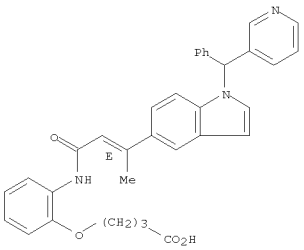
L4 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 68 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:665406 CAPLUS
DOCUMENT NUMBER: 123:102017
TITLE: (E)-4-{2-[[3-(Indol-5-yl)-1-oxo-2-butenyl]amino]phenoxy}butyric Acid Derivatives: A New Class of Steroid 5α-Reductase Inhibitors in the Rat Prostate. 1
AUTHOR(S): Kumazawa, Toshiaki; Takami, Hitoshi; Kishibayashi, Nobuyuki; Ishii, Akio; Nagahara, Yoshitomo; Hirayama, Noriaki; Obase, Hiroyuki
CORPORATE SOURCE: Pharmaceutical Research Laboratories, Kyowa Hakko Kogyo Co. Ltd., Shizuoka, 411, Japan
SOURCE: Journal of Medicinal Chemistry (1995), 38(15), 2887-92
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of (E)-4-(2-[[3-(indol-5-yl)-1-oxo-2-butenyl]amino]phenoxy}butyric acid derivs. was prepared, and the derivs. were demonstrated to be potent inhibitors of steroid 5α-reductase in the rat prostate. The structure-activity relationships were as follows. An α-branched alkyl or benzyl substituent of proper size at position 1 of the indole is crucial for optimal enzyme inhibitory activity. N-Methylation of the amide NH resulted in complete loss of activity. Thus, coplanarity of the benzene ring and amide moiety is essential for such activity. Among the compds. prepared, (E)-4-{2-[[3-[1-[bis(4-fluorophenyl)methyl]indol-5-yl]-1-oxo-2-butenyl]amino]phenoxy}butyric acid (KF18678) was one of the most potent compds. (rat prostate 5α-reductase IC50 = 3.3 nM).
IT 146327-09-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure steroid reductase-inhibitory activity relations of {[[(indolyl)oxobutenyl]amino]phenoxy}butyric acid derivs.)
RN 146327-09-5 CAPLUS
CN Butanoic acid, 4-[2-[[1-oxo-3-[1-(phenyl-3-pyridinylmethyl)-1H-indol-5-yl]-2-butenyl]amino]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

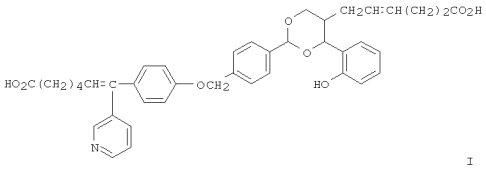
Double bond geometry as shown.

L4 ANSWER 68 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:538881 CAPLUS
DOCUMENT NUMBER: 123:275234
TITLE: A Novel Approach to Dual-Acting Thromboxane Receptor Antagonist/Synthase Inhibitors Based on the Link of 1,3-Dioxane-Thromboxane Receptor Antagonists and -Thromboxane Synthase Inhibitors
AUTHOR(S): Ackerley, Norman; Brewster, Andrew G.; Brown, George R.; Clarke, David S.; Foubister, Alan J.; Griffin, Stephen J.; Hudson, Julian A.; Smithers, Michael J.; Whittamore, Paul R. O.
CORPORATE SOURCE: Cardiovascular and Metabolism Department, ZENECA Pharmaceuticals, Macclesfield /Cheshire, SK10 4TG, UK
SOURCE: Journal of Medicinal Chemistry (1995), 38(10), 1608-28
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:275234
GI



I

AB A new class of dual-acting racemic thromboxane receptor antagonist/thromboxane synthase inhibitors is reported, based on the novel approach of linking the known thromboxane synthase inhibitors (TXSI) dazoxiben or isbogrel (sep.) to thromboxane receptor antagonists (TXRA) from the 1,3-dioxane series, such as ICI 192605. Dual activity was observed in vitro with inhibition of human microsomal thromboxane synthase in the range IC50 = 0.01-1.0 μM and receptor antagonist activity by inhibition of U46619-induced human platelet aggregation in the range pA2 = 5.5-7.0. The in vitro results also showed that very large groups could be tolerated at the selected substitution positions of the TXRA and TXSI components. Oral activity was observed in ex vivo tests in both rats and dogs at a dose of 10 mg/kg. Thus, I was both an antagonist (pA2 = 6.7) and a synthase inhibitor (IC50 = 0.02 μM). On oral dosing (10 mg/kg) to rats and

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

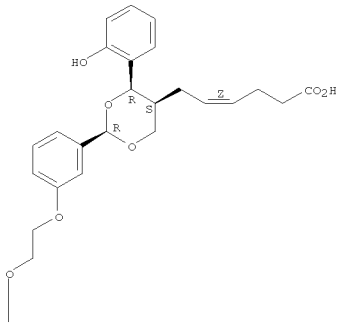
dogs, I showed significant TXRA activity [concn. ratio >64 (rat, 3 h) and >59 ± 11.3 (dog, 2 h) vs ex vivo U46619-induced platelet aggregation]. Inhibition of thromboxane synthase at the resp. time points in these expts. was 81 ± 4.4% (rat) and 69 ± 4.8% (dog).

IT 163164-35-0P 163164-36-1P 163164-37-2P
163164-38-3P 163164-41-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(dual-acting thromboxane receptor antagonist/synthase inhibitors based on a dioxane linkage)

RN 163164-35-0 CAPLUS
CN 6-Heptenoic acid,
7-[4-[2-[3-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

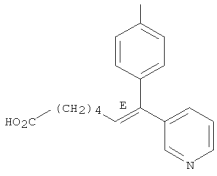
Relative stereochemistry.
Double bond geometry as shown.

PAGE 1-A



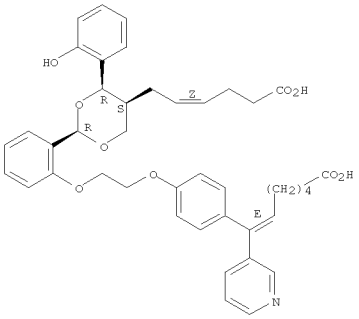
L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 2-A



RN 163164-36-1 CAPLUS
CN 6-Heptenoic acid,
7-[4-[2-[2-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

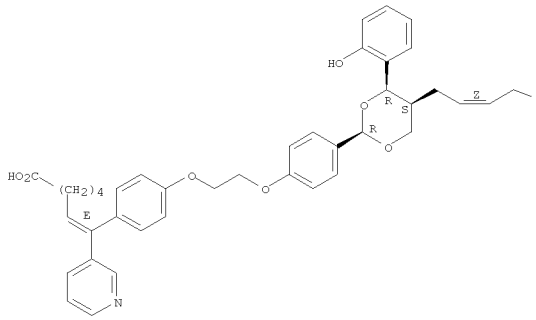


RN 163164-37-2 CAPLUS
CN 6-Heptenoic acid,
7-[4-[2-[4-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]ethoxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



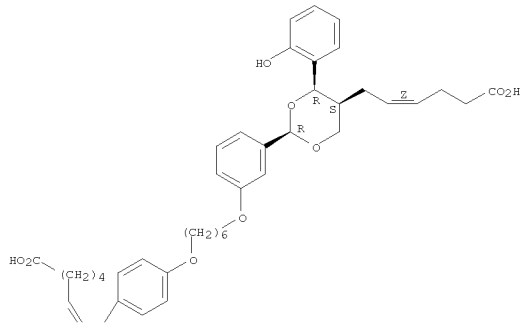
PAGE 1-B

RN 163164-38-3 CAPLUS
CN 6-Heptenoic acid, 7-[4-[[6-[3-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenoxy]hexyl]oxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

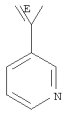
Relative stereochemistry.
Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

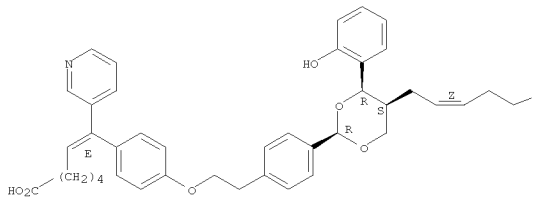


RN 163164-41-8 CAPLUS
CN 6-Heptenoic acid, 7-[4-[2-[4-[5-(5-carboxy-2-pentenyl)-4-(2-hydroxyphenyl)-1,3-dioxan-2-yl]phenyl]ethoxy]phenyl]-7-(3-pyridinyl)-, [2α(E),4α,5α(Z)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A

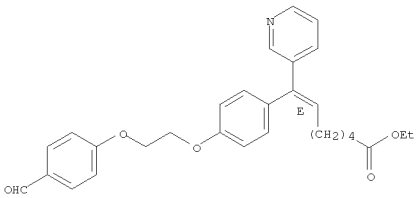


PAGE 1-B

IT 163164-06-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dual-acting thromboxane receptor antagonist/synthase inhibitors based on a dioxane linkage)
RN 163164-06-5 CAPLUS
CN 6-Heptenoic acid, 7-[4-[2-(4-formylphenoxy)ethoxy]phenyl]-7-(3-pyridinyl)-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:274880 CAPLUS
DOCUMENT NUMBER: 122:55896
TITLE: 1-(2-oxoacetyl)piperidine-2-carboxylic acid derivatives as multi-drug-resistant cancer cell sensitizers
INVENTOR(S): Armistead, David M.; Saunders, Jeffrey O.; Boger, Joshua S.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

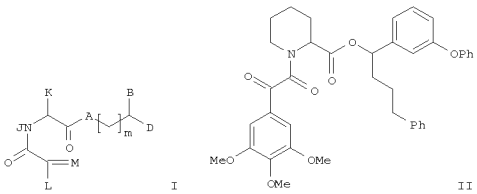
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407858	A1	19940414	WO 1993-US9145	19930927
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
NZ 314207	A	20001222	NZ 1993-314207	19930727
IL 107109	A	19990312	IL 1993-107109	19930926
AU 9351648	A	19940426	AU 1993-51648	19930927
AU 690082	B2	19980423		
EP 662958	A1	19950719	EP 1993-922748	19930927
EP 662958	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08502256	T	19960312	JP 1994-509216	19930927
JP 3635493	B2	20050406		
HU 72046	A2	19960328	HU 1995-890	19930927
RU 2158258	C2	20001027	RU 1995-110938	19930927
CZ 287396	B6	20001115	CZ 1995-769	19930927
RO 117791	B1	20020730	RO 1995-599	19930927
AT 229506	T	20021215	AT 1993-922748	19930927
PT 662958	T	20030430	PT 1993-922748	19930927
CA 2144962	C	20030520	CA 1993-2144962	19930927
ES 2188595	T3	20030701	ES 1993-922748	19930927

L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

SK 284129	B6	20040908	SK 1995-389	19930927
CN 1088577	A	19940629	CN 1993-118201	19930928
CN 1086386	B	20020619		
CN 1494906	A	20040512	CN 2002-2002108738	19930928
FI 9501454	A	19950327	FI 1995-1454	19950327
NO 9501162	A	19950529	NO 1995-1162	19950327
NO 305596	B1	19990628		
HK 1013992	A1	20030815	HK 1998-115242	19981223

PRIORITY APPLN. INFO.: US 1992-952299 A 19920928
US 1993-US9145 W 19930927

OTHER SOURCE(S): MARPAT 122:55896
GI



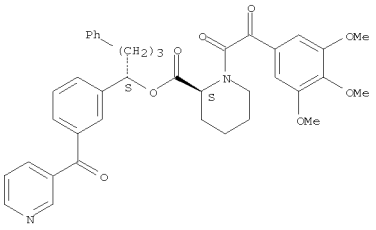
AB The invention relates to compds. I [A = CH2, O, NH, alkylimino; B, D = (un)substituted (hetero)aryl, alk(en)(yn)yl, cycloalk(en)ylalk(en)(yn)yl, (hetero)aralkyl, cis-C(Q):CHT; Q = H, alk(en)(yn)yl; T = (un)substituted (hetero)aryl, substituted cycloalkyl; L = H, U; M = O, CHU; U = H, alk(en)yl, cycloalk(en)ylalk(en)yl, (hetero)aralk(en)yl, (hetero)aryl; J = H, alkyl, CH2Ph; K = alkyl, CH2Ph, cyclohexylmethyl; or JK = atoms to form 5- to 7-membered, optionally O- or S-containing heterocycle; m = 0-3; various provisos], as well as pharmaceutical compns. comprising them. The compds. maintain, increase, or restore sensitivity of cells to therapeutic or prophylactic agents, and are particularly well-suited for treatment or prevention of multi-drug resistant cancer cells. Over 100 I are reported,

L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
including both single and mixed diastereomers. For example, 3-PhOC6H4CH2OH underwent oxidn. to the aldehyde and reaction with Ph(CH2)3MgBr to give the racemic alc. 3-PhOC6H4CH(OH)(CH2)3Ph. Esterification of this with (S)-N-[(3,4,5-trimethoxyphenyl)glyoxyl]pipecol ic acid (prepn. given) yielded the ester II as a mixt. of diastereomers. In a test for reversal of multi-drug-resistance by a line of L1210 cells, selected I gave up to 18-fold increase in the antiproliferative potency of doxorubicin.

IT 159997-79-2P 159997-80-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as sensitizer for multi-drug-resistant cancer cells)

RN 159997-79-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

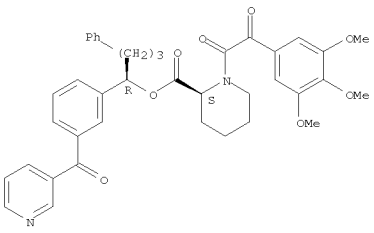
Absolute stereochemistry.



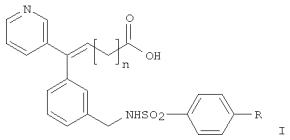
RN 159997-80-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[oxo(3,4,5-trimethoxyphenyl)acetyl]-, 4-phenyl-1-[3-(3-pyridinylcarbonyl)phenyl]butyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 71 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:270973 CAPLUS
DOCUMENT NUMBER: 122:187336
TITLE: Development of dual-acting agents for thromboxane receptor antagonism and thromboxane synthase inhibition I. Synthesis, structure-activity relationship, and evaluation of substituted ω-phenyl-ω-(3-pyridyl)alkenoic acids
AUTHOR(S): Takeuchi, Kumiko; Happ, Anne M.; Mais, Dale E.; Layman, Nicki; Utterback, Barbara G.; Wyss, Virginia L.; Jakubowski, Joseph A.
CORPORATE SOURCE: A Division of Eli Lilly, Lilly Research Laboratories, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry (1994), 2(8), 743-55
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

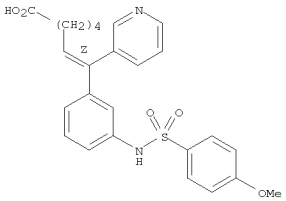


I

AB A series of arylsulfonamido-substituted ω-phenyl-ω-(3-pyridyl)alkenoic acids were synthesized and evaluated in vitro for their ability to act as both a thromboxane A2 receptor antagonist (TRA) and thromboxane synthase inhibitor (TSI). Variations of alkenoic acid chain length, olefin geometry, substituent effect on the benzenesulfonamido group, and conformational flexibility of the substituted arylsulfonamido group were examined Among the various substituents, iodo-substitution gave the most potent compound Conformational flexibility between the arylsulfonamido group and the Ph ring attached to the alkenoic acid side chain significantly enhanced the dual activities. The compound I (n = integer; R = H, halo, etc.) were identified as potent TRA/TSI.
IT 161607-62-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of thromboxane antagonist (pyridinyl)[(sulfonylamino)phenyl]alkenoate)

L4 ANSWER 71 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 161607-62-1 CAPLUS
CN 6-Heptenoic acid, 7-[3-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-7-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

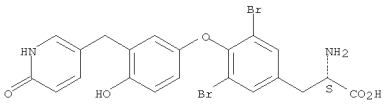
Double bond geometry as shown.



L4 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:450249 CAPLUS
DOCUMENT NUMBER: 121:50249
TITLE: Computer-assisted molecular modeling of benzodiazepine and thyromimetic inhibitors of the HepG2 iodothyronine membrane transporter
AUTHOR(S): Kragie, Laura; Forrester, Maureen L.; Cody, Vivian; McCourt, Mary
CORPORATE SOURCE: Fac. Nat. Sci. Math., State Univ. New York, Buffalo, Amherst, NY, 14260, USA
SOURCE: Molecular Endocrinology (1994), 8(3), 382-91
CODEN: MOENEN; ISSN: 0888-8809
DOCUMENT TYPE: Journal
LANGUAGE: English
AB T3 cellular uptake is inhibited in the presence of benzodiazepines (BZs). The structure-activity relationship of BZ inhibition correlates strongly with halogen substitution of the nonfused Ph ring and indicates that this ring is required for activity. A structure-activity series of thyromimetic (TH) inhibitors of the HepG2 iodothyronine transporter further point out the critical importance of the amino group of the alanine side chain, its L-stereo configuration, and the size of the substituents of the inner and outer Ph rings. A third series of compds., reported to interact at related sites, were inactive as HepG2 iodothyronine transport inhibitors, and therefore the potent inhibitors were restricted to the BZ and TH compds. Using both of these BZ and TH structure-activity series along with computer-assisted mol. modeling techniques, the authors determined which chemical structural components were important at the transporter interaction site. By superimposing structures from active chems., excluding residues from poor inhibitors, and incorporating mol. electropotential data, the authors developed a five-point model of BZ conformational similarity to the endogenous transporter ligand, L-T3: the alkyl substitution at the N1 of the BZ ring seems to stimulate the alanine side chain of T3, and the electroneg. halogen and oxygen atoms of substituents at R3/R7/R2'/R4' of BZ form a pyrimidyl pharmacophore that seems to correspond with the 3-1/5-1/3'-1/4'-OH substituents of T3, resp. These points, suggesting a tilted cross-bow formation, may be sites for ligand interaction with the iodothyronine transporter.
IT 105189-37-5, SKF-L 94424
RL: BIOL (Biological study)
(triiodothyronine binding by iodothyronine transporter inhibition by, structure in relation to)
RN 105189-37-5 CAPLUS
CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.

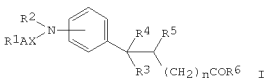
L4 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:298479 CAPLUS
DOCUMENT NUMBER: 120:298479
TITLE: Pyridyl-derivative thromboxane antagonists
INVENTOR(S): Soyka, Rainer; Eisert, Wolfgang; Mueller, Thomas; Weisenberger, Johannes
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 796,525, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5286736	A	19940215	US 1993-5725		19930119
DE 4037112	A1	19920527	DE 1990-4037112		19901122
PRIORITY APPLN. INFO.:			DE 1990-4037112	A	19901122
			US 1991-796525	B2	19911122

OTHER SOURCE(S): MARPAT 120:298479
GI

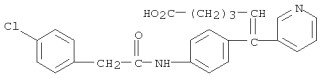


I

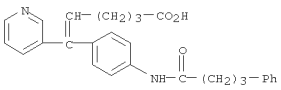
AB The title compds. (I; A = direct bond, C3-4 cycloalkylene, C3-4 cycloalkylidine, (un)substituted C2-3 alkylene, OCH2CH2, etc.; R1 = (un)substituted C1-4 alkyl, C5-7 cycloalkyl, Ph; R2 = H, C1-4 alkyl; R3 = pyridyl; R4, R5 = H, or together may represent a C-C bond; R6 = HO, C1-3 alkoxyl; X = CO, CS; n = 2-4), useful as thromboxane antagonists, antiallergic agents (no data), etc., are prepared and I-containing formulations presented. Thus, 6-[4-(4-methylbenzenesulfonylamino)phenyl]-6-(3-pyridyl)-5-hexenoic acid was prepared in 53% yield by the condensation of 4-methylbenzenesulfonyl chloride with Me 6-(4-aminophenyl)-6-(3-pyridyl)-5-hexenoate followed by saponification.

IT 142669-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of pyridine-derivative thromboxane

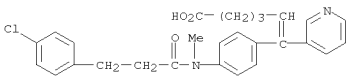
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl)- (9CI) (CA INDEX NAME)



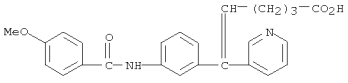
RN 142668-88-0 CAPLUS
CN 5-Hexenoic acid, 6-[4-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142668-94-8 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[3-(4-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



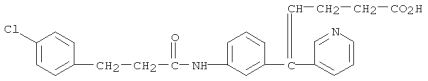
RN 142669-04-3 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(4-methoxybenzoyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-05-4 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(1-oxo-3-phenylpropyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

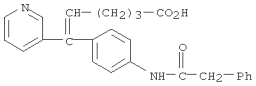
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
antagonists)

RN 142669-27-0 CAPLUS
CN 4-Pentenoic acid, 5-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-5-(3-pyridinyl)- (CA INDEX NAME)

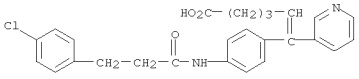


IT 142668-82-4P 142668-86-8P 142668-87-9P
142668-88-0P 142668-94-8P 142669-04-3P
142669-05-4P 142669-10-1P 142669-11-2P
142669-12-3P 142669-16-7P 142669-19-0P
142669-20-3P 142669-21-4P 142669-22-5P
142669-25-8P 142669-28-1P 142669-29-2P
142669-30-5P 142669-31-6P 142669-32-7P
142669-33-8P 142669-34-9P 142669-35-0P
142669-48-5P 142669-72-5P 142752-96-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thromboxane antagonist)

RN 142668-82-4 CAPLUS
CN 5-Hexenoic acid, 6-[4-[(phenylacetyl)amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

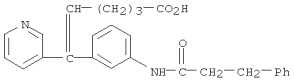


RN 142668-86-8 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

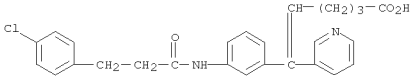


RN 142668-87-9 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-

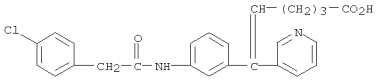
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



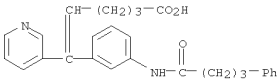
RN 142669-10-1 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-11-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

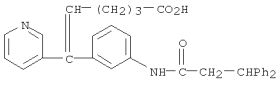


RN 142669-12-3 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

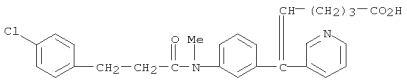


RN 142669-16-7 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(1-oxo-3,3-diphenylpropyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

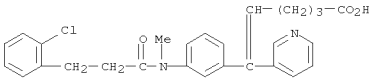
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



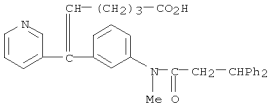
RN 142669-19-0 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-
6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-20-3 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[3-(2-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-
6-(3-pyridinyl)- (CA INDEX NAME)

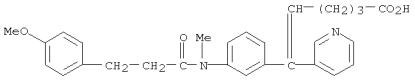


RN 142669-21-4 CAPLUS
CN 5-Hexenoic acid,
6-[3-[methyl(1-oxo-3,3-diphenylpropyl)amino]phenyl]-6-(3-
pyridinyl)- (CA INDEX NAME)

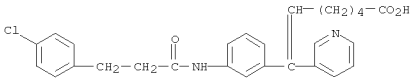


RN 142669-22-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-

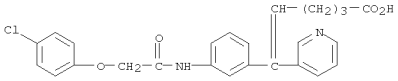
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



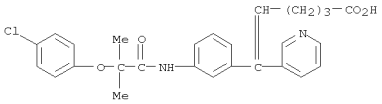
RN 142669-25-8 CAPLUS
CN 6-Heptenoic acid,
7-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-7-(3-
pyridinyl)- (CA INDEX NAME)



RN 142669-28-1 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(4-chlorophenoxy)acetyl]amino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

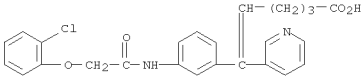


RN 142669-29-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[2-(4-chlorophenoxy)-2-methyl-1-
oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

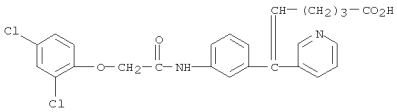


RN 142669-30-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(2-chlorophenoxy)acetyl]amino]phenyl]-6-(3-

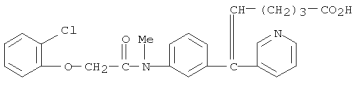
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl)- (9CI) (CA INDEX NAME)



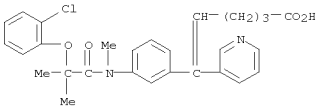
RN 142669-31-6 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(2,4-dichlorophenoxy)acetyl]amino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)



RN 142669-32-7 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(2-chlorophenoxy)acetyl]methylamino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

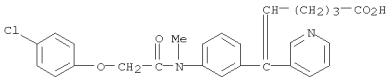


RN 142669-33-8 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[2-(2-chlorophenoxy)-2-methyl-1-
oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

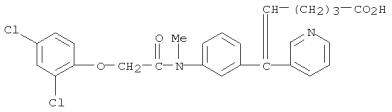


RN 142669-34-9 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(4-chlorophenoxy)acetyl]methylamino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

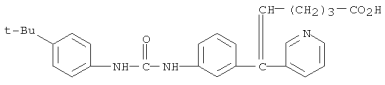
L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



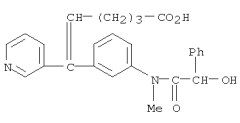
RN 142669-35-0 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[(2,4-dichlorophenoxy)acetyl]methylamino]phenyl]-6-
(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 142669-48-5 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]amino
]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

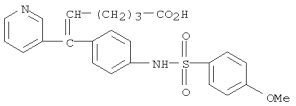


RN 142669-72-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(hydroxyphenylacetyl)methylamino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)



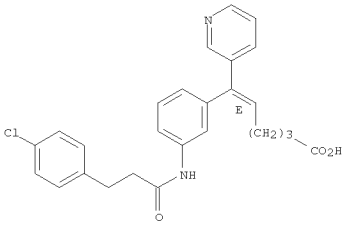
RN 142752-96-3 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-6-(3-
pyridinyl)- (CA INDEX NAME)

L4 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 142669-74-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(thromboxane antagonist)
RN 142669-74-7 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

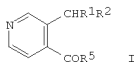
Double bond geometry as shown.



L4 ANSWER 74 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:244689 CAPLUS
DOCUMENT NUMBER: 120:244689
TITLE: Preparation of pyridine-4-carboxamides as bone resorption inhibitors
INVENTOR(S): Kinoshita, Iwao; Onoda, Yasuo; Takai, Haruki; Kosaka, Nobuo; Ishii, Akio; Nakamura, Joji; Ishida, Hiroyuki; Gomi, Katsushige
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

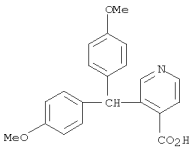
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 578419	A1	19940112	EP 1993-305032	19930628
EP 578419	B1	19960904		
R: DE, FR, GB, IT				
JP 06073010	A	19940315	JP 1993-162256	19930630
US 5374634	A	19941220	US 1993-84480	19930701
PRIORITY APPLN. INFO.:			JP 1992-180116 A	19920707

OTHER SOURCE(S): MARPAT 120:244689
GI

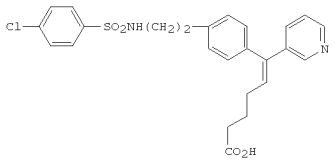


AB Title compds. [I; R1,R2 = 4-hydroxy- or -alkoxyphenyl; R5 = NR3R4; R3,R4 = H, (cyclo)alkyl, aryl, heterocyclyl; NR3R4 = heterocyclyl] were prepared Thus, N,N-diisopropylnicotinamide was treated with (Me2CH)2NLi in THF and the product condensed with [4-(MeO)C6H4]2CO to give, after hydrogenolysis, I [R1 = R2 = 4-(RO)C6H4](II; R = Me, R5 = OH) which was treated with SOCl2 and the product condensed with 3-aminotricyclo[3.3.1.03.7]nonane to give II [R = Me, R5 = tricyclo[3.3.1.03.7]non-3-ylamino]. II [R = H, R5 = 4-(2-chlorophenyl)piperidino] had IC50 of 6.0 μM against parathyroid hormone-induced Ca relase from mouse clavaria in vitro.
IT 154117-10-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of bone resorption inhibitor)

L4 ANSWER 74 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 154117-10-9 CAPLUS
CN 4-Pyridinecarboxylic acid, 3-[bis(4-methoxyphenyl)methyl]- (CA INDEX NAME)



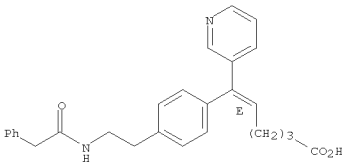
L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:217212 CAPLUS
DOCUMENT NUMBER: 120:217212
TITLE: 6,6-Disubstituted hex-5-enoic acid derivatives as combined thromboxane A2 receptor antagonists and synthetase inhibitors
AUTHOR(S): Soyka, Rainer; Heckel, Armin; Nickl, Josef; Eisert, Wolfgang; Mueller, Thomas H.; Weisenberger, Hans
CORPORATE SOURCE: Res. Dep., Dr. Karl Thomae GmbH, Biberach, 88397, Germany
SOURCE: Journal of Medicinal Chemistry (1994), 37(1), 26-39
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A series of ω-disubstituted alkenoic acid derivs. were design and synthesized as antithrombotic inhibitors of thromboxane A2 synthetase and thromboxane A2 receptor antagonists. Hexenoic acid derivs. with a 3-pyridyl group and a 4-(2-benzenesulfonamidoethyl)phenyl substituent were found to be optimal with regard to the dual mode of action. The most potent compound, (E)-6-(4-(2-(((4-chlorophenyl)sulfonyl)amino)ethyl)phenyl)-6-(3-pyridyl)hex-5-enoic acid (I), inhibits thromboxane A2 synthetase in gel-filtered human platelets with an IC50 value of 4.5 ± 0.5 nM, whereas an inhibitory effect on cyclooxygenase is seen only at a much higher concentration (IC50; 240 μM). Radioligand-binding studies with [3H]SQ 29,548 in washed human platelets revealed that I blocks the prostaglandin H2/thromboxane A2 receptor with an IC50 fo 19 ± 5 nM (n = 5) and is therefore 85-fold more potent than another combined thromboxane A2 synthetase inhibitor/receptor antagonist, Ridogrel. I inhibits the collagen-induced platelet aggregation in human platelet-rich plasma and whole blood with an EC50 of 1 μM (Ridogrel: 16 μM) and 100 nM, resp., and was selected for further development.
IT 153731-84-1P 153731-89-6P 153731-90-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thromboxane A2 receptor antagonist and synthetase inhibitor activity of)
RN 153731-84-1 CAPLUS
CN 5-Hexenoic acid, 6-[4-[2-[(2-phenylacetyl)amino]ethyl]phenyl]-6-(3-

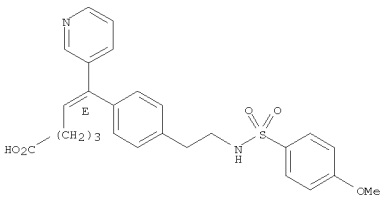
L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl)-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 153731-89-6 CAPLUS
CN 5-Hexenoic acid,
6-[4-[2-[[(4-methoxyphenyl)sulfonyl]amino]ethyl]phenyl]-6-
(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

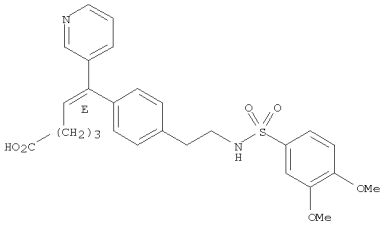
Double bond geometry as shown.



RN 153731-90-9 CAPLUS
CN 5-Hexenoic acid,
6-[4-[2-[[(3,4-dimethoxyphenyl)sulfonyl]amino]ethyl]pheny
l]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 75 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

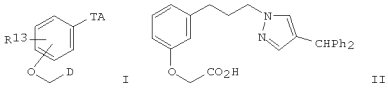


L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:134462 CAPLUS
DOCUMENT NUMBER: 120:134462
TITLE: Heterocyclic phenoxyacetic acid derivative antithrombotic and antihypertensive agents
INVENTOR(S): Hamanaka, Nobuyuki; Takahashi, Kanji; Tokumoto, Hidekado
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 112 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

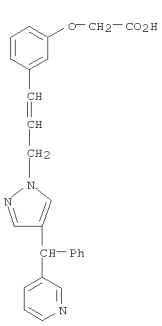
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 558062	A2	19930901	EP 1993-103113	19930226
EP 558062	A3	19940112		
EP 558062	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2090283	A1	19930829	CA 1993-2090283	19930224
JP 06056744	A	19940301	JP 1993-59418	19930225
JP 3162532	B2	20010508		
JP 2000086635	A	20000328	JP 1999-215279	19930225
JP 3487415	B2	20040119		
AT 152712	T	19970515	AT 1993-103113	19930226
ES 2103989	T3	19971001	ES 1993-103113	19930226
KR 187325	B1	19990515	KR 1993-2879	19930227
US 5378716	A	19950103	US 1993-24306	19930301
US 5536736	A	19960716	US 1994-293218	19940819
US 5703099	A	19971230	US 1996-642598	19960503
US 5935985	A	19990810	US 1997-925587	19970908

PRIORITY APPLN. INFO.: JP 1992-78330 A 19920228
JP 1993-59418 A3 19930225
US 1993-24306 A3 19930301
US 1994-293218 A3 19940819
US 1996-642598 A3 19960503
OTHER SOURCE(S): CASREACT 120:134462; MARPAT 120:134462
GI

L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

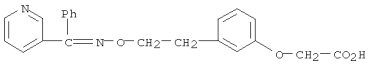


AB The title compds. I [A = heterocyclyl, carboxylate, (un)substituted CH2NH2, etc.; D = CO2R10, CONR11R12; R10 = H, C1-12 alkyl; R11, R12 = H, C1-4 alkyl; R13 = H, C1-4 alkyl, C1-4 alkoxy, NO2; T = direct bond, C1-6 alkylene, C2-6 alkenylene, O(CH2)s; s = 2-4], useful in the treatment of thrombosis, arteriosclerosis, ischemic heart disease, gastric ulcer, or hypertension, are prepared and I-containing formulations are presented. Thus,
Me 3-[3-(4-diphenylmethylpyrazol-1-yl)propyl]phenoxyacetate was hydrolyzed, producing pyrazole derivative II which demonstrated a 50% human
blood platelet aggregation inhibitory concentration of 0.42 μM.
IT 152381-45-8 152381-53-8 152381-54-9
152381-55-0 152381-56-1 152381-57-2
152381-60-7 153183-71-2 153183-76-7
153183-77-8 153183-80-3 153183-81-4
153183-95-0 153183-96-1 153184-00-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(antithrombotic and antihypertensive activity of)
RN 152381-45-8 CAPLUS
CN Acetic acid, [3-[3-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-yl]-1-propenyl]phenoxy]- (9CI) (CA INDEX NAME)

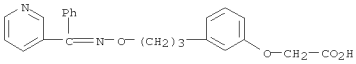


RN 152381-53-8 CAPLUS
CN Acetic acid,
[3-[2-[[(phenyl-3-pyridinylmethylene)amino]oxy]ethyl]phenoxy]-

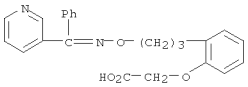
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



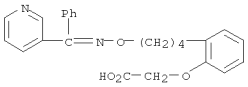
RN 152381-54-9 CAPLUS
CN Acetic acid,
[3-[3-[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy
]- (9CI) (CA INDEX NAME)



RN 152381-55-0 CAPLUS
CN Acetic acid,
[2-[3-[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy
]- (9CI) (CA INDEX NAME)

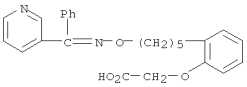


RN 152381-56-1 CAPLUS
CN Acetic acid,
[2-[4-[[(phenyl-3-pyridinylmethylene)amino]oxy]butyl]phenoxy]-
(9CI) (CA INDEX NAME)

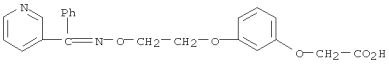


RN 152381-57-2 CAPLUS
CN Acetic acid,
[2-[5-[[(phenyl-3-pyridinylmethylene)amino]oxy]pentyl]phenoxy
]- (9CI) (CA INDEX NAME)

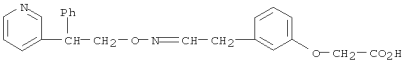
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



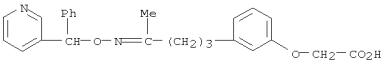
RN 152381-60-7 CAPLUS
CN Acetic acid,
[3-[2-[[(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy]phenoxy
]- (9CI) (CA INDEX NAME)



RN 153183-71-2 CAPLUS
CN Acetic acid, [3-[2-[[2-phenyl-2-(3-pyridinyl)ethoxy]imino]ethyl]phenoxy]-
(9CI) (CA INDEX NAME)

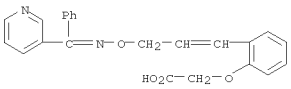


RN 153183-76-7 CAPLUS
CN Acetic acid, [3-[4-[(phenyl-3-pyridinylmethoxy)imino]pentyl]phenoxy]-
(9CI) (CA INDEX NAME)

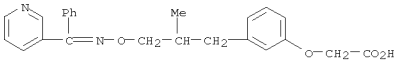


RN 153183-77-8 CAPLUS
CN Acetic acid, [2-[3-[[(phenyl-3-pyridinylmethylene)amino]oxy]-1-
propenyl]phenoxy]- (9CI) (CA INDEX NAME)

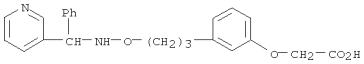
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



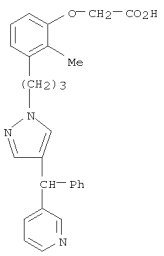
RN 153183-80-3 CAPLUS
CN Acetic acid,
[3-[2-methyl-3-[[(phenyl-3-pyridinylmethylene)amino]oxy]propy
l]phenoxy]- (9CI) (CA INDEX NAME)



RN 153183-81-4 CAPLUS
CN Acetic acid, [3-[3-[[(phenyl-3-pyridinylmethyl)amino]oxy]propyl]phenoxy]-
(9CI) (CA INDEX NAME)

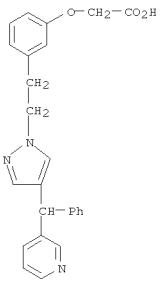


RN 153183-95-0 CAPLUS
CN Acetic acid, [2-methyl-3-[3-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-
yl]propyl]phenoxy]- (9CI) (CA INDEX NAME)

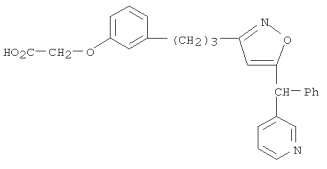


RN 153183-96-1 CAPLUS

L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Acetic acid, [3-[2-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-
yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

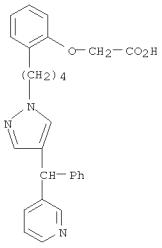


RN 153184-00-0 CAPLUS
CN Acetic acid, [3-[3-[5-(phenyl-3-pyridinylmethyl)-3-
isoxazolyl]propyl]phenoxy]- (9CI) (CA INDEX NAME)

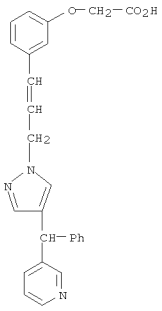


IT 152381-44-7P 152381-45-8P 152381-53-8P
152381-54-9P 152381-55-0P 152381-56-1P
152381-57-2P 152381-58-3P 152381-60-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antithrombotic and antihypertensive activity of)
RN 152381-44-7 CAPLUS
CN Acetic acid, [2-[4-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-
yl]butyl]phenoxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

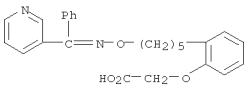


RN 152381-45-8 CAPLUS
CN Acetic acid, [3-[3-[4-(phenyl-3-pyridinylmethyl)-1H-pyrazol-1-yl]-1-propenyl]phenoxy]- (9CI) (CA INDEX NAME)

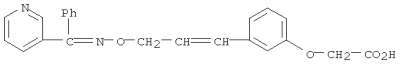


RN 152381-53-8 CAPLUS
CN Acetic acid, [3-[2-[[(phenyl-3-pyridinylmethylene)amino]oxy]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

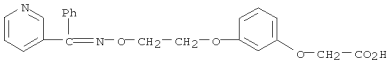
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



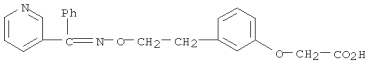
RN 152381-58-3 CAPLUS
CN Acetic acid, [3-[3-[[(phenyl-3-pyridinylmethylene)amino]oxy]-1-propenyl]phenoxy]- (9CI) (CA INDEX NAME)



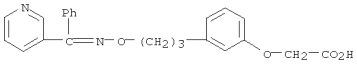
RN 152381-60-7 CAPLUS
CN Acetic acid, [3-[2-[[(phenyl-3-pyridinylmethylene)amino]oxy]ethoxy]phenoxy]- (9CI) (CA INDEX NAME)



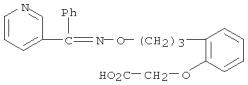
L4 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



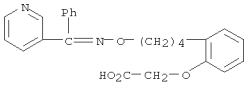
RN 152381-54-9 CAPLUS
CN Acetic acid, [3-[3-[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 152381-55-0 CAPLUS
CN Acetic acid, [2-[3-[[(phenyl-3-pyridinylmethylene)amino]oxy]propyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 152381-56-1 CAPLUS
CN Acetic acid, [2-[4-[[(phenyl-3-pyridinylmethylene)amino]oxy]butyl]phenoxy]- (9CI) (CA INDEX NAME)



RN 152381-57-2 CAPLUS
CN Acetic acid, [2-[5-[[(phenyl-3-pyridinylmethylene)amino]oxy]pentyl]phenoxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:649845 CAPLUS
DOCUMENT NUMBER: 119:249845
TITLE: Pyridyl derivatives, pharmaceuticals containing these compounds and processes for their preparation
INVENTOR(S): Soyka, Rainer; Mueller, Thomas; Weisenberger, Johannes
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 547517	A1	19930623	EP 1992-121126	19921211
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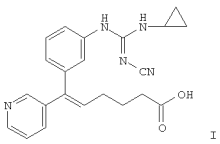
EP 547517	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4141377	A1	19930617	DE 1991-4141377	19911214
<--				
DE 4216364	A1	19931125	DE 1992-4216364	19920518
<--				
DE 4216829	A1	19931125	DE 1992-4216829	19920521
<--				
PRIORITY APPLN. INFO.:			DE 1991-4141377 A	19911214
<--				
			DE 1992-4216364 A	19920518
<--				
			DE 1992-4216829 A	19920521
<--				

OTHER SOURCE(S): CASREACT 119:249845; MARPAT 119:249845
GI

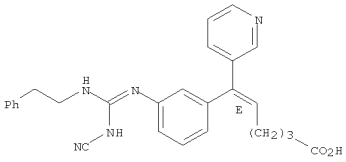


I

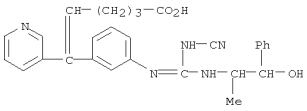
AB The title compds. are claimed. Examples are (E)-6-[3-(3-cyclopropyl-2-cyanoguanidino)phenyl]-6-(3-pyridyl)-5-hexenoic acid [(E)-6-[3-[[(cyclopropylamino)(cyanoimino)methyl]amino]phenyl]-6-(3-pyridyl)-5-hexenoic acid] (I) and analogs and derivs. of I. The title compds. are antithrombotics; they are thromboxane A2 antagonists and thromboxane synthetase inhibitors and blood platelet aggregation inhibitors. The title compds. may further inhibit prostaglandins (PGD2 receptors, PGE2 receptors, and PGF2α receptors) (no data).
IT 149979-67-9P 149979-83-9P 149979-84-0P

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antithrombotic)
RN 149979-67-9 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[(cyanoamino)[(2-phenylethyl)amino]methylene]amino]
phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



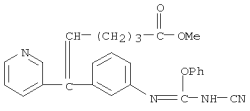
RN 149979-83-9 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)[(2-hydroxy-1-methyl-2-
phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA
INDEX NAME)



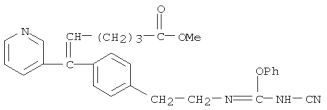
RN 149979-84-0 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)[(2-hydroxy-1-
phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

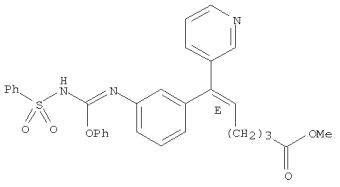


RN 149980-47-2 CAPLUS
CN 5-Hexenoic acid,
6-[4-[2-[[(cyanoamino)phenoxymethylene]amino]ethyl]phenyl
]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)



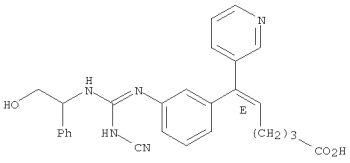
RN 149980-52-9 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[phenoxy[(phenylsulfonyl)amino]methylene]amino]phen
yl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



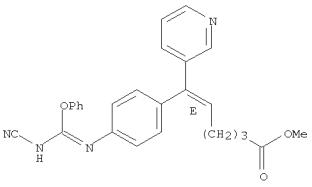
RN 149980-58-5 CAPLUS
CN 5-Hexenoic acid,
6-[4-[2-[[(cyanoamino)phenoxymethylene]amino]ethyl]phenyl
]-6-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



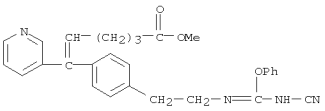
IT 149980-36-9P 149980-39-2P 149980-47-2P
149980-52-9P 149980-58-5P 150760-37-5P
150760-38-6P 150760-39-7P 150760-40-0P
150760-41-1P 150760-42-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of, as intermediate for
[[(aminoiminomethyl)amino]phenyl](pyrid
yl)alkenoate (antithrombotic) derivative)
RN 149980-36-9 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[(cyanoamino)phenoxymethylene]amino]phenyl]-6-(3-
pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



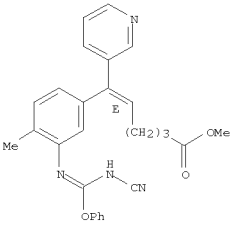
RN 149980-39-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)phenoxymethylene]amino]phenyl]-6-(3-
pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



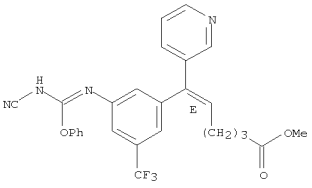
RN 150760-37-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)phenoxymethylene]amino]-4-
methylphenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



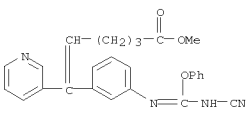
RN 150760-38-6 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)phenoxymethylene]amino]-5-
(trifluoromethyl)phenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA
INDEX NAME)

Double bond geometry as shown.



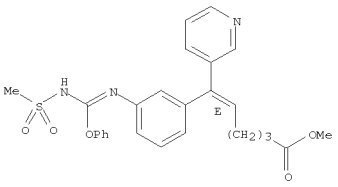
RN 150760-39-7 CAPLUS

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester (CA INDEX NAME)



RN 150760-40-0 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[[(methylsulfonyl)amino]phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

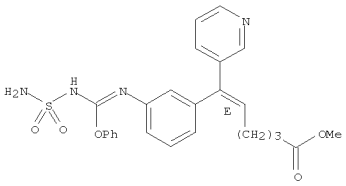
Double bond geometry as shown.



RN 150760-41-1 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[[(aminosulfonyl)amino]phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

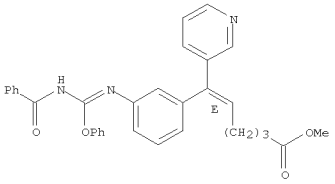
Double bond geometry as shown.

L4 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 150760-42-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(benzoylamino)phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

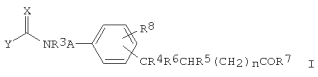
ACCESSION NUMBER: 1993:580666 CAPLUS
DOCUMENT NUMBER: 119:180666
TITLE: Preparation and formulation of 6-guanidinophenyl-6-pyridyl-5-hexenoates and analogs as thromboxane antagonists
INVENTOR(S): Soyka, Rainer; Mueller, Thomas; Weisenberger, Johannes
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4141377	A1	19930617	DE 1991-4141377	19911214
PL 171512	B1	19970530	PL 1992-296896	19921209
PL 171500	B1	19970530	PL 1992-312868	19921209
PL 171463	B1	19970530	PL 1992-312869	19921209
CA 2085201	A1	19930615	CA 1992-2085201	19921211
CA 2085201	C	20040706		
NO 9204800	A	19930615	NO 1992-4800	19921211
NO 179173	C	19960513		
NO 179173	B	19960513		
AU 9230058	A	19930617	AU 1992-30058	19921211
AU 653455	B2	19940929		
EP 547517	A1	19930623	EP 1992-121126	19921211
EP 547517	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9209613	A	19940613	ZA 1992-9613	19921211
JP 06199793	A	19940719	JP 1992-331452	19921211
JP 2965425	B2	19991018		
HU 68032	A2	19950529	HU 1992-3949	19921211
ES 2074323	T3	19950901	ES 1992-121126	19921211
IL 104066	A	19960723	IL 1992-104066	19921211
KR 227441	B1	19991101	KR 1992-24089	19921212
FI 100882	B	19980313	FI 1992-5665	19921214
FI 100882	B1	19980313		
RU 2119915	C1	19981010	RU 1992-4567	19921214
US 5482948	A	19960109	US 1994-270615	19940705

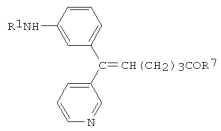
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PRIORITY APPLN. INFO.:	DE 1991-4141377	A	19911214
	DE 1992-4216364	A	19920518
	DE 1992-4216829	A	19920521
	US 1992-989681	B1	19921214

OTHER SOURCE(S): MARPAT 119:180666
GI



I

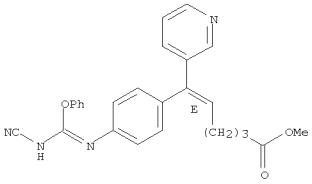


II

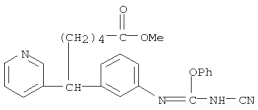
AB Title compds. [I; A = bond, alkylene; R3 = H, alkyl; R4, R5 = H; or R4R5 = bond; R6 = (3- or 4-alkyl)pyridyl; R7 = OH, alkoxy, NH2; R8 = halo, alkyl, CF3, alkoxy; X = CHNO2, CHCN, NR9; R9 = cyano, PhSO2, SO2NH2, CONH2, etc.; Y = alkoxy, OPh, NR1R2; R1 = H, (cyclo)alkyl, alkoxy, etc.; R2 = H, alkyl; n = 2-5] were prepared Thus, aminophenylhexenoate (E)-II (R = H, R7 = OMe) were condensed with (PhO)2C:NCN and the product was condensed with cyclohexylamine to give, after saponification, (E)-II [R = C(:NCN)NHR1, R7 = OH] (III; R1 = cyclohexyl). III (R1 = cyclopentyl) had IC50 of 0.003 μM against thromboxane synthetase in vitro.
IT 149980-36-9P 149980-42-7P 149980-47-2P 149980-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of thromboxane antagonist)
RN 149980-36-9 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[(cyanoamino)phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

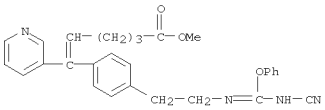
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 149980-42-7 CAPLUS
CN 3-Pyridinehexanoic acid, ε-[3-[[(cyanoamino)phenoxymethylene]amino]phenyl]-, methyl ester (CA INDEX NAME)



RN 149980-47-2 CAPLUS
CN 5-Hexenoic acid,
6-[4-[2-[[(cyanoamino)phenoxymethylene]amino]ethyl]phenyl]-6-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)



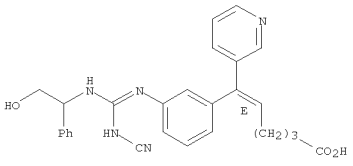
RN 149980-52-9 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[phenoxy[(phenylsulfonyl)amino]methylene]amino]phen yl]-6-(3-pyridinyl)-, methyl ester, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

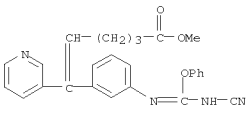
L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 149979-84-0 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)[(2-hydroxy-1-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

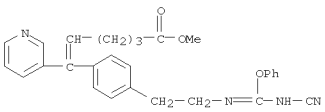
Double bond geometry as shown.



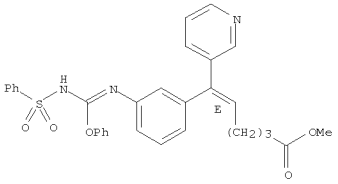
RN 149980-39-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)phenoxymethylene]amino]phenyl]-6-(3-pyridinyl)-, methyl ester, (5E)- (9CI) (CA INDEX NAME)



RN 149980-58-5 CAPLUS
CN 5-Hexenoic acid,
6-[4-[2-[[(cyanoamino)phenoxymethylene]amino]ethyl]phenyl]-6-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

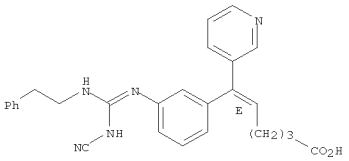


L4 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

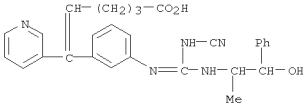


IT 149979-67-9P 149979-83-9P 149979-84-0P
149980-39-2P 149980-58-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thromboxane antagonist)
RN 149979-67-9 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[(cyanoamino)[(2-phenylethyl)amino]methylene]amino] phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



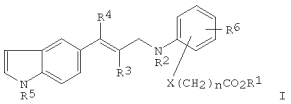
RN 149979-83-9 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(cyanoamino)[(2-hydroxy-1-methyl-2-phenylethyl)amino]methylene]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 79 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:124392 CAPLUS
DOCUMENT NUMBER: 118:124392
TITLE: Preparation of indole derivatives as steroid 5α-reductase inhibitors
INVENTOR(S): Kumazawa, Yoshiaki; Takami, Hitoshi; Obase, Hiroyuki; Kishibayashi, Nobuyuki; Ishii, Akio
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 511477	A1	19921104	EP 1992-104088	19920310
<--				
EP 511477	B1	19960710		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
JP 05078315	A	19930330	JP 1992-50671	19920309
<--				
CA 2062587	A1	19920912	CA 1992-2062587	19920310
<--				
US 5239083	A	19930824	US 1992-850334	19920310
<--				

PRIORITY APPLN. INFO.: JP 1991-44941 A 19910311
<--
OTHER SOURCE(S): CASREACT 118:124392; MARPAT 118:124392
GI

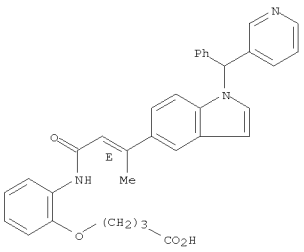


I

AB A process for the preparation of indole derivs. I (R1, R2, R3 = H or lower alkyl, R4 = H, lower alkyl or cycloalkyl, R5 = H, cycloalkyl, cycloalkenyl, R6 = H, lower alkyl, alkoxy or halo, X = O or S, SO or SO2, n = 1-6) comprises the condensation of Et 4-(2-aminophenoxy)butyrate (II) with (indolyl)isocrotonic acid derivs. E.g., 0.46 g of II, 2.25 mL Bu3N, 1.20 g of 2-chloro-1-methylpyridinium iodide and 1.04 g of 3-(1-methylindol-5-yl)isocrotonic acid in 10 mL of CH2Cl2 were refluxed to give 4-{2-[3-(1-methylindol-5-yl)isocrotonylamino]phenoxy}butyric acid. I showed 66%-97% inhibition of steroid 5α-reductase activity at 10-7 M and are useful in treating benign prostatic hypertrophy, prostate cancer, baldness and acne.
IT 146327-09-5
RL: RCT (Reactant); RACT (Reactant or reagent)

L4 ANSWER 79 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(prepn. and inhibition by, on steroid 5α-reductase activity)
RN 146327-09-5 CAPLUS
CN Butanoic acid,
4-[2-[[1-oxo-3-[1-(phenyl-3-pyridinylmethyl)-1H-indol-5-yl]-
2-butenyl]amino]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

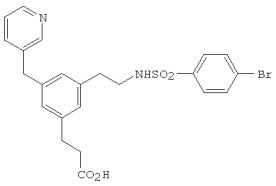


L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:101812 CAPLUS
DOCUMENT NUMBER: 118:101812
TITLE: 5-alkyl-3-[(pyridyl)alkyl]benzenepropanoates and 5-alkyl-3-[(imidazolyl)alkyl]benzenepropanoates, a method for their preparation and their use as thromboxane A2 antagonists
INVENTOR(S): Dickinson, Roger Peter; Dack, Kevin Neil; Steele, John
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217451	A1	19921015	WO 1992-EP591	19920317
W: CA, FI, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2104456	A1	19921005	CA 1992-2104456	19920317
CA 2104456	C	19961210		
EP 579618	A1	19940126	EP 1992-906439	19920317
EP 579618	B1	19991013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06506200	T	19940714	JP 1992-505819	19920317
JP 3088015	B2	20000918		
AT 185560	T	19991015	AT 1992-906439	19920317
ES 2138594	T3	20000116	ES 1992-906439	19920317
FI 104070	B	19991115	FI 1993-4045	19930915
FI 104070	B1	19991115		
US 5457118	A	19951010	US 1994-133155	19940420
US 5705523	A	19980106	US 1995-502748	19950714
FI 9900179	A	19990201	FI 1999-179	19990201
GR 3032308	T3	20000427	GR 2000-400008	20000104

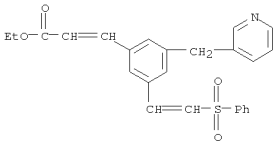
PRIORITY APPLN. INFO.: GB 1991-7043 A 19910404
WO 1992-EP591 W 19920317
US 1994-133155 A3 19940420
OTHER SOURCE(S): CASREACT 118:101812; MARPAT 118:101812

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
GI



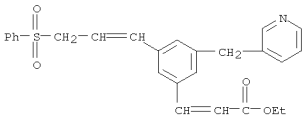
I

AB Some 5-alkyl-3-([heteroaryl)alkyl]benzenepropanoic acids and 5-alkyl-3-[(heteroaryl)oxy]benzenepropanoic acids are claimed. A process for the preparation of said compds. is claimed. These compds. are thromboxane A2 antagonists or thromboxane A2 synthetase inhibitors. Thus, (pyridylmethyl)benzenepropanoic acid I was prepared in several steps. The in vitro thromboxane A2 antagonist and thromboxane A2 synthetase-inhibiting activity was tested.
IT 145691-70-9P 145691-71-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in preparation of heteroaryl(sulfonylalkyl)benze nepropanoate thromboxane A2 antagonists)
RN 145691-70-9 CAPLUS
CN 2-Propenoic acid, 3-[3-[2-(phenylsulfonyl)ethenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (CA INDEX NAME)

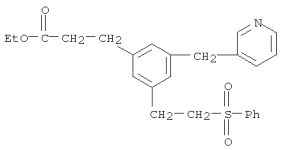


RN 145691-71-0 CAPLUS
CN 2-Propenoic acid, 3-[3-[3-(phenylsulfonyl)-1-propenyl]-5-(3-pyridinylmethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

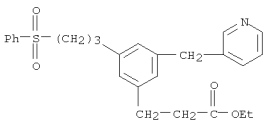
L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 145691-13-0P 145691-14-1P 145692-11-1P
145692-12-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thromboxane A2 antagonist and thromboxane A2 synthetase inhibitor)
RN 145691-13-0 CAPLUS
CN Benzenepropanoic acid,
3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-,
ethyl ester (CA INDEX NAME)

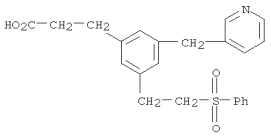


RN 145691-14-1 CAPLUS
CN Benzenepropanoic acid,
3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)-
, ethyl ester (CA INDEX NAME)

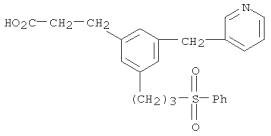


RN 145692-11-1 CAPLUS
CN Benzenepropanoic acid, 3-[2-(phenylsulfonyl)ethyl]-5-(3-pyridinylmethyl)-
(CA INDEX NAME)

L4 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 145692-12-2 CAPLUS
CN Benzenepropanoic acid, 3-[3-(phenylsulfonyl)propyl]-5-(3-pyridinylmethyl)- (CA INDEX NAME)

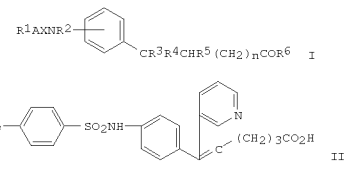


L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:633858 CAPLUS
DOCUMENT NUMBER: 117:233858
TITLE: Preparation of ω-pyridyl-ω-[(acylamino)phenyl]alkenoates as thromboxane antagonists and biosynthesis inhibitors
INVENTOR(S): Soyka, Rainer; Eisert, Wolfgang; Mueller, Thomas; Weisenberger, Johannes
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

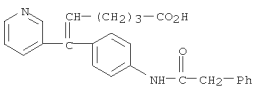
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 487095	A1	19920527	EP 1991-119889	19911121
EP 487095	B1	19960228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4037112	A1	19920527	DE 1990-4037112	19901122
AU 9187964	A	19920528	AU 1991-87964	19911119
AU 640063	B2	19930812		
IL 100097	A	19950731	IL 1991-100097	19911120
CA 2055950	A1	19920523	CA 1991-2055950	19911121
FI 9105484	A	19920523	FI 1991-5484	19911121
NO 9104567	A	19920525	NO 1991-4567	19911121
NO 175634	B	19940801		
NO 175634	C	19941109		
HU 60472	A2	19920928	HU 1991-3644	19911121
HU 213676	B	19970929		
JP 04275273	A	19920930	JP 1991-305990	19911121
ZA 9109205	A	19930521	ZA 1991-9205	19911121
RU 2028292	C1	19950209	RU 1991-5010111	19911121
AT 134619	T	19960315	AT 1991-119889	19911121
ES 2084756	T3	19960516	ES 1991-119889	19911121

PRIORITY APPLN. INFO.: DE 1990-4037112 A 19901122
GI

L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

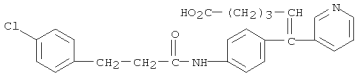


AB Title compds. [I; n = 2-4; X = CO, CS, SO2; R1 = (phenyl)alkyl, cycloalkyl, naphthyl, biphenyl, indolyl, thienyl, (substituted) Ph, etc., R2 = H, alkyl; R3 = pyridyl; R4, R5 = H; R4, R5 = bond; R6 = OH, alkoxy; A = bond, alkylene, cycloalkylene, cycloalkylidene, oxyalkylene, etc.], were prepared Thus, Me 6-(4-aminophenyl)-6-(3-pyridyl)hex-5-enoate (preparation starting from nicotinoyl chloride hydrochloride and N-acetylaniline given) was stirred with 4-MeC6H4COCl and Et3N in CH2Cl2 to give the sulfonamide, which was heated with 10 N NaOH in EtOH at 50° to give title compound II. I inhibited human thromboxane synthetase with IC50 = 0.004-0.090 μM. Various dosage forms were prepared containing (-)-5E-6-[4-(Z-2-(4-chlorophenyl)cyclopropyl-1-carboxamido)phenyl]-6-(3-pyridyl)hex-5-enoic acid.
IT 142668-82-4P 142668-86-8P 142668-87-9P
142668-88-0P 142668-94-8P 142669-04-3P
142669-05-4P 142669-10-1P 142669-11-2P
142669-12-3P 142669-16-7P 142669-19-0P
142669-20-3P 142669-21-4P 142669-22-5P
142669-25-8P 142669-27-0P 142669-28-1P
142669-29-2P 142669-30-5P 142669-31-6P
142669-32-7P 142669-33-8P 142669-34-9P
142669-35-0P 142669-48-5P 142669-72-5P
142669-74-7P 142752-96-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thromboxane antagonist and synthesis inhibitor)
RN 142668-82-4 CAPLUS
CN 5-Hexenoic acid, 6-[4-[(phenylacetyl)amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

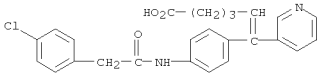


RN 142668-86-8 CAPLUS

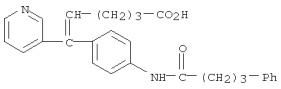
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 5-Hexenoic acid, 6-[4-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



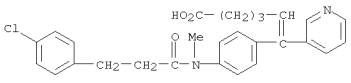
RN 142668-87-9 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 142668-88-0 CAPLUS
CN 5-Hexenoic acid, 6-[4-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

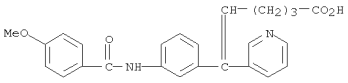


RN 142668-94-8 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[3-(4-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

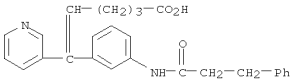


RN 142669-04-3 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(4-methoxybenzoyl)amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

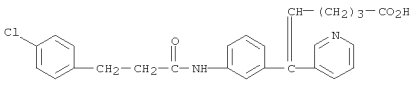
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



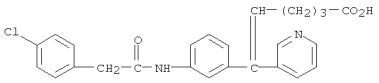
RN 142669-05-4 CAPLUS
CN 5-Hexenoic acid,
6-[3-[(1-oxo-3-phenylpropyl)amino]phenyl]-6-(3-pyridinyl)-
(CA INDEX NAME)



RN 142669-10-1 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-
pyridinyl)- (CA INDEX NAME)

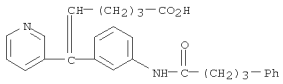


RN 142669-11-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(4-chlorophenyl)acetyl]amino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

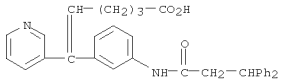


RN 142669-12-3 CAPLUS
CN 5-Hexenoic acid,
6-[3-[(1-oxo-4-phenylbutyl)amino]phenyl]-6-(3-pyridinyl)-
(CA INDEX NAME)

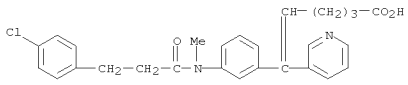
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



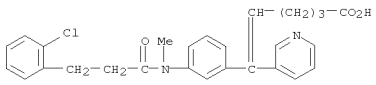
RN 142669-16-7 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(1-oxo-3,3-diphenylpropyl)amino]phenyl]-6-(3-
pyridinyl)- (CA INDEX NAME)



RN 142669-19-0 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-
6-(3-pyridinyl)- (CA INDEX NAME)

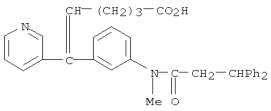


RN 142669-20-3 CAPLUS
CN 5-Hexenoic acid,
6-[3-[[3-(2-chlorophenyl)-1-oxopropyl]methylamino]phenyl]-
6-(3-pyridinyl)- (CA INDEX NAME)

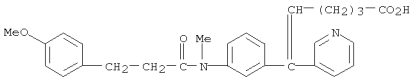


RN 142669-21-4 CAPLUS
CN 5-Hexenoic acid,
6-[3-[methyl(1-oxo-3,3-diphenylpropyl)amino]phenyl]-6-(3-

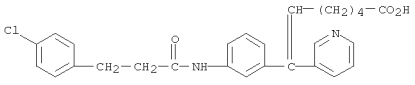
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl)- (CA INDEX NAME)



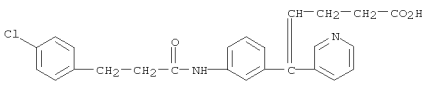
RN 142669-22-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[3-(4-methoxyphenyl)-1-
oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-25-8 CAPLUS
CN 6-Heptenoic acid,
7-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-7-(3-
pyridinyl)- (CA INDEX NAME)

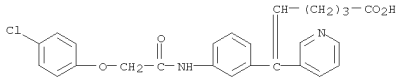


RN 142669-27-0 CAPLUS
CN 4-Pentenoic acid,
5-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-5-(3-
pyridinyl)- (CA INDEX NAME)

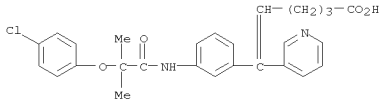


RN 142669-28-1 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(4-chlorophenoxy)acetyl]amino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

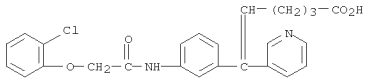
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



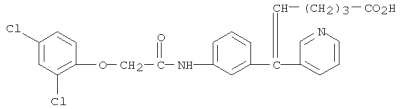
RN 142669-29-2 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[2-(4-chlorophenoxy)-2-methyl-1-
oxopropyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-30-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(2-chlorophenoxy)acetyl]amino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

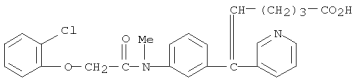


RN 142669-31-6 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(2,4-dichlorophenoxy)acetyl]amino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

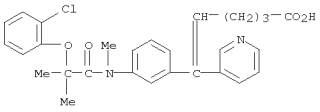


RN 142669-32-7 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(2-chlorophenoxy)acetyl]methylamino]phenyl]-6-(3-
pyridinyl)- (9CI) (CA INDEX NAME)

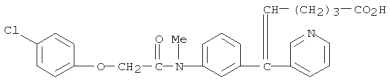
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



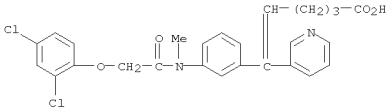
RN 142669-33-8 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[2-(2-chlorophenoxy)-2-methyl-1-oxopropyl]methylamino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 142669-34-9 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(4-chlorophenoxy)acetyl]methylamino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

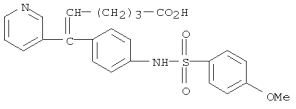


RN 142669-35-0 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[(2,4-dichlorophenoxy)acetyl]methylamino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

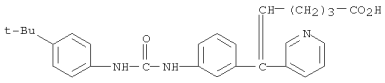


RN 142669-48-5 CAPLUS

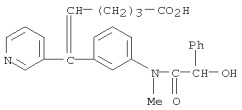
L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl)- (CA INDEX NAME)



L4 ANSWER 81 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 5-Hexenoic acid, 6-[3-[[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]amino]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

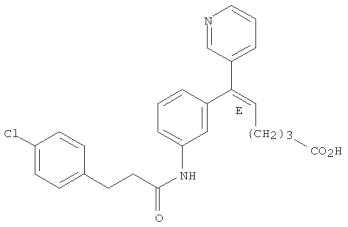


RN 142669-72-5 CAPLUS
CN 5-Hexenoic acid, 6-[3-[(hydroxyphenylacetyl)methylamino]phenyl]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 142669-74-7 CAPLUS
CN 5-Hexenoic acid, 6-[3-[[3-(4-chlorophenyl)-1-oxopropyl]amino]phenyl]-6-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



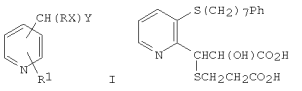
RN 142752-96-3 CAPLUS
CN 5-Hexenoic acid, 6-[4-[[(4-methoxyphenyl)sulfonyl]amino]phenyl]-6-(3-

L4 ANSWER 82 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:550898 CAPLUS
DOCUMENT NUMBER: 117:150898
TITLE: Preparation of pyridylthio- or pyridyloxyalkanoic acids
INVENTOR(S): Frazee, James Simpson; Gleason, John Gerald; Hall, Ralph Floyd; Kinzig, Charles Michael; Uzinskas, Irene Nijole
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

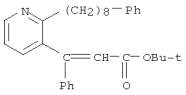
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205156	A1	19920402	WO 1991-US6494	19910910
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2089728	A1	19920314	CA 1991-2089728	19910910
AU 9189003	A	19920415	AU 1991-89003	19910910
EP 548291	A1	19930630	EP 1991-919733	19910910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06501019	T	19940127	JP 1991-518250	19910910
ZA 9107261	A	19920930	ZA 1991-7261	19910912
PRIORITY APPLN. INFO.:			US 1990-581958	A2 19900913
			WO 1991-US6494	A 19910910

OTHER SOURCE(S): MARPAT 117:150898
GI



AB Title compds. I (X = O, S(O)q wherein q = 0-2; R = (CH2)nD, (CH2)nArD, wherein n = 0-6, Ar = (substituted) Ph, thienyl, pyridyl, imidazolyl, tetrazol-5-yl, thiazolyl, D = (CH2)lR2 wherein l = 0-3, tetrazol-5-yl; and R2 = R4CO wherein R4 = HO, EO wherein E = cation, etc.; R1 = C8-13 alkyl, C7-12 alkoxy, C7-12 alkylthio, C10-12 alkynyl, etc.; Y = R2, R2(CH2)mCHR3 wherein m = 0-2, R3 = H, Me, C1-4 alkoxy, F, HO) or a salt thereof, useful as leukotriene antagonists (no data), are prepared 3-(Phenylheptylthio)-2-

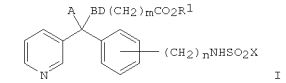
L4 ANSWER 82 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(carbomethoxy)pyridine (prepn. given) was converted in 5 steps to the title compd. II. Suppository and tablet formulations comprising I are given.
IT 142920-32-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of leukotriene antagonist)
RN 142920-32-9 CAPLUS
CN 2-Propenoic acid, 3-phenyl-3-[2-(8-phenyloctyl)-3-pyridinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



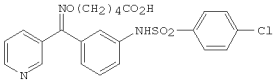
L4 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1992:214360 CAPLUS
DOCUMENT NUMBER: 116:214360
TITLE: Phenylsulfonamide-substituted pyridylalkenoic and aminooxyalkanoic acid derivatives
INVENTOR(S): Niewoehner, Ulrich; Mueller, Ulrich E.; Perzborn, Elisabeth; Bischoff, Erwin; Dellweg, Hans Georg
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 471259	A1	19920219	EP 1991-113089	19910803
EP 471259	B1	19950517		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4025818	A1	19920220	DE 1990-4025818	19900816
US 5155121	A	19921013	US 1991-739747	19910802
ES 2072492	T3	19950716	ES 1991-113089	19910803
JP 04244063	A	19920901	JP 1991-223620	19910809
US 5185348	A	19930209	US 1992-887208	19920521

PRIORITY APPLN. INFO.: DE 1990-4025818 A 19900816
US 1991-739747 A3 19910802
OTHER SOURCE(S): CASREACT 116:214360; MARPAT 116:214360
GI

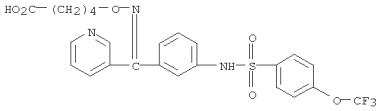


I

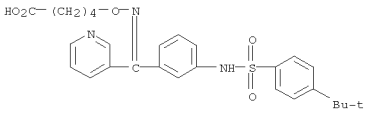


II

L4 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB Title compds. I [A = H, B = CH2; AB = =CN-, N; D = CH2; ABD = =NO-; R1 = H, alkyl, Ph; X = aryl, heteroaryl; m = 1-10; n = 0-4] were prepared
Thus,
3-aminophenyl 3-pyridyl ketone was treated with 4-ClC6H4SO2Cl followed by H2NO(CH2)4CO2H to give imine II as an EZ mixture II inhibited blood platelet aggregation at 0.3-1.0 μg/mL.
IT 140182-50-9P 140182-54-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 140182-50-9 CAPLUS
CN Pentanoic acid, 5-[[[3-pyridinyl[3-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]phenyl]methylene]amino]oxy]- (CA INDEX NAME)



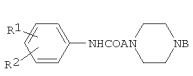
RN 140182-54-3 CAPLUS
CN Pentanoic acid, 5-[[[[3-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]phenyl]-3-pyridinylmethylene]amino]oxy]- (CA INDEX NAME)



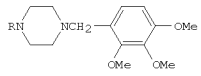
L4 ANSWER 84 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:656226 CAPLUS
DOCUMENT NUMBER: 115:256226
TITLE: Preparation of piperazine derivatives as antiarrhythmics
INVENTOR(S): Shibuya, Masayuki; Takahashi, Yoshio; Sato, Seiichi; Shigyo, Hiromichi; Ota, Tomio; Uchida, Yasuyoshi
PATENT ASSIGNEE(S): Kowa K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03141258	A	19910617	JP 1989-276086	19891025

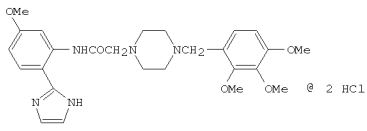
PRIORITY APPLN. INFO.: JP 1989-276086 19891025
OTHER SOURCE(S): MARPAT 115:256226
GI



I



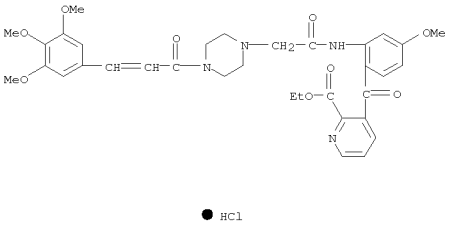
II



IV

AB Piperazine derivs. [I; R1 = H, alkyl, alkoxy, CF3, pyrrolidinoethoxy; R2 = alkyl, (substituted) imidazolyl, pyridyl, (alkoxycarbonyl-substituted) pyridinecarbonyl; a linear or branched alkylene; B = (hydroxy-, nitroxy-, carboxy-, or alkoxycarbonyl-substituted) alkyl, PhOCO, etc.] and their acid adducts are prepared BrCH3CO2Et was added to a solution of trimetazidine
(II; R = H) and Et2N in THF with stirring at room temperature to give 94.2% II
(R = CH2CO2Et), which was saponified and ion-exchanged with NH4OH to give 81.8% II (R = CH2CO2NH4) (III). 1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide HCl was added to a solution of III and 2-(2-imidazolyl)-5-methoxyaniline in THF with stirring at room temperature to
give 41.5% IV, which showed min. ED of 2.0-3.0 mg/hg i.v. as antiarrhythmic agent in dogs and increased coronary blood flow by 57% at 1

L4 ANSWER 84 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
ng/kg i.v. in dogs.
IT 137405-71-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiarrhythmic agent)
RN 137405-71-1 CAPLUS
CN 2-Pyridinecarboxylic acid, 3-[4-methoxy-2-[[[4-[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]-1-piperazinyl]acetyl]amino]benzoyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1991:207035 CAPLUS
DOCUMENT NUMBER: 114:207035
TITLE: Preparation and formulation of ω-[(arylsulfonamidoalkyl)aryl]-ω-pyridylalkenoates and analogs as drugs
INVENTOR(S): Heckel, Armin; Nickl, Josef; Soyka, Rainer; Eisert, Wolfgang; Mueller, Thomas; Weisenberger, Johannes; Meade, Christopher; Muacevic, Gojko
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 397044	A2	19901114	EP 1990-108433	19900504
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EP 397044	A3	19911016		
EP 397044	B1	19951227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3915506	A1	19901115	DE 1989-3915506	19890512
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DE 3932403	A1	19910411	DE 1989-3932403	19890928
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AT 132138	T	19960115	AT 1990-108433	19900504
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ES 2083394	T3	19960416	ES 1990-108433	19900504
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DD 298390	A5	19920220	DD 1990-340542	19900510
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KR 153527	B1	19981116	KR 1990-6587	19900510
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CA 2016646	A1	19901112	CA 1990-2016646	19900511
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CA 2016646	C	19990907		
NO 9002099	A	19901113	NO 1990-2099	19900511
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NO 176176	B	19941107		
NO 176176	C	19950215		
AU 9054924	A	19901115	AU 1990-54924	19900511
<--				
AU 627024	B2	19920813		
JP 03005457	A	19910111	JP 1990-122825	19900511
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JP 2868283	B2	19990310		
HU 54649	A2	19910328	HU 1990-3013	19900511
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HU 214586	B	19980428		
ZA 9003603	A	19920129	ZA 1990-3603	19900511
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FI 95372	B	19951013	FI 1990-2358	19900511
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L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

FI 95372	C	19960125		
US 5294626	A	19940315	US 1990-523167	19900514
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RU 2096405	C1	19971120	RU 1992-5010477	19921008
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US 5426119	A	19950620	US 1993-154647	19931118
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US 5681961	A	19971028	US 1995-407180	19950321
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PRIORITY APPLN. INFO.:
DE 1989-3915506 A 19890512
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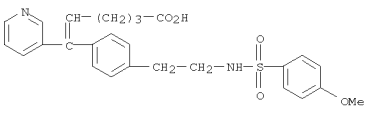
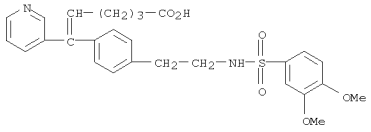
DE 1989-3932403 A 19890928
<--
US 1990-523167 A3 19900514
<--
US 1993-154647 A3 19931118
<--
OTHER SOURCE(S): MARPAT 114:207035
AB R1SO2NR2ACR3R4CHR5BCOR6 [A = CHR7CH2Z; B = bond, (un)substituted alkylene;
R1 = phenylalkyl, (un)substituted Ph, thienyl; R2,R4,R5,R7 = H, alkyl; R4R5 = bond; R3 = (alkyl)pyridyl; R6 = OH, alkoxy, (mono- or dialkyl)amino; Z = phenylenediyl, naphthylenediyl, heterocyclylenediyl, etc.] were prepared as arachidonic acid cascade substance inhibitors. Thus,
4-ClC6H4SO2Cl was condensed with PhCH2CH2NH2 and the product acylated with
nicotinoyl chloride to give 4-(4-ClC6H4SO2CH2CH2)C6H4C(:X)R (R = 3-pyridyl)(I; X = O) which was condensed with Ph3P(CH2)4CO2H to give I [X = CH(CH2)3CO2H] which had ED50 of 29 μg/kg i.v. for inhibition of U-46619-induced bronchospasms in guinea pigs.
IT 133277-32-4P 133277-33-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as arachidonate cascade substance inhibitor)
RN 133277-32-4 CAPLUS
CN 5-Hexenoic acid, 6-[4-[2-[[(4-methoxyphenyl)sulfonyl]amino]ethyl]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)



RN 133277-33-5 CAPLUS
CN 5-Hexenoic acid, 6-[4-[2-[[(3,4-dimethoxyphenyl)sulfonyl]amino]ethyl]phenyl]-6-(3-pyridinyl)- (CA INDEX NAME)

L4 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

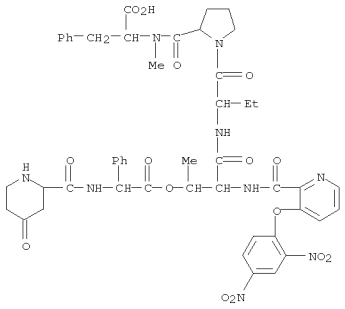


L4 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:217519 CAPLUS
DOCUMENT NUMBER: 112:217519
TITLE: A search for phenolic protection in virginiamycin S
AUTHOR(S): Sharma, N. K.; Anteunis, M. J. O.
CORPORATE SOURCE: Lab. Org. Chem., Rijksuniv.-Gent, Ghent, B-9000, Belg.
SOURCE: Bulletin des Societes Chimiques Belges (1989), 98(7), 463-79
CODEN: BSCBAG; ISSN: 0037-9646
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:217519
AB The masking of the hydroxyl group of the pipecolic acid residue in virginiamycin S during alkaline (NaOH) and acidic (CF3CO2H) degradation procedures was investigated for the use peptide fragments coming thereof for further reconstitution by classical peptide synthesis procedures. Thus, phenacyl, allyloxycarbonyl (Alloc), 2,2,2-trichloroethoxycarbonyl (Troc), and 2,4-dinitrophenyl (Dnp) derivs. have been prepared None of the prepared derivs. were stable in aqueous basic conditions. The Alloc, Troc, and Dnp derivs. may have some applications under acidic conditions.
IT 127092-17-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 127092-17-5 CAPLUS
CN L-Phenylalanine, N-[[3-(2,4-dinitrophenoxy)-2-pyridinyl]carbonyl]-O-[N-[(4-oxo-2-piperidinyl)carbonyl]-L-2-phenylglycyl]-L-threonyl-D-2-aminobutanoyl-L-prolyl-N-methyl-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 127092-16-4
CMF C49 H53 N9 O15

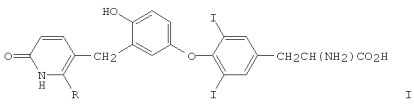
L4 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:173720 CAPLUS
DOCUMENT NUMBER: 110:173720
TITLE: Synthesis of thyroid hormone analogs. Part 1. Preparation of 3'-heteroarylmethyl-3,5-diiodo-L-thyronines via phenol-dinitrophenol condensation and relationships between structure and selective thyromimetic activity
AUTHOR(S): Leeson, Paul D.; Emmett, John C.
CORPORATE SOURCE: Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (12), 3085-96
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:173720
GI

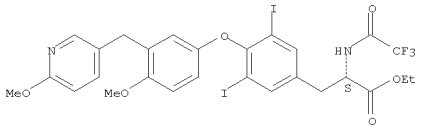


I

AB 3'-Heteroarylmethyl analogs, e.g. I (R = H, F), of the natural thyroid hormone 3,3',5-triiodo-L-thyronine (T3) were synthesized as potential selective (cardiac-sparing) thyromimetics. The di-Ph ether moiety was constructed by condensation of 3-substituted 4-methoxyphenols with a 3,5-dinitro-L-tyrosine derivative Synthesis of the key phenols required the in situ preparation, at low temps., of novel metalated species, e.g. 2-lithio-5-methoxypyridine, and 2,6-difluoro-3-lithiopyridine, followed by reaction with 2,4-MeO(PhCH2O)C6H3CHO. Structure-activity relationships indicate that selective thyromimetic activity is associated with 2-oxyheteroaren-5-ylmethyl 3'-substitution, as found in the pyridone I (R = H). The location of the oxy substituent in the heterocycle is critical for both hormonal activity and for binding to the T3 receptor.
IT 105189-55-7P 120130-21-4P 120130-23-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of, (heteroarylmethyl)diiodothyronine from)
RN 105189-55-7 CAPLUS
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

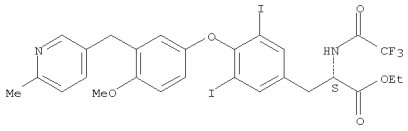
Absolute stereochemistry.

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



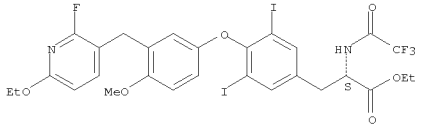
RN 120130-21-4 CAPLUS
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 120130-23-6 CAPLUS
CN L-Tyrosine, O-[3-[(6-ethoxy-2-fluoro-3-pyridinyl)methyl]-4-methoxyphenyl]-3,5-diiodo-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

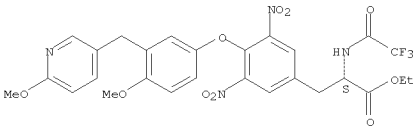
Absolute stereochemistry.



IT 105189-51-3P 120130-16-7P 120130-18-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential reduction, diazotization, and iodination of)
RN 105189-51-3 CAPLUS
CN L-Tyrosine, O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

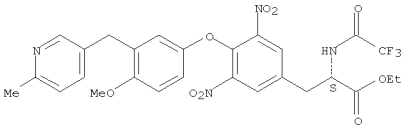
Absolute stereochemistry.

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



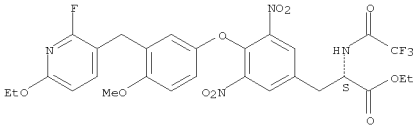
RN 120130-16-7 CAPLUS
CN L-Tyrosine, O-[4-methoxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



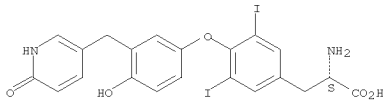
RN 120130-18-9 CAPLUS
CN L-Tyrosine, O-[3-[(6-ethoxy-2-fluoro-3-pyridinyl)methyl]-4-methoxyphenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



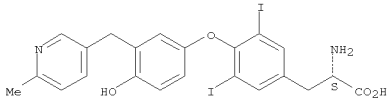
IT 105189-53-5P 120129-99-9P 120130-00-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thyromimetic activity of)
RN 105189-53-5 CAPLUS
CN L-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

L4 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



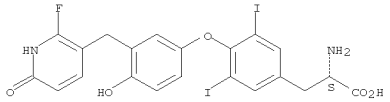
RN 120129-99-9 CAPLUS
CN L-Tyrosine, O-[4-hydroxy-3-[(6-methyl-3-pyridinyl)methyl]phenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

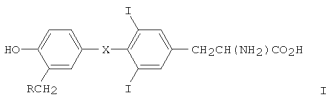


RN 120130-00-9 CAPLUS
CN L-Tyrosine, O-[3-[(2-fluoro-1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



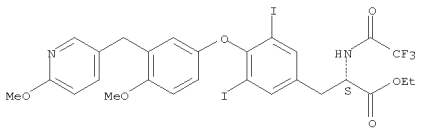
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:115292 CAPLUS
DOCUMENT NUMBER: 110:115292
TITLE: Selective thyromimetics. Cardiac-sparing thyroid hormone analogs containing 3'-arylmethyl substituents
AUTHOR(S): Leeson, Paul D.; Emmett, John C.; Shah, Virendra P.; Showell, Graham A.; Novelli, Ricardo; Prain, H. Douglas; Benson, Martin G.; Ellis, David; Pearce, Nigel J.; Underwood, Anthony H.
CORPORATE SOURCE: Smith Kline French Res. Ltd., Frythe/Welwyn, AL6 9AR, UK
SOURCE: Journal of Medicinal Chemistry (1989), 32(2), 320-36
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:115292
GI



AB Introduction of specific arylmethyl groups at the 3'-position of the thyroid hormone 3,3',5'-triiodo-L-thyronine (T3), and its known hormonally
active derivs., gives liver-selective, cardiac-sparing thyromimetics (e.g., I, X = O, S; R = aryl group), with potential utility as plasma cholesterol lowering agents. Correlations between in vivo and in vitro receptor binding affinities show that liver/heart selectivity does not depend on receptor recognition but on penetration or access to receptors in vivo. QSAR studies of the binding data of a series of 20 3'-arylmethyl
T3 analogs show that electroneg. groups at the para position increase both
receptor binding and selectivity in vivo. However, increasing 3'-arylmethyl hydrophobicity increases receptor binding but reduces selectivity. Substitution at ortho and meta positions reduces both binding and selectivity. Replacement of the 3,5-iodo groups by halogen or
Me maintains selectivity, with 3,5-dibromo analogs in particular having increased potency combined with oral bioavailability. Di-Ph thioether derivs. also have improved potency but are less orally active. At the l-position, the D enantiomer retains selectivity, but removal of the α-amino to give a propionic acid results in loss of selective thyromimetic activity.
IT 105189-55-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-methylation and O-demethylation and hydrolysis of)
RN 105189-55-7 CAPLUS
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA

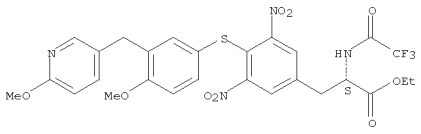
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



IT 105189-64-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenation, diazotization, and halogenation
of)
RN 105189-64-8 CAPLUS
CN L-Phenylalanine, 4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

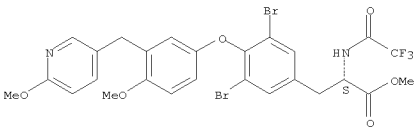
Absolute stereochemistry.



IT 105189-46-6P 105189-58-0P 105189-65-9P
105189-68-2P 105189-74-0P 117896-32-9P
117896-44-3P 117896-45-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation and hydrolysis of)
RN 105189-46-6 CAPLUS
CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

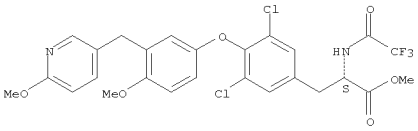
Absolute stereochemistry.

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



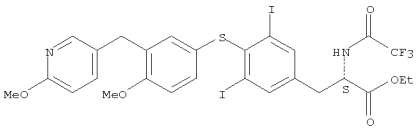
RN 105189-58-0 CAPLUS
CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-65-9 CAPLUS
CN L-Phenylalanine, 3,5-diiodo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA
INDEX NAME)

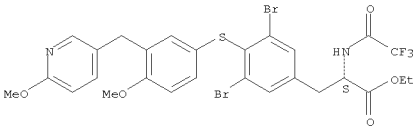
Absolute stereochemistry.



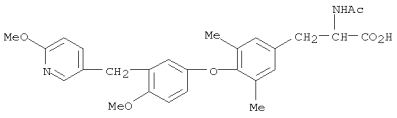
RN 105189-68-2 CAPLUS
CN L-Phenylalanine, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA
INDEX NAME)

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



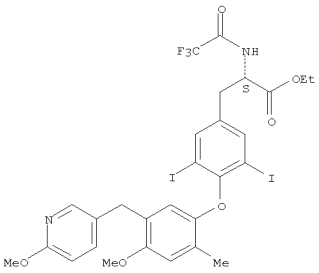
RN 105189-74-0 CAPLUS
CN Tyrosine, N-acetyl-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dimethyl- (CA INDEX NAME)



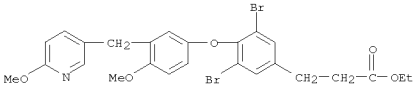
RN 117896-32-9 CAPLUS
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-5-[(6-methoxy-3-pyridinyl)methyl]-2-methylphenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

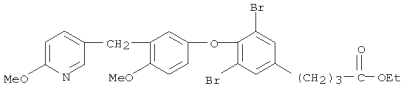
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 117896-44-3 CAPLUS
CN Benzenepropanoic acid, 3,5-dibromo-4-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenoxy]-, ethyl ester (CA INDEX NAME)



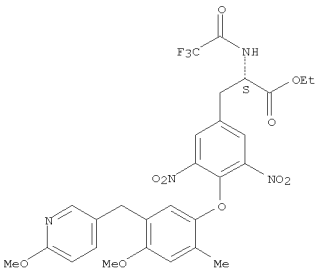
RN 117896-45-4 CAPLUS
CN Benzenebutanoic acid, 3,5-dibromo-4-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenoxy]-, ethyl ester (CA INDEX NAME)



IT 117896-24-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reduction and diazotization and iodination of)
RN 117896-24-9 CAPLUS
CN L-Tyrosine,
O-[4-methoxy-5-[(6-methoxy-3-pyridinyl)methyl]-2-methylphenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

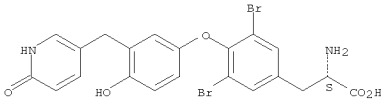
Absolute stereochemistry.

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



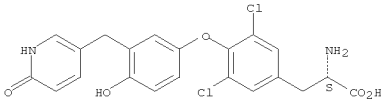
IT 105189-37-5P 105189-56-8P 105189-60-4P
105189-67-1P 105189-72-8P 105189-91-1P
105189-96-6P 105189-99-9P 117653-26-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and thyromimetic activity of)
RN 105189-37-5 CAPLUS
CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-56-8 CAPLUS
CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

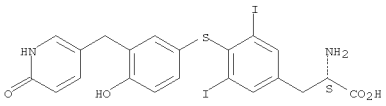
Absolute stereochemistry.



RN 105189-60-4 CAPLUS

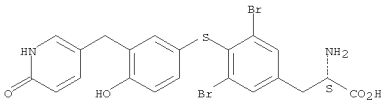
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN L-Phenylalanine, 4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]thio]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

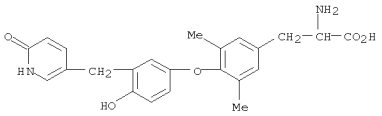


RN 105189-67-1 CAPLUS
CN L-Phenylalanine, 3,5-dibromo-4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



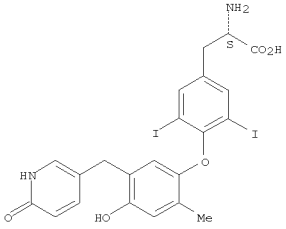
RN 105189-72-8 CAPLUS
CN Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-dimethyl- (CA INDEX NAME)



RN 105189-91-1 CAPLUS
CN D-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

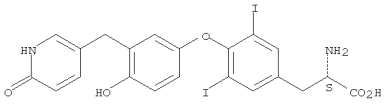
Absolute stereochemistry.

L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

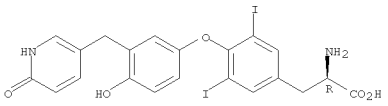


IT 105189-53-5
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(thyromimetic activity of)
RN 105189-53-5 CAPLUS
CN L-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

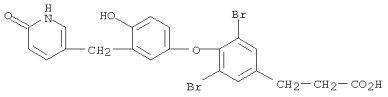
Absolute stereochemistry.



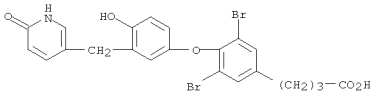
L4 ANSWER 88 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 105189-96-6 CAPLUS
CN Benzenepropanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



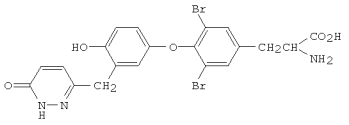
RN 105189-99-9 CAPLUS
CN Benzenebutanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



RN 117653-26-6 CAPLUS
CN L-Tyrosine, O-[5-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxy-2-methylphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 89 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1987:131516 CAPLUS
DOCUMENT NUMBER: 106:131516
TITLE: A thyromimetic that decreases plasma cholesterol levels without increasing cardiac activity
AUTHOR(S): Underwood, A. H.; Emmett, J. C.; Ellis, D.; Flynn, S. B.; Leeson, P. D.; Benson, G. M.; Novelli, R.; Pearce, N. J.; Shah, V. P.
CORPORATE SOURCE: Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK
SOURCE: Nature (London, United Kingdom) (1986), 324(6096), 425-9
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

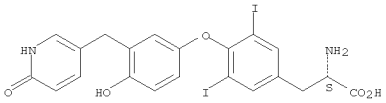


I

AB A new class of thyromimetics (agents that mimic the ability of the thyroid hormone T3 [6893-02-3] to decrease plasma cholesterol levels) is described. The most potent of these SKF L94901 (I) [105211-23-2] (as determined by the induction of mitochondrial cytochrome c 3-phosphoglycerate oxidoreductase [9001-49-4] in heart and liver of hypothyroid rats) was as active as T3 at reducing cholesterol levels and at stimulating liver function but had .apprx.0.1% the activity of T3 on heart function. In hypothyroid rats and rats with normal thyroid function, I was also shown to be a potent hypocholesterolemic agent with only a small effect on metabolic rate (determined by whole body O consumption). The affinities of the thyromimetics for the thyroid hormone receptor of isolated heart and liver nuclei were determined, and the relationship between receptor affinity and structure is discussed.
IT 105189-53-5
RL: BIOL (Biological study)
(as thyromimetic, hypocholesterolemic activity of and heart and liver functions response to, thyroid hormone receptor binding in relation to)
RN 105189-53-5 CAPLUS
CN L-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

L4 ANSWER 89 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

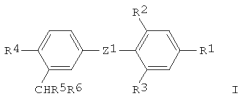
Absolute stereochemistry.



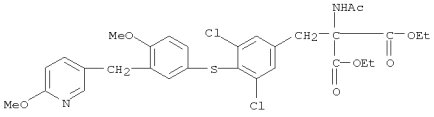
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1986:609386 CAPLUS
DOCUMENT NUMBER: 105:209386
ORIGINAL REFERENCE NO.: 105:33779a,33782a
TITLE: Thyronines and thyronine analogs
INVENTOR(S): Leeson, Paul David; Emmett, John Colin; Underwood, Anthony Hubert; Ellis, David
PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 188351	A2	19860723	EP 1986-300178	19860113
EP 188351	A3	19890315		
EP 188351	B1	19910313		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AU 8652219	A	19860724	AU 1986-52219	19860113
AU 577917	B2	19881006		
AT 61581	T	19910315	AT 1986-300178	19860113
CA 1319148	C	19930615	CA 1986-499485	19860113
US 4766121	A	19880823	US 1986-818626	19860114
IL 77605	A	19900209	IL 1986-77605	19860114
DK 8600185	A	19860719	DK 1986-185	19860115
DK 164592	B	19920720		
DK 164592	C	19921207		
ZA 8600319	A	19860827	ZA 1986-319	19860116
FI 8600229	A	19860719	FI 1986-229	19860117
NO 8600159	A	19860721	NO 1986-159	19860117
HU 40401	A2	19861228	HU 1986-244	19860117
HU 194807	B	19880328		
JP 61167643	A	19860729	JP 1986-8800	19860118
JP 07103070	B	19951108		
CN 86100894	A	19860903	CN 1986-100894	19860118
CN 1010310	B	19901107		
US 4826876	A	19890502	US 1987-136240	19871221
US 4910305	A	19900320	US 1988-168780	19880316

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
US 5061798 A 19911029 US 1989-428264 19891027
PRIORITY APPLN. INFO.: GB 1985-1372 A 19850118
EP 1986-300178 A 19860113
US 1986-818626 A1 19860114
US 1988-168780 A3 19880316
OTHER SOURCE(S): CASREACT 105:209386; MARPAT 105:209386
GI



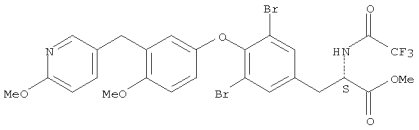
AB Acids and derivs. I [R1 = 2-amino-2-carboxyethyl, CO2H, carbalkoxy, carbamoyl, carboxy-, carbalkoxy-, or carbamoylalkyl, etc.; R2 and R3 = H, halo, alkyl, NO2, NH2; Z1 = O, S, CH2; R4 = OH, alkoxy, OCH2Ph, etc.; R5 = H, alkyl; R6 = 4-HOC6H4, 5-hydroxy-2-pyridyl, 6-oxo-3(1H)-pyridyl, 6-oxo-3(1H)-pyridazinyl] were prepared, and they exhibited anticholesteremic activity in rats. A 3,5-dibromotyrosine derivative was etherified by a diaryliodonium perchlorate derivative to give, after deprotection, I [R1 = CH2CH(NH2)CO2H, R2 = R3 = Br, Z1 = O, R4 = HO, R5 = H, R6 = 6-oxo-3(1H)-pyridyl].
IT 105189-88-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and decarboxylation-deprotection of)
RN 105189-88-6 CAPLUS
CN Propanedioic acid, (acetylamino)[[3,5-dichloro-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



IT 105189-46-6P 105189-52-4P 105189-54-6P
105189-55-7P 105189-58-0P 105189-59-1P

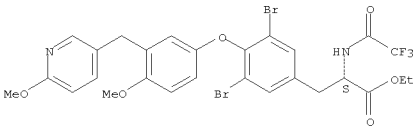
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
105189-66-0P 105189-68-2P 105189-71-7P
105189-74-0P 105211-21-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 105189-46-6 CAPLUS
CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



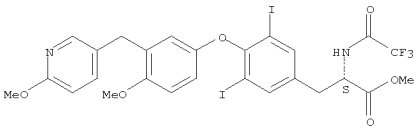
RN 105189-52-4 CAPLUS
CN L-Tyrosine, 3,5-dibromo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-54-6 CAPLUS
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

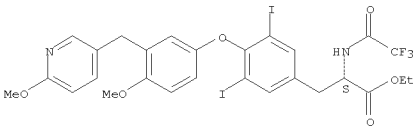
Absolute stereochemistry.



L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

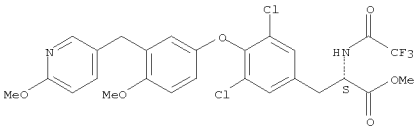
RN 105189-55-7 CAPLUS
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



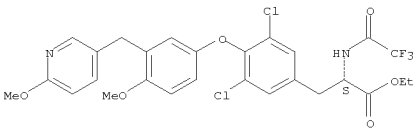
RN 105189-58-0 CAPLUS
CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



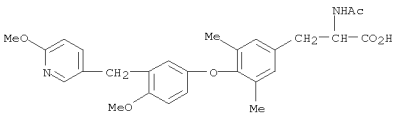
RN 105189-59-1 CAPLUS
CN L-Tyrosine, 3,5-dichloro-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



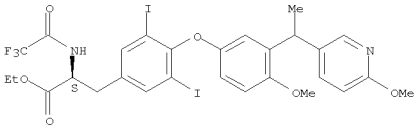
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 105189-74-0 CAPLUS
CN Tyrosine, N-acetyl-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dimethyl- (CA INDEX NAME)



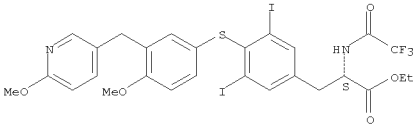
RN 105211-21-0 CAPLUS
CN L-Tyrosine, 3,5-diiodo-O-[4-methoxy-3-[1-(6-methoxy-3-pyridinyl)ethyl]phenyl]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 105189-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 105189-65-9 CAPLUS
CN L-Phenylalanine, 3,5-diiodo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

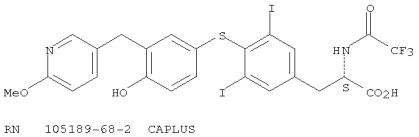


IT 105189-51-3P

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

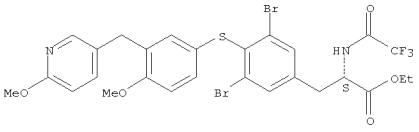
RN 105189-66-0 CAPLUS
CN L-Phenylalanine, 4-[[4-hydroxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-diiodo-N-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



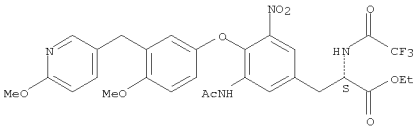
RN 105189-68-2 CAPLUS
CN L-Phenylalanine, 3,5-dibromo-4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



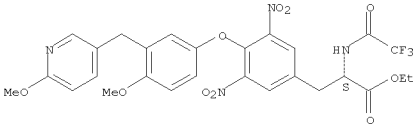
RN 105189-71-7 CAPLUS
CN L-Tyrosine, 3-(acetylamino)-O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-5-nitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



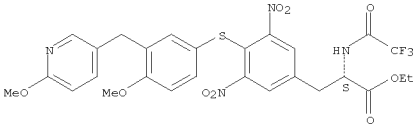
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of, and successive diazotization and Sandmeyer reactions of product from)
RN 105189-51-3 CAPLUS
CN L-Tyrosine, O-[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 105189-64-8P 105189-78-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and successive reduction, diazotization and iodination of)
RN 105189-64-8 CAPLUS
CN L-Phenylalanine, 4-[[4-methoxy-3-[(6-methoxy-3-pyridinyl)methyl]phenyl]thio]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

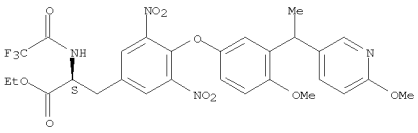
Absolute stereochemistry.



RN 105189-78-4 CAPLUS
CN L-Tyrosine, O-[4-methoxy-3-[1-(6-methoxy-3-pyridinyl)ethyl]phenyl]-3,5-dinitro-N-(trifluoroacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

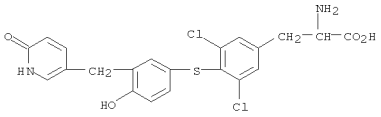
Absolute stereochemistry.

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



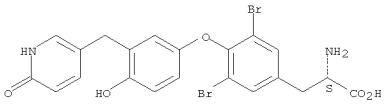
IT 105170-56-7P 105189-37-5P 105189-53-5P
105189-56-8P 105189-60-4P 105189-67-1P
105189-69-3P 105189-70-6P 105189-72-8P
105189-75-1P 105189-79-5P 105189-80-8P
105189-81-9P 105189-89-7P 105189-90-0P
105189-91-1P 105189-95-5P 105189-96-6P
105189-97-7P 105189-98-8P 105189-99-9P
105190-00-9P 105190-01-0P 105190-03-2P
105190-04-3P 105190-05-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticholesteremic)

RN 105170-56-7 CAPLUS
CN Phenylalanine,
3,5-dichloro-4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-
4-hydroxyphenyl]thio]- (CA INDEX NAME)

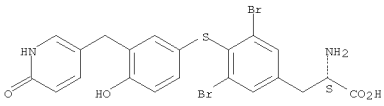


RN 105189-37-5 CAPLUS
CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-
hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.

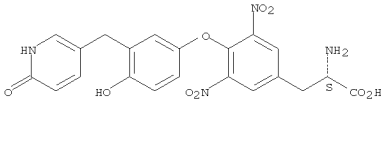


L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 105189-69-3 CAPLUS
CN L-Tyrosine,
O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-
3,5-dinitro-, monohydrobromide (9CI) (CA INDEX NAME)

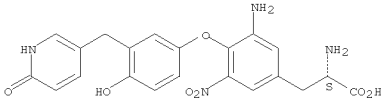
Absolute stereochemistry.



● HBr

RN 105189-70-6 CAPLUS
CN L-Tyrosine, 3-amino-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-
hydroxyphenyl]-5-nitro- (CA INDEX NAME)

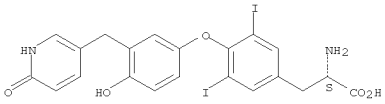
Absolute stereochemistry.



RN 105189-72-8 CAPLUS
CN Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-
3,5-dimethyl- (CA INDEX NAME)

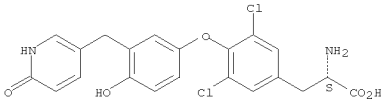
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 105189-53-5 CAPLUS
CN L-Tyrosine,
O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-
3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



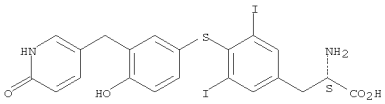
RN 105189-56-8 CAPLUS
CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-
hydroxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-60-4 CAPLUS
CN L-Phenylalanine, 4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-
hydroxyphenyl]thio]-3,5-diiodo- (CA INDEX NAME)

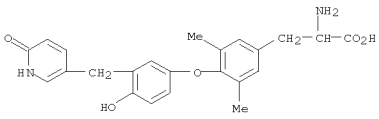
Absolute stereochemistry.



RN 105189-67-1 CAPLUS
CN L-Phenylalanine,
3,5-dibromo-4-[[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-
4-hydroxyphenyl]thio]- (CA INDEX NAME)

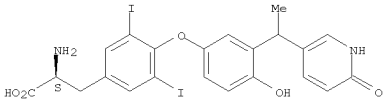
Absolute stereochemistry.

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



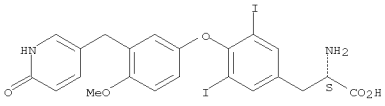
RN 105189-75-1 CAPLUS
CN L-Tyrosine,
O-[3-[1-(1,6-dihydro-6-oxo-3-pyridinyl)ethyl]-4-hydroxyphenyl]-
3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



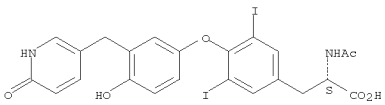
RN 105189-79-5 CAPLUS
CN L-Tyrosine,
O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-methoxyphenyl]-
3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



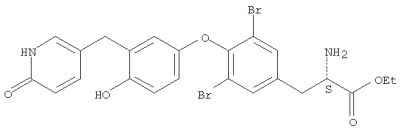
RN 105189-80-8 CAPLUS
CN L-Tyrosine, N-acetyl-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-
hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.



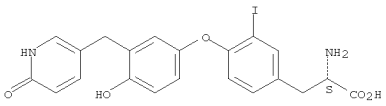
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 105189-81-9 CAPLUS
CN L-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



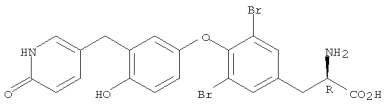
RN 105189-89-7 CAPLUS
CN L-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3-iodo- (CA INDEX NAME)

Absolute stereochemistry.



RN 105189-90-0 CAPLUS
CN D-Tyrosine, 3,5-dibromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]- (CA INDEX NAME)

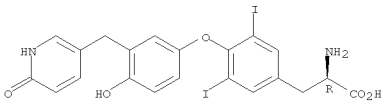
Absolute stereochemistry.



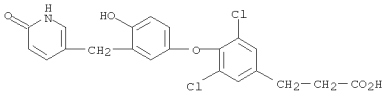
RN 105189-91-1 CAPLUS
CN D-Tyrosine, O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-3,5-diiodo- (CA INDEX NAME)

Absolute stereochemistry.

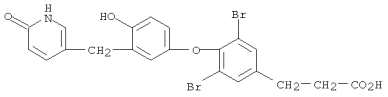
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



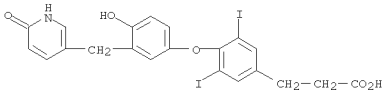
RN 105189-95-5 CAPLUS
CN Benzenepropanoic acid, 3,5-dichloro-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



RN 105189-96-6 CAPLUS
CN Benzenepropanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)

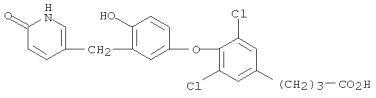


RN 105189-97-7 CAPLUS
CN Benzenepropanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diiodo- (CA INDEX NAME)

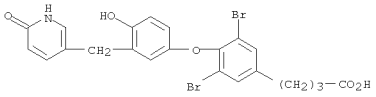


RN 105189-98-8 CAPLUS
CN Benzenebutanoic acid, 3,5-dichloro-4-[3-[(1,6-dihydro-6-oxo-3-

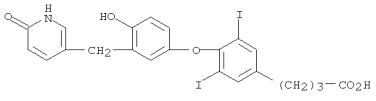
L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



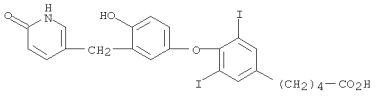
RN 105189-99-9 CAPLUS
CN Benzenebutanoic acid, 3,5-dibromo-4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]- (CA INDEX NAME)



RN 105190-00-9 CAPLUS
CN Benzenebutanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diiodo- (CA INDEX NAME)

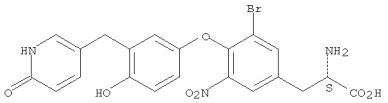


RN 105190-01-0 CAPLUS
CN Benzenepentanoic acid, 4-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenoxy]-3,5-diiodo- (CA INDEX NAME)



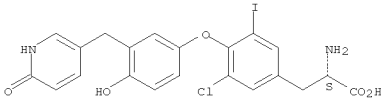
RN 105190-03-2 CAPLUS
CN L-Tyrosine, 3-bromo-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-nitro- (CA INDEX NAME)

L4 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



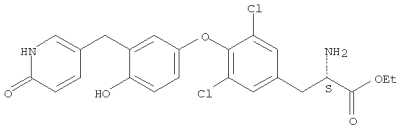
RN 105190-04-3 CAPLUS
CN L-Tyrosine, 3-chloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

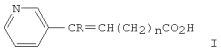


RN 105190-05-4 CAPLUS
CN L-Tyrosine, 3,5-dichloro-O-[3-[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]-4-hydroxyphenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



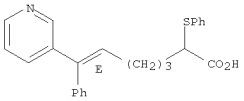
L4 ANSWER 91 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1985:91907 CAPLUS
DOCUMENT NUMBER: 102:91907
ORIGINAL REFERENCE NO.: 102:14375a,14378a
TITLE: Thromboxane synthetase inhibitors (TXSI). Design, synthesis, and evaluation of a novel series of ω-pyridylalkenoic acids
AUTHOR(S): Kato, Kaneyoshi; Ohkawa, Shigenori; Terao, Shinji; Terashita, Zenichi; Nishikawa, Kohei
CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind. Ltd., Osaka, 532, Japan
SOURCE: Journal of Medicinal Chemistry (1985), 28(3), 287-94
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:91907
GI



I

AB A series of 3-pyridylalkenoic acids. I (R = H, Me, (un)substituted Ph, thienyl, naphthyl, etc.; n = 2-5), and selected analogs and esters were prepared, by Wittig reaction of the appropriate pyridylketone and phosphonium bromide, as potential inhibitors of thromboxane A2 synthetase [60832-04-4]. Most I were effective enzyme inhibitors in vitro and ex vivo; (E)-7-(3-pyridyl)-6-heptenoic acid [89667-40-3] was one of the most potent inhibitors in vitro and when administered orally to rats. New models for I-enzyme and substrate-enzyme interactions are presented along with inhibitor structure-activity relations.
IT 92571-82-9P 92572-29-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and thromboxane A2 synthetase inhibition by)
RN 92571-82-9 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

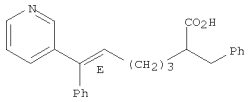
Double bond geometry as shown.



RN 92572-29-7 CAPLUS

L4 ANSWER 91 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Benzenepropanoic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



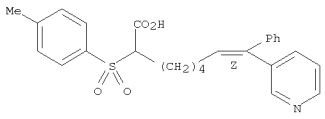
L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:591697 CAPLUS
DOCUMENT NUMBER: 101:191697
ORIGINAL REFERENCE NO.: 101:29043a,29046a
TITLE: (Pyridylvinyl)alkanoic acid derivatives
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59067266	A	19840416	JP 1982-176918	19821007
JP 02033704	B	19900730		
US 4518602	A	19850521	US 1983-537862	19830930
CA 1246077	A1	19881206	CA 1983-438497	19831006
EP 111997	A2	19840627	EP 1983-306078	19831007
EP 111997	A3	19851227		
EP 111997	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 62478	T	19910415	AT 1983-306078	19831007

PRIORITY APPLN. INFO.: JP 1982-176918 A 19821007
JP 1982-211753 A 19821201
EP 1983-306078 A 19831007
OTHER SOURCE(S): MARPAT 101:191697
AB Ninety RR1C:CH(CH2)nCR2R3CO2R4 [I; R = pyridyl; R1 = Ph, furyl, thienyl, etc.; one of R2 and R1 = H, alkyl and the other = aryloxy, arylsulfinyl, arylsulfonyl, etc.; R2R3 = (CH2)5; R4 = H, Me, Et, PhCH2; n = 2-6] were prepared I were effective TXA2 synthetase inhibitors at 3 + 10-8 M. Thus, 1.6 M BuLi in hexane was added to a solution of 6 mmol (Me2CH)2NH in THF at -70° under Ar, followed by 5.5 mmol Me cyclohexanecarboxylate in THF and 5 mmol (E)-6-phenyl-6-(3-pyridyl)-1-iodo-5-hexene in (Me2N)3PO to give 1.2 g (E)-I [R = 3-pyridyl, R1 = Ph, R2R3 = (CH2)5, R4 = Me, n = 4].
IT 92571-66-9P 92571-67-0P 92571-68-1P
92571-77-2P 92571-80-7P 92571-82-9P
92571-83-0P 92571-84-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thromboxane synthetase inhibitory activity of)
RN 92571-66-9 CAPLUS
CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

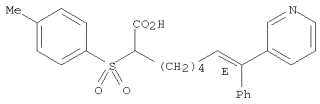
Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



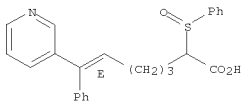
RN 92571-67-0 CAPLUS
CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



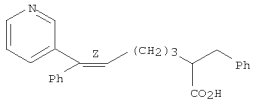
RN 92571-68-1 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-77-2 CAPLUS
CN Benzenepropanoic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (Z)- (9CI) (CA INDEX NAME)

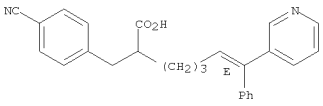
Double bond geometry as shown.



RN 92571-80-7 CAPLUS

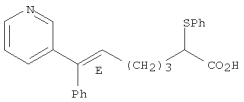
L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Benzenepropanoic acid, 4-cyano-α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



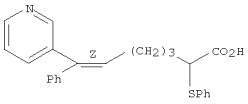
RN 92571-82-9 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-83-0 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

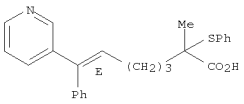
Double bond geometry as shown.



RN 92571-84-1 CAPLUS
CN 6-Heptenoic acid, 2-methyl-7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

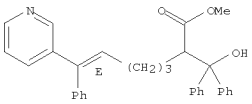
Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



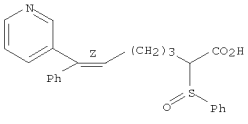
RN 92571-33-0 CAPLUS
CN Benzenepropanoic acid, β-hydroxy-β-phenyl-α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



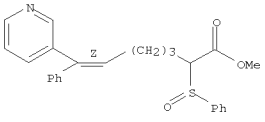
RN 92571-34-1 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, (Z)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

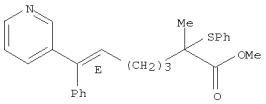


RN 92571-36-3 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

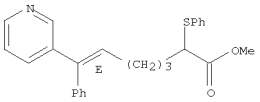


L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



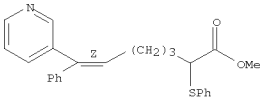
IT 92571-20-5P 92571-21-6P 92571-23-8P
92571-33-0P 92571-34-1P 92571-36-3P
92571-87-4P 92571-88-5P 92571-93-2P
92571-94-3P 92571-95-4P 92572-00-4P
92572-01-5P 92572-06-0P 92572-07-1P
92572-08-2P 92572-09-3P 92572-13-9P
92572-14-0P 92572-23-1P 92572-29-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 92571-20-5 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-21-6 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

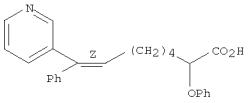


RN 92571-23-8 CAPLUS
CN 6-Heptenoic acid, 2-methyl-7-phenyl-2-(phenylthio)-7-(3-pyridinyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

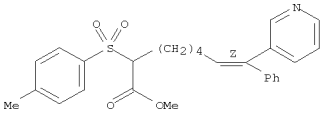
L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 92571-87-4 CAPLUS
CN 7-Octenoic acid, 2-phenoxy-8-phenyl-8-(3-pyridinyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



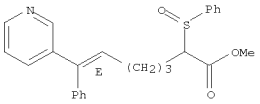
RN 92571-88-5 CAPLUS
CN 7-Octenoic acid, 2-[(4-methylphenyl)sulfonyl]-8-phenyl-8-(3-pyridinyl)-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92571-93-2 CAPLUS
CN 6-Heptenoic acid, 7-phenyl-2-(phenylsulfinyl)-7-(3-pyridinyl)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

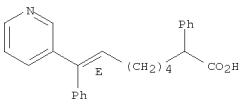
Double bond geometry as shown.



RN 92571-94-3 CAPLUS
CN Benzeneacetic acid, α-[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, (E)- (9CI) (CA INDEX NAME)

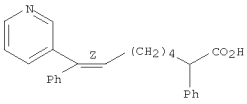
Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



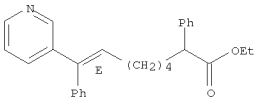
RN 92571-95-4 CAPLUS
CN Benzeneacetic acid, α-[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



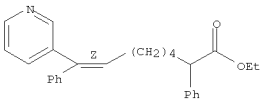
RN 92572-00-4 CAPLUS
CN Benzeneacetic acid, α-[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

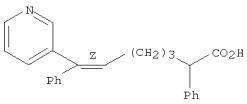


RN 92572-01-5 CAPLUS
CN Benzeneacetic acid, α-[6-phenyl-6-(3-pyridinyl)-5-hexenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

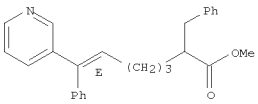


L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



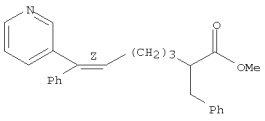
RN 92572-13-9 CAPLUS
CN Benzenepropanoic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



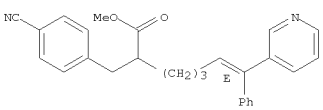
RN 92572-14-0 CAPLUS
CN Benzenepropanoic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-23-1 CAPLUS
CN Benzenepropanoic acid, 4-cyano-α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

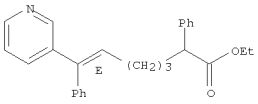


RN 92572-29-7 CAPLUS
CN Benzenepropanoic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-,

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

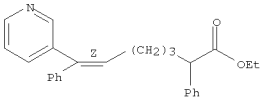
RN 92572-06-0 CAPLUS
CN Benzeneacetic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, ethyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



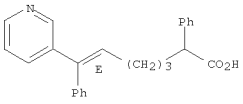
RN 92572-07-1 CAPLUS
CN Benzeneacetic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 92572-08-2 CAPLUS
CN Benzeneacetic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

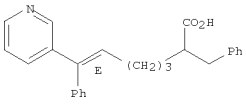


RN 92572-09-3 CAPLUS
CN Benzeneacetic acid, α-[5-phenyl-5-(3-pyridinyl)-4-pentenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:209631 CAPLUS
DOCUMENT NUMBER: 100:209631
ORIGINAL REFERENCE NO.: 100:31827a,31830a
TITLE: N-Benzylamides, their salts, and pharmaceuticals containing these compounds
INVENTOR(S): Hurnaus, Rudolf; Grell, Wolfgang; Griss, Gerhart; Sauter, Robert; Rupprecht, Eckhard; Kaehling, Joachim; Eisele, Bernhard
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 78 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

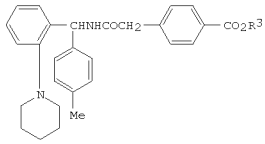
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3225155	A1	19840112	DE 1982-3225155	19820706
EP 99017	A2	19840125	EP 1983-106233	19830627
EP 99017	A3	19840222		
EP 99017	B1	19880302		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
SU 1170969	A3	19850730	SU 1983-3608901	19830627
AT 32717	T	19880315	AT 1983-106233	19830627
FI 8302374	A	19840107	FI 1983-2374	19830629
FI 78477	B	19890428		
FI 78477	C	19890810		
NO 8302430	A	19840109	NO 1983-2430	19830704
NO 159590	B	19881010		
NO 159590	C	19890118		
DD 210907	A5	19840627	DD 1983-252755	19830704
DK 8303108	A	19840107	DK 1983-3108	19830705
DK 159850	B	19901217		
DK 159850	C	19910506		
AU 8316576	A	19840112	AU 1983-16576	19830705
AU 561274	B2	19870507		
CS 240970	B2	19860313	CS 1983-5089	19830705
CA 1214773	A1	19861202	CA 1983-431796	19830705
PL 143992	B1	19880430	PL 1983-242873	19830705
JP 59021657	A	19840203	JP 1983-123101	19830706

L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

JP 03079335	B	19911218		
GB 2124220	A	19840215	GB 1983-18250	19830706
GB 2124220	B	19851113		
IL 69172	A	19871020	IL 1983-69172	19830706
ES 530715	A5	19850614	ES 1984-530715	19840316
US 4735959	A	19880405	US 1985-734252	19850514

PRIORITY APPLN. INFO.:
DE 1981-3100575 A 19810110
US 1981-335565 A2 19811229
JP 1982-117311 A 19820705
JP 1982-117312 A 19820705
DE 1982-3225155 A 19820706
DE 1982-3225188 A 19820706
EP 1983-106233 A 19830627
US 1983-510071 A1 19830630

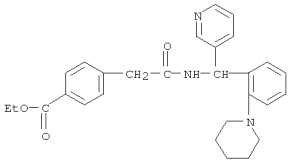
OTHER SOURCE(S): CASREACT 100:209631
GI



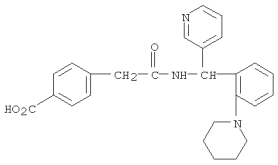
I

AB RCHR1NHCOCH2R2 (R = tert-aminophenyl; R1 = substituted alkyl, aryl, heteroaryl; R2 = substituted Ph) were prepared Thus α-p-tolyl-2-piperidinobenzylamine was treated with 4-EtO2CC6H4CH2CO2H to give 65% I (R3 = Et) which was saponified to give 59.3% I (R3 = H). At 10 mg/kg orally in rats I (R3 = H) gave 44% decrease in blood sugar level in 2 h.
IT 89572-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
RN 89572-78-1 CAPLUS

L4 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Benzoic acid, 4-[2-oxo-2-[[[2-(1-piperidinyl)phenyl]-3-pyridinylmethyl]amino]ethyl]-, ethyl ester (CA INDEX NAME)



IT 89573-14-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89573-14-8 CAPLUS
CN Benzoic acid, 4-[2-oxo-2-[[[2-(1-piperidinyl)phenyl]-3-pyridinylmethyl]amino]ethyl]- (CA INDEX NAME)



L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:183110 CAPLUS
DOCUMENT NUMBER: 100:183110
ORIGINAL REFERENCE NO.: 100:27733a,27736a
TITLE: Photographic products and processes employing 6-heterocyclylazo-3-pyridinol nondiffusible cyan dye-releasing compounds and precursors thereof
INVENTOR(S): Reczek, James A.; Elwood, James K.
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 380,844, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

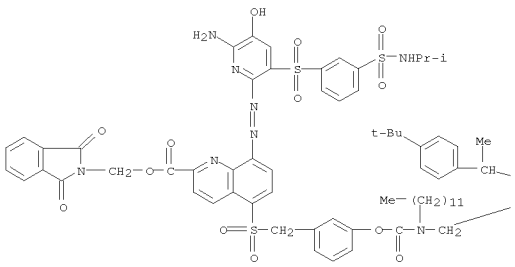
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4419435	A	19831206	US 1983-458501	19830117
CA 1202961	A1	19860408	CA 1982-410800	19820903
JP 58209742	A	19831206	JP 1983-88393	19830521
US 4495100	A	19850122	US 1983-504693	19830615
US 4495098	A	19850122	US 1983-504694	19830615
US 4476207	A	19841009	US 1984-578720	19840209

PRIORITY APPLN. INFO.:
US 1982-380844 A2 19820521
US 1983-458501 A3 19830117
US 1983-504694 A3 19830615

GI For diagram(s), see printed CA Issue.
AB Photog. elements, diffusion-transfer assemblages, coordination complexes, and processes are described which employ a nondiffusible compound of the formula I (R = OH, a salt or hydrolyzable precursor thereof, or a ballasted carrier bound through an O; R1 = a ballasted carrier moiety capable of releasing the diffusible cyan dye moiety as a function of the development of a Ag halide emulsion layer under alkaline conditions; Z = the atoms necessary to complete a 5- or 6-membered aromatic heterocyclic fused ring; n = 0, 1, or 2 and when n = 0, then R is a ballasted carrier) capable of releasing ≥1 diffusible cyan dye moiety, premetallized or metalizable, to diffuse to an image-receiving layer to form a metal-complexed dye-transfer image having better red hue, min. unwanted absorption outside the red region of the spectrum, narrower bandwidth, rapid diffusion rate, and shorter access time, as well as good stability to heat, light, and chemical reagents. Thus, in an alkaline solution of the dye II, which was capable of being released from III, was immersed a Ni(II)-containing receiving element containing a mordant. The receiving element was removed

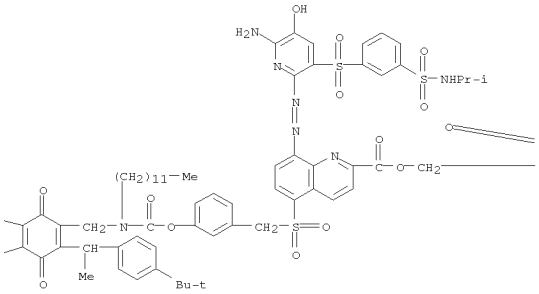
L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
from the dye soln., washed, placed in a pH 7.0 buffer, dried, and the λmax, half bandwidth, diffusion time, and percent fade (21 day irradn. with 50,000 lx at 38° and low humidity) were 660 nm, 95 nm, 47 s, and 8%, resp.
IT 88606-47-7
RL: USES (Uses)
(photog. cyan dye-releasing redox compound)
RN 88606-47-7 CAPLUS
CN 2-Quinolinecarboxylic acid, 5,5'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenemethylenesulfonyl]]bis[8-[[6-amino-5-hydroxy-3-[[3-[[(1-methylethyl)amino]sulfonyl]phenyl]sulfonyl]-2-pyridinyl]azo]-, bis[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl] ester (9CI) (CA INDEX NAME)

PAGE 1-A

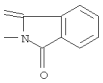


L4 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B



PAGE 1-C



L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:174676 CAPLUS
DOCUMENT NUMBER: 100:174676
ORIGINAL REFERENCE NO.: 100:26565a,26568a
TITLE: Substituted vinylcarboxylic acid derivatives
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

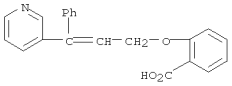
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58219162	A	19831220	JP 1982-102488	19820614
JP 63047707	B	19880926		
EP 98690	A2	19840118	EP 1983-303214	19830603
EP 98690	A3	19841114		
EP 98690	B1	19870909		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 29491	T	19870915	AT 1983-303214	19830603
ZA 8304094	A	19840229	ZA 1983-4094	19830606
AU 8315483	A	19841220	AU 1983-15483	19830608
AU 553529	B2	19860717		
US 4727078	A	19880223	US 1983-502603	19830609
DK 8302657	A	19831215	DK 1983-2657	19830610
DK 158306	B	19900430		
DK 158306	C	19901008		
SU 1266470	A3	19861023	SU 1983-3605554	19830610
IL 68957	A	19860831	IL 1983-68957	19830612
FI 8302113	A	19831215	FI 1983-2113	19830613
FI 79099	B	19890731		
FI 79099	C	19891110		
NO 8302137	A	19831215	NO 1983-2137	19830613
NO 162155	B	19890807		
NO 162155	C	19891115		
HU 30736	A2	19840328	HU 1983-2097	19830613
HU 188911	B	19860528		
ES 523199	A1	19850216	ES 1983-523199	19830613
CA 1196642	A1	19851112	CA 1983-430228	19830613
US 4760068	A	19880726	US 1986-871386	19860606

PRIORITY APPLN. INFO.: JP 1982-102488 A 19820614

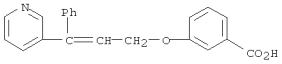
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

	JP 1982-211753	A	19821201
	EP 1983-303214	A	19830603
	US 1983-502603	A1	19830609

OTHER SOURCE(S): CASREACT 100:174676
AB Seventy-six title derivs. RR1C:CHCH2-X-(CH2)nCO2R2 [I; R = pyridyl; R1 = (un)substituted Ph, thienyl, furyl, etc.; X = S, CH2, OC6H5-m(OR3)m (R3 = H, Ac; m = 0, 1); R2 = H, alkyl; n = 0-6] were prepared by, e.g., reaction of RR1CO (II) with Ph3P+CH2CH2CH2(CH2)nCO2R2.X- III (X = halo). Thromboxane A2 synthetase inhibitory test data on I are given. Thus, 3.7 g II (R = 3-pyridyl, R1 = Ph) in THF was added to a mixture of 1 g NaH and 9.5 g III (R2 = H, n = 1, X = Br) in Me2SO at room temperature under A to give, after 30 min, 4.5 g (E)- and (Z)-I (R = 3-pyridyl, R1 = Ph, R2 = H, n = 1, X = CH2).
IT 89667-95-8P 89667-96-9P 89667-97-0P
89667-98-1P 89667-99-2P 89668-00-8P
89668-01-9P 89668-03-1P 89668-04-2P
89668-05-3P 89668-06-4P 89668-07-5P
89668-08-6P 89668-09-7P 89668-10-0P
89668-11-1P 89668-12-2P 89668-13-3P
89668-32-6P 89668-33-7P 89668-34-8P
89668-35-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 89667-95-8 CAPLUS
CN Benzoic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

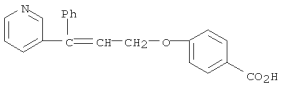


RN 89667-96-9 CAPLUS
CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

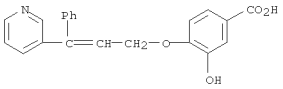


RN 89667-97-0 CAPLUS
CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

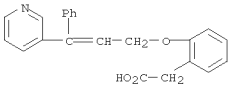
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



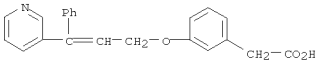
RN 89667-98-1 CAPLUS
CN Benzoic acid, 3-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89667-99-2 CAPLUS
CN Benzeneacetic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

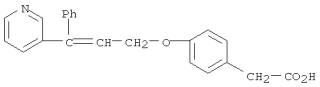


RN 89668-00-8 CAPLUS
CN Benzeneacetic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

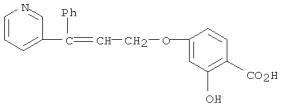


RN 89668-01-9 CAPLUS
CN Benzeneacetic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

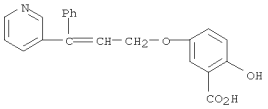
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



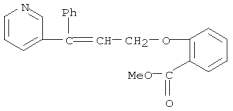
RN 89668-03-1 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89668-04-2 CAPLUS
CN Benzoic acid, 2-hydroxy-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



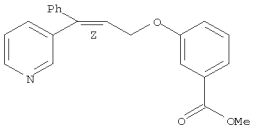
RN 89668-05-3 CAPLUS
CN Benzoic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-06-4 CAPLUS

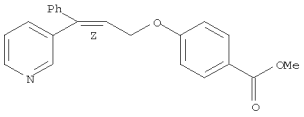
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester,
(Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

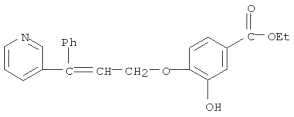


RN 89668-07-5 CAPLUS
CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester,
(Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

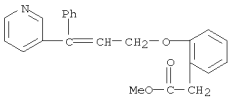


RN 89668-08-6 CAPLUS
CN Benzoic acid, 3-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

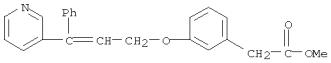


RN 89668-09-7 CAPLUS
CN Benzeneacetic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

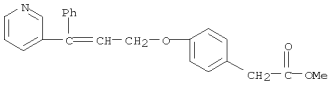
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



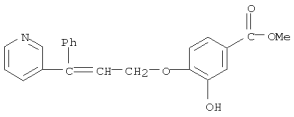
RN 89668-10-0 CAPLUS
CN Benzeneacetic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-11-1 CAPLUS
CN Benzeneacetic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

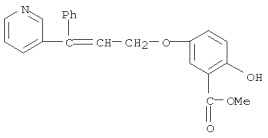


RN 89668-12-2 CAPLUS
CN Benzoic acid, 3-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

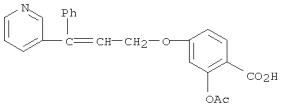


RN 89668-13-3 CAPLUS
CN Benzoic acid, 2-hydroxy-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

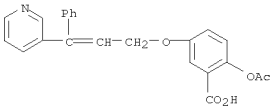
L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 89668-32-6 CAPLUS
CN Benzoic acid, 2-(acetyloxy)-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-
(9CI) (CA INDEX NAME)



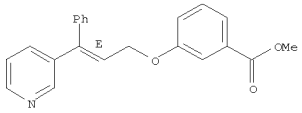
RN 89668-33-7 CAPLUS
CN Benzoic acid, 2-(acetyloxy)-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-
(9CI) (CA INDEX NAME)



RN 89668-34-8 CAPLUS
CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl
ester,
(E)- (9CI) (CA INDEX NAME)

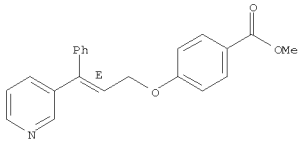
Double bond geometry as shown.

L4 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 89668-35-9 CAPLUS
CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl
ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

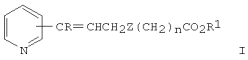


L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

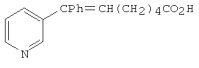
ACCESSION NUMBER: 1984:156509 CAPLUS
DOCUMENT NUMBER: 100:156509
ORIGINAL REFERENCE NO.: 100:23843a,23846a
TITLE: Vinyl carboxylic acid derivatives and their use
INVENTOR(S): Terao, Shinji; Nishikawa, Kohei
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd. , Japan
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 98690	A2	19840118	EP 1983-303214	19830603
EP 98690	A3	19841114		
EP 98690	B1	19870909		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 58219162	A	19831220	JP 1982-102488	19820614
JP 63047707	B	19880926		
JP 59101465	A	19840612	JP 1982-211753	19821201
JP 02044467	B	19901004		
AT 29491	T	19870915	AT 1983-303214	19830603

PRIORITY APPLN. INFO.: JP 1982-102488 A 19820614
JP 1982-211753 A 19821201
EP 1983-303214 A 19830603
OTHER SOURCE(S): CASREACT 100:156509; MARPAT 100:156509
GI



I



II

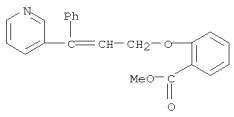
AB Pyridine-substituted title compds. I [R = (un)substituted Ph, thienyl, furyl, naphthyl, benzothienyl, pyridyl; R1 = H, alkyl; Z = CH2S, acetoxy- or hydroxy-substituted OC6H4, n = 0-6] were prepared Thus, 3-benzoylpyridine was subjected to a Wittig reaction with Ph3P+(CH2)5CO2H Br- to give pyridinylheptenoic acid (E)- and (Z)-II (1:1). The mixture was repeatedly isomerized by treatment with aqueous HBr to give 61.7% (E)-II. In

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

horse platelet microsomes treated with indomethacin 3 + 10-8M (E)-II gave a 58.5% inhibition of thromboxane A2 synthetase activity.

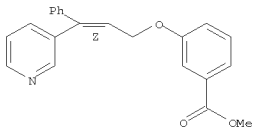
IT 89668-05-3P 89668-06-4P 89668-07-5P
89668-08-6P 89668-09-7P 89668-10-0P
89668-11-1P 89668-12-2P 89668-13-3P
89668-34-8P 89668-35-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

RN 89668-05-3 CAPLUS
CN Benzoic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester
(9CI) (CA INDEX NAME)



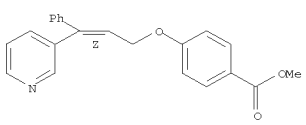
RN 89668-06-4 CAPLUS
CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl
ester,
(Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



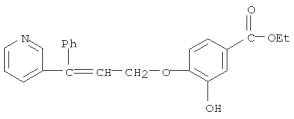
RN 89668-07-5 CAPLUS
CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl
ester,
(Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

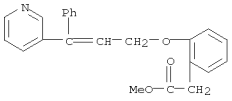


L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

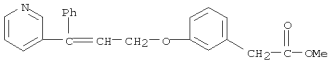
RN 89668-08-6 CAPLUS
CN Benzoic acid, 3-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



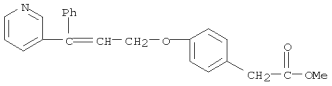
RN 89668-09-7 CAPLUS
CN Benzeneacetic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



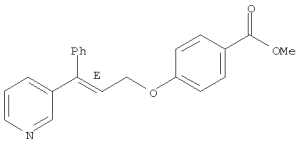
RN 89668-10-0 CAPLUS
CN Benzeneacetic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-11-1 CAPLUS
CN Benzeneacetic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

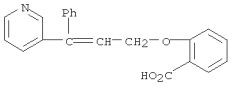


L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

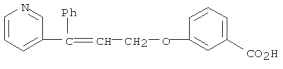


IT 89667-95-8P 89667-96-9P 89667-97-0P
89667-98-1P 89667-99-2P 89668-00-8P
89668-01-9P 89668-03-1P 89668-04-2P
89668-32-6P 89668-33-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

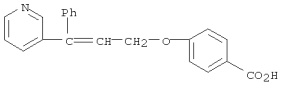
RN 89667-95-8 CAPLUS
CN Benzoic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89667-96-9 CAPLUS
CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

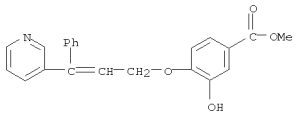


RN 89667-97-0 CAPLUS
CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

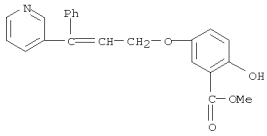


RN 89667-98-1 CAPLUS
CN Benzoic acid, 3-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 89668-12-2 CAPLUS
CN Benzoic acid, 3-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

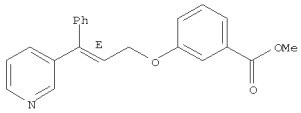


RN 89668-13-3 CAPLUS
CN Benzoic acid, 2-hydroxy-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 89668-34-8 CAPLUS
CN Benzoic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester,
(E)- (9CI) (CA INDEX NAME)

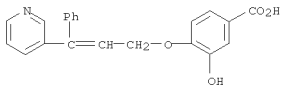
Double bond geometry as shown.



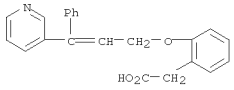
RN 89668-35-9 CAPLUS
CN Benzoic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-, methyl ester,
(E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

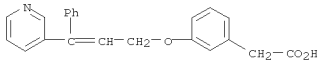
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



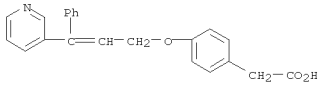
RN 89667-99-2 CAPLUS
CN Benzeneacetic acid, 2-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)



RN 89668-00-8 CAPLUS
CN Benzeneacetic acid, 3-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

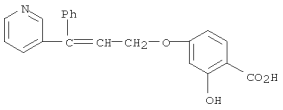


RN 89668-01-9 CAPLUS
CN Benzeneacetic acid, 4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

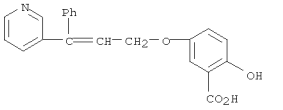


RN 89668-03-1 CAPLUS
CN Benzoic acid, 2-hydroxy-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]- (9CI) (CA INDEX NAME)

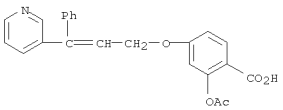
L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



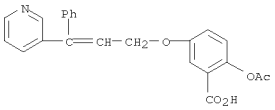
RN 89668-04-2 CAPLUS
CN Benzoic acid, 2-hydroxy-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-
(9CI) (CA INDEX NAME)



RN 89668-32-6 CAPLUS
CN Benzoic acid, 2-(acetyloxy)-4-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-
(9CI) (CA INDEX NAME)



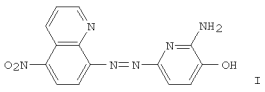
RN 89668-33-7 CAPLUS
CN Benzoic acid, 2-(acetyloxy)-5-[[3-phenyl-3-(3-pyridinyl)-2-propenyl]oxy]-
(9CI) (CA INDEX NAME)



L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1984:94463 CAPLUS
DOCUMENT NUMBER: 100:94463
ORIGINAL REFERENCE NO.: 100:14193a,14196a
TITLE: Photographic recording material employing a nondiffusible cyan dye-releasing compound or its precursor
INVENTOR(S): Reczek, James A.; Elwood, James K.
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 95127	A2	19831130	EP 1983-104850	19830517
EP 95127	A3	19840425		
EP 95127	B1	19860806		
R: DE, FR, GB, NL				
CA 1202961	A1	19860408	CA 1982-410800	19820903
JP 58209742	A	19831206	JP 1983-88393	19830521
PRIORITY APPLN. INFO.:			US 1982-380844 A	19820521

OTHER SOURCE(S): MARPAT 100:94463
GI



I

AB Photog. nondiffusible compound is described capable of releasing ≥1 cyan dye moiety comprising a 6-heterocyclylazo-3-pyridinol compound The dye-releasing compound can be premetallized or a metal complex of the released dye can be formed in an image receiving layer. Thus, a receiving element comprising a poly(ethylene terephthalate) support, a metal-complexing layer containing NiSO4.6H2O 0.58, gelatin 1.08 g/m2, and a poly(4-vinylpyridine) 2.15-gelatin 2.15 g/m2 mordant layer was immersed in an alkaline solution of I, removed, washed with H2O, placed in pH = 7 buffer and dried. The λmax of the obtained Ni-complexed dyes was 679 nm and half bandwidth 95 nm (transmission spectrum was normalized to a d. of 1). The element at pH = 7 was subjected to 21 days of irradiation by a 6000 W Xe lamp through a UV filter at 38° showing fade of 5% (loss of d. at

L4 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
λmax).
IT 88606-47-7P
RL: PREP (Preparation)
(preparation of, as photog. cyan dye releasing compound)
RN 88606-47-7 CAPLUS
CN 2-Quinolinecarboxylic acid, 5,5'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenemethylenesulfonyl]]bis[8-[[6-amino-5-hydroxy-3-[[3-[[(1-methylethyl)amino]sulfonyl]phenyl]sulfonyl]-2-pyridinyl]azo]-, bis[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl] ester (9CI) (CA INDEX NAME)

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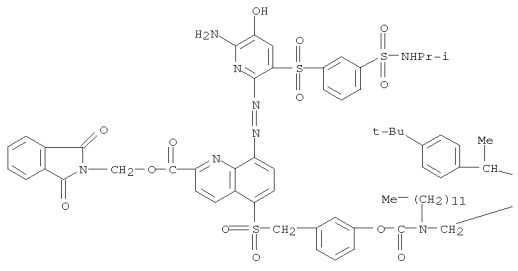

L4 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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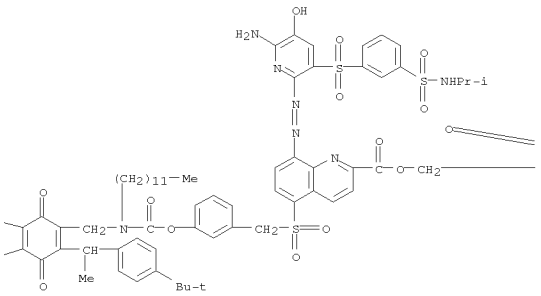

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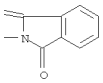
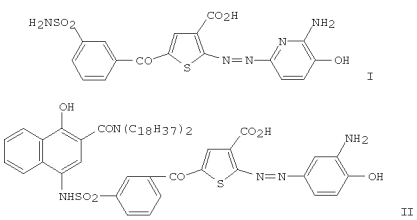


L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:63281 CAPLUS
DOCUMENT NUMBER: 98:63281
ORIGINAL REFERENCE NO.: 98:9553a,9556a
TITLE: Photographic products and processes employing nondiffusible 6-(2-thienylazo)-3-pyridinol cyan dye-releasing compounds and their precursors
INVENTOR(S): Krutak, James J.; Maleski, Robert J.; Moore, William H.
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4346161	A	19820824	US 1981-258845	19810429
US 4385104	A	19830524	US 1982-348381	19820212
US 4396546	A	19830802	US 1982-348382	19820212
CA 1171408	A1	19840724	CA 1982-398168	19820311
EP 63808	A1	19821103	EP 1982-103511	19820426
EP 63808 R: DE, FR, GB	B1	19850918		
JP 57185039	A	19821115	JP 1982-71638	19820430
JP 63030617	B	19880620		
PRIORITY APPLN. INFO.:			US 1981-258845	A3 19810429

OTHER SOURCE(S): MARPAT 98:63281
GI



AB Diffusion-transfer photog. elements are described which use a nondiffusible compound having a 6-(2-thienylazo)-3-pyridinol cyan dye moiety or precursor thereof releasable under alkaline conditions. Thus, the azo dye moiety (I) released from a redox-dye releasing compound, such as II, was adsorbed on a receiving element consisting of a poly(ethylene terephthalate) film support having thereon a layer containing Ni sulfate hexahydrate 0.58 and gelatin 1.08 g/m2 and a layer containing poly(4-vinylpyridine) 2.15 and gelatin 2.15 g/m2. The resulting Ni-complexed dye showed a λmax at 644 nm ,a half band width at 119 nm and when exposed in a Xe Arc fading apparatus the original d., final d. and % fade were 1.74, 1.72, and 3.0%, resp.

IT 84361-98-8
RL: USES (Uses)
(photog. pos. redox dye-releasing compound)

RN 84361-98-8 CAPLUS

CN 3-Thiophenecarboxylic acid, 2,2'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diylidene]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl[6-amino-5-[[[methyl[4-[[(4-methylphenyl)sulfonyl]amino]-2-[[methyl(trifluoroacetyl)amino]methyl]phenyl]amino]carbonyl]oxy]-3,2-pyridinediyl]azo]]bis[5-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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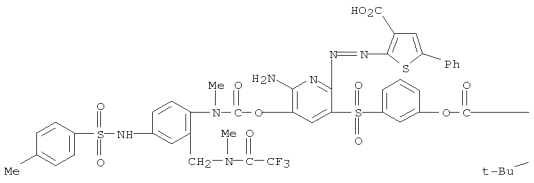

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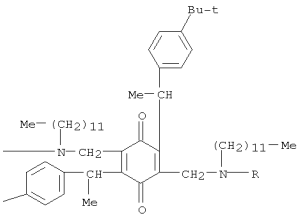

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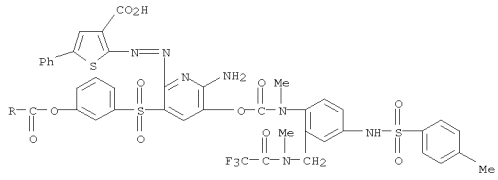

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 84362-24-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 84362-24-3 CAPLUS
CN 3-Thiophenecarboxylic acid, 2,2'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl[6-amino-5-[[[methyl[4-[[(4-methylphenyl)sulfonyl]amino]-2-[[methyl(trifluoroacetyl)amino]methyl]phenyl]amino]carbonyl]oxy]-3,2-pyridinediyl]azo]]bis[5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

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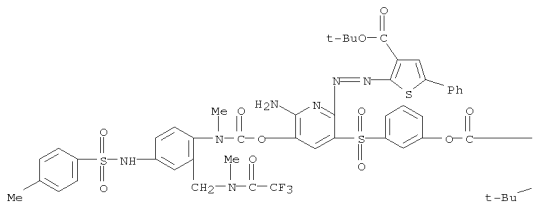

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

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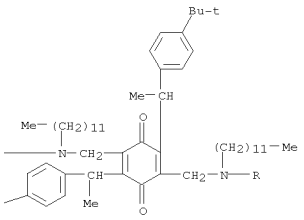

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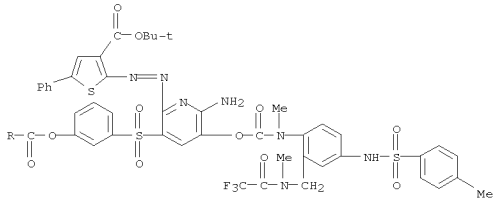
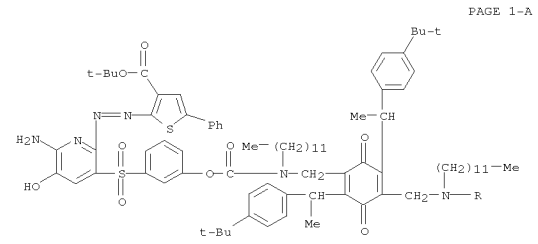


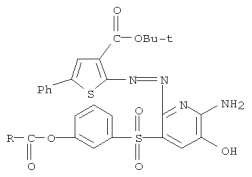
IT 84362-23-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methyl[(methyltrifluoroacetamidomethyl)(toluenesulfonamido)phenyl]carbamoyl chloride)
RN 84362-23-2 CAPLUS
CN 3-Thiophenecarboxylic acid, 2,2'-[[2,5-bis[1-[4-(1,1-dimethylethyl)phenyl]ethyl]-3,6-dioxo-1,4-cyclohexadiene-1,4-diyl]bis[methylene(dodecylimino)carbonyloxy-3,1-phenylenesulfonyl(6-amino-5-hydroxy-3,2-pyridinediyl)azo]]bis[5-phenyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L4 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



L4 ANSWER 99 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1982:527392 CAPLUS
DOCUMENT NUMBER: 97:127392
ORIGINAL REFERENCE NO.: 97:21137a,21140a
TITLE: Penicillin derivatives
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57018686	A	19820130	JP 1980-92296	19800708

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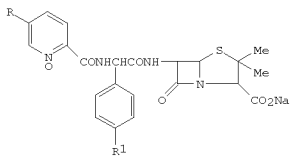
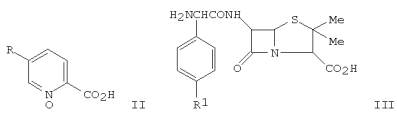
PRIORITY APPLN. INFO.: JP 1980-92296 A 19800708
<--
GI



I



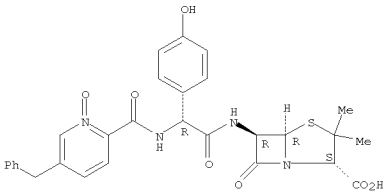
II III

AB Penicillin derivs. D-I (R, R1 = Bu, H; Bu, HO; C5H11, H; C5H11, HO; CH2ClCHClCH2CH2, H; CH2ClCHClCH2CH2, HO; CH2BrCHBrCH2CH2, HO; PhCH2, HO) were prepared by, e.g., reaction of II with III. Min. inhibition concns. of D-I were given against 18 bacteria strains. Thus, stirring 390 mg II (R = Bu) with 309 mg N-hydroxysuccinimide and 433 mg DCC in DMF 1 h at 0-10° and 8 h at room temperature gave an active ester solution, which was added to a mixture of 967 mg ampicillin-3H2O and 0.426 mL Et3N in aqueous DMF with ice cooling to give, after 3 h, 350 mg D-I (R = Bu, R1 = H).
IT 82653-99-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 99 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)
RN 82653-99-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(4-

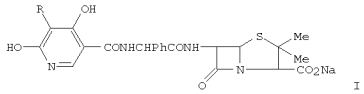
hydroxyphenyl)[[[1-oxido-5-(phenylmethyl)-2-pyridinyl]carbonyl]amino]acety l]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

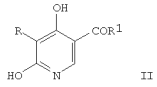


● Na

L4 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:569063 CAPLUS
DOCUMENT NUMBER: 95:169063
ORIGINAL REFERENCE NO.: 95:28261a,28264a
TITLE: New broad spectrum semisynthetic penicillins
AUTHOR(S): Koda, Akio; Murakami, Yukiyasu; Sozu, Isao; Nakano, Kohzi; Kashiwagi, Teruya; Isaka, Ichiro; Murakami, Masuo
CORPORATE SOURCE: Yamanouchi Pharma K. K., Tokyo, Japan
SOURCE: Yamanouchi Seiyaku Kenkyu Hokoku (1980), 4, 11-15
CODEN: YSKHDO; ISSN: 0287-2935
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

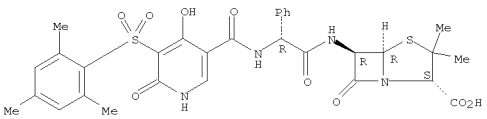


II

AB Penicillins I (R = SMe, SEt, SPh, SCH2Ph, SOEt, SO2Et, Cl) were prepared by
treating ampicillin with the nicotinoyl azides II (R1 = N3), obtained by treating II (R1 = OMe) with N2H4 and treating II (R1 = NHNH2) with NaNO2. I had min. inhibitory concns. against Proteus vulgaris of 0.19 μg/mL, compared with ampicillin 0.39 μg/mL.
IT 79398-20-2P 79398-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 79398-20-2 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,6-dihydro-4-
hydroxy-6-oxo-5-[(2,4,6-trimethylphenyl)sulfonyl]-3-pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

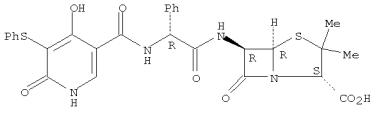


● Na

RN 79398-22-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,6-dihydro-4-

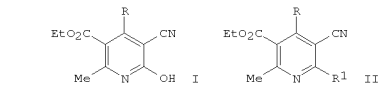
hydroxy-6-oxo-5-(phenylthio)-3-pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

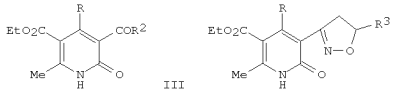


● Na

L4 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1981:550360 CAPLUS
DOCUMENT NUMBER: 95:150360
ORIGINAL REFERENCE NO.: 95:25159a,25162a
TITLE: Synthesis and reactions of 4-aryl-5-carbethoxy-3-cyano-
6-methyl-2(H)-pyridones
AUTHOR(S): Elkasaby, M. A.; Elshahed, F.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1981), 20B(5), 428-31
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:150360
GI



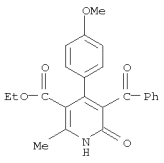
I II



III IV

AB The pyridones I (R = Ph, p-MeOC6H4, p-Me2NC6H4) were prepared by cyclization
of EtO2C(COMe):CHR with EtO2CCH2CN. I reacted with Me2SO4 and PhCH2Cl to give the pyridines II (R1 = MeO, PhCH2O) and with POCl3 to give II (R1 = Cl). I (R = Ph, p-MeOC6H4) were treated with Grignard reagents to give the pyridones III (R2 = Me, Ph). III (R2 = Me) were condensed with aldehydes followed by cyclization with HONH2 to give the isoxazolines IV (R3 = Ph, p-MeOC6H4).
IT 78942-15-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78942-15-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-benzoyl-1,6-dihydro-4-(4-methoxyphenyl)-2-methyl-6-oxo-, ethyl ester (CA INDEX NAME)

L4 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 102 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1981:497560 CAPLUS
DOCUMENT NUMBER: 95:97560
ORIGINAL REFERENCE NO.: 95:16383a,16386a
TITLE: Bridged-ring nitrogen compounds. Part 5. Synthesis of 2,6-methano-3-benzazonine ring-systems
AUTHOR(S): Proctor, George R.; Smith, Francis J.
CORPORATE SOURCE: Dep. Pure Appl. Chem., Univ. Strathclyde, Glasgow, G1 1XL, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (6), 1754-62
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:97560
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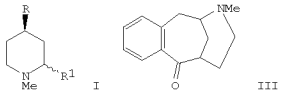
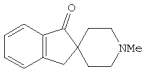


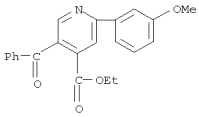
I III



IV

AB Sequential quaternization (MeI), reaction with KCN, methanolysis, and catalytic hydrogenation of 4-benzyl- and 4-(3-methoxybenzyl)pyridine gave mixts. of the cis- and trans-piperidines I (R = CH2Ph, CH2C6H4OMe-3; R1 = β-, α-CO2Me). cis-I (R = CO2Et, R1 = β-CH2Ph), prepared [together with 4-benzyl-4-(ethoxycarbonyl)-1-methylpiperidine (II)] by sequential reduction, quaternization (PhCH2Br), Stevens rearrangement, and catalytic hydrogenation of Et N-methylisonicotinatium iodide, was converted to its amino acid hydrochloride and cyclized in polyphosphoric acid at 160° to give the methanobenzazoninone III. II, which was also prepared by benzylation of 4-(ethoxycarbonyl)-1-methylpiperidine in the presence of (Me2CH)2NLi, was hydrolyzed and cyclized to give the spiro compound IV.
IT 78815-66-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78815-66-4 CAPLUS
CN 4-Pyridinecarboxylic acid, 5-benzoyl-2-(3-methoxyphenyl)-, ethyl ester (CA INDEX NAME)

L4 ANSWER 102 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:593296 CAPLUS
DOCUMENT NUMBER: 83:193296
ORIGINAL REFERENCE NO.: 83:30409a,30412a
TITLE: α-(Nicotinoylamino)benzylpenicillin derivatives
INVENTOR(S): Isaka, Ichiro; Murakami, Masuo; Kohda, Akio; Sozu, Isao; Murakami, Yukiyasu; Nakano, Koji
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50025586	A	19750318	JP 1973-76903	19730707

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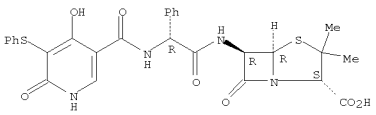
PRIORITY APPLN. INFO.: JP 1973-76903 19730707
<--
GI For diagram(s), see printed CA Issue.
AB α-(Nicotinoylamino)benzylpenicillins I (R1 = RZ (R = alkyl, phenylalkyl, phenyl, pyridyl, they may have substituents; Z = S, SO, SO2), sulfino, sulfo; R2, R3 = H, OH, alkoxycarbonyloxy] were prepared by reaction of ampicillin (II) with nicotinic acid derivs. (III) or their reactive derivs. I are bactericides (no data). Thus, 1.08 ml SOCl2 in CH2Cl2 was added to a solution of 2.71 g 4,6-dihydroxy-5-sulfonicotinic acid-2H2O and 4.2 ml Et3N in CH2Cl2 at -20°, the mixture stirred 1 hr, a solution of 4 g II.4H2O and 2.8 g Et3N in CH2Cl2 added at -20° to -30°, and the whole stirred 2 hr at -20° to give 1.6 g D-α-(4,6-dihydroxy-5-sulfonicotinoylamino)benzylpenicillin di-Na salt. Among 7 more I prepared were D-α-I·2Na (R2 = R3 = OH; R1 = MeS, EtS, PhCH2S, PhS).
IT 57151-78-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 57151-78-7 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,6-dihydro-4-

hydroxy-6-oxo-5-(phenylthio)-3-pyridinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, disodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 Na

L4 ANSWER 104 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1974:82958 CAPLUS
DOCUMENT NUMBER: 80:82958
ORIGINAL REFERENCE NO.: 80:13357a,13360a
TITLE: D-(α-Formamidobenzyl)penicillins
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Shimago, Kozo; Nakatsuka, Iwao; Shigeru, Ibaragi; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; Eda, Yasuko
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Ger. Offen., 31 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

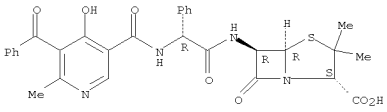
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2312976	A1	19730927	DE 1973-2312976	19730315
JP 48092391	A	19731130	JP 1972-26759	19720315
JP 56009511	B	19810302		
FR 2181818	A1	19731207	FR 1973-9153	19730314
CH 590290	A5	19770729	CH 1973-3715	19730314
CA 1049504	A1	19790227	CA 1973-166058	19730314
NL 7303660	A	19730918	NL 1973-3660	19730315
GB 1409177	A	19751008	GB 1973-12580	19730315
HU 168866	B	19760728	HU 1973-SU806	19730315
US 4008220	A	19770215	US 1974-495914	19740808

PRIORITY APPLN. INFO.: JP 1972-26759 A 19720315
US 1973-341723 A2 19730315
HU 1974-SU806 A 19740805

GI For diagram(s), see printed CA Issue.
AB Twenty-six benzylpenicillins I [R = e.g. 5,6-trimethylene-4-(ethoxycarbonyloxy)-3-pyridyl, 5,6-tetramethylene-4-hydroxy-3-pyridyl, 3-acetyl-4-hydroxy-2-methyl-5-pyridyl, 2,4-dihydroxy-5-pyrimidinyl, 3-hydroxy-4-pyridazinyl, 2-hydroxy-3-pyridyl] or their Na or K salts were prepared by reaction of α-aminobenzylpenicillin salts with RCO2H or their esters. I were used as broad-spectrum microbiocides and were active also against Pseudomonas species.

L4 ANSWER 104 OF 104 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 50617-39-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 50617-39-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(5-benzoyl-4-hydroxy-6-methyl-3-pyridinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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